10/533,683 11/18/2009 STN: SEARCH

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PASSWORD:

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NEWS Web Page for STN Seminar Schedule - N. America

NEWS 2 AUG 10 Time limit for inactive STN sessions doubles to 40 minutes

NEWS 3 AUG 18 COMPENDEX indexing changed for the Corporate Source (CS) field

NEWS AUG 24 ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced

NEWS AUG 24 CA/CAplus enhanced with legal status information for U.S. patents

6 SEP 09 50 Millionth Unique Chemical Substance Recorded in NEWS CAS REGISTRY

NEWS 7 SEP 11 WPIDS, WPINDEX, and WPIX now include Japanese FTERM thesaurus

NEWS 8 OCT 21 Derwent World Patents Index Coverage of Indian and Taiwanese Content Expanded

NEWS 9 OCT 21 Derwent World Patents Index enhanced with human translated claims for Chinese Applications and Utility Models

NEWS 10 OCT 27 Free display of legal status information in CA/CAplus, USPATFULL, and USPAT2 in the month of November.

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4, AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

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Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 14:13:55 ON 18 NOV 2009

=> FILE REG

10/533,683 11/18/2009 STN: SEARCH

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.88 0.88

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 16 NOV 2009 HIGHEST RN 1192511-54-8 DICTIONARY FILE UPDATES: 16 NOV 2009 HIGHEST RN 1192511-54-8

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Uploading C:\Program Files\Stnexp\Queries\GO-FREE.str

```
chain nodes :
13 14 15 16 17 18 19 20 21 22 23 24 25 26 27 28 29 30 31 32 33
34 35 36
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12
chain bonds :
1-19 2-31 3-17 4-29 5-30 6-13 7-35 8-34 9-33 10-32 11-19 12-36 13-14
14 - 15 \quad 14 - 16 \quad 17 - 18 \quad 19 - 20 \quad 20 - 21 \quad 21 - 22 \quad 22 - 23 \quad 22 - 26 \quad 23 - 24 \quad 23 - 25 \quad 26 - 27 \quad 26 - 28
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
6-13 13-14 14-15 14-16 17-18 22-23 22-26
exact bonds :
1 - 19 \quad 2 - 31 \quad 3 - 17 \quad 4 - 29 \quad 5 - 30 \quad 7 - 35 \quad 8 - 34 \quad 9 - 33 \quad 10 - 32 \quad 11 - 19 \quad 12 - 36 \quad 19 - 20 \quad 20 - 21
21-22 23-24 23-25 26-27 26-28
normalized bonds :
1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 7-8 \quad 7-12 \quad 8-9 \quad 9-10 \quad 10-11 \quad 11-12
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G1:Cb,Cy,Ak

10/533,683 11/18/2009 STN: SEARCH

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 36:CLASS 36:CLASS 36:CLASS 36:CLASS 36:CLASS

L1 STRUCTURE UPLOADED

=> D L1

L1 HAS NO ANSWERS

L1 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> S L1 FULL

FULL SEARCH INITIATED 14:16:37 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 131 TO ITERATE

100.0% PROCESSED 131 ITERATIONS 48 ANSWERS

SEARCH TIME: 00.00.01

L2 48 SEA SSS FUL L1

=> FILE CAPLUS

COST IN U.S. DOLLARS

SINCE FILE
ENTRY
SESSION
FULL ESTIMATED COST

185.88

186.76

FILE 'CAPLUS' ENTERED AT 14:16:46 ON 18 NOV 2009 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 18 Nov 2009 VOL 151 ISS 21
FILE LAST UPDATED: 17 Nov 2009 (20091117/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

CAplus now includes complete International Patent Classification (IPC)

10/533,683 11/18/2009 STN: SEARCH

reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

During November, try the new LSUS format of legal status information in the  ${\rm CA/CAplus}$  family databases for free! Complete details on the number of free displays and other databases participating in this offer appear in NEWS 10.

=> S L2

L3 55 L2

=> S L2 AND TRANSDERMAL

55 L2

18378 TRANSDERMAL

L4 5 L2 AND TRANSDERMAL

=> S L3 AND SKIN

314669 SKIN

L5 2 L3 AND SKIN

=> S L3 AND DEVICE

1099698 DEVICE

L6 1 L3 AND DEVICE

=> S L3 AND DELIVERY

348206 DELIVERY

L7 25 L3 AND DELIVERY

=> S L3 AND TRANSDERMAL DELIVERY

18378 TRANSDERMAL

348206 DELIVERY

3041 TRANSDERMAL DELIVERY

(TRANSDERMAL(W)DELIVERY)

L8 3 L3 AND TRANSDERMAL DELIVERY

=> D L3 IBIB ABS HITSTR 1-3

L3 ANSWER 1 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:1260506 CAPLUS

DOCUMENT NUMBER: 151:469844

TITLE: Preparation of deuterated derivatives of

3-(2-hydroxy-5-methylphenyl)-N,N-diisopropyl-3-

phenylpropylamine (tolterodine) for therapeutic use

INVENTOR(S): Liu, Julie F.

PATENT ASSIGNEE(S): Concert Pharmaceuticals Inc., USA

SOURCE: PCT Int. Appl., 50pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	ENT 1	NO.			KIND DATE				APPLICATION NO.							DATE			
WO	2009	 1268	44		A2		2009	1015		——— WO 2	 009-1	JS40:	 126		2	0090.	409		
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		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,		
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,		
		KG,	KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,		
		ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,		
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	ΤJ,		
		TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW				
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HR,	HU,		
		ΙE,	IS,	IT,	LT,	LU,	LV,	MC,	MK,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,		
		SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,		
		TD,	ΤG,	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,		
		ZW,	AM,	ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM								
PRIORITY GI	APP:	LN.	INFO	.:						US 2	-800	4372	9P	:	P 2	0080	409		

This invention relates to novel derivs. of tolterodine, 5-hydroxymethyl tolterodine, fesoterodine of formula (I) (wherein R2 and R3 are independently selected from -CD(CD3)2 and -CH(CH3)2) and pharmaceutically acceptable salts thereof. This invention also provides compns. comprising a compound of this invention and the use of such compns. in methods of treating diseases and conditions that are beneficially treated by muscarinic receptor antagonists (no data). Example compound II was prepared by a multi-step process culminating in the reaction of (R)-3-(2-(benzyloxy)-5-(benzyloxymethyl)phenyl)-3-phenylpropanoyl chloride with diisopropyl amine-d14 followed by reduction of the carbonyl group and deprotection of the phenolic alc. to give II as a yellow oil (72% yield). Deuteration may contribute to increased stability of the compds. of this invention in biol. systems. Select I were evaluated in human liver microsomes metabolic stability assays (data given).

TT 1126611-85-5P 1126611-88-8P 1191280-74-6P

IT 1126611-85-5P 1126611-88-8P 1191280-74-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of deuterated derivs. of tolterodine for therapeutic use)

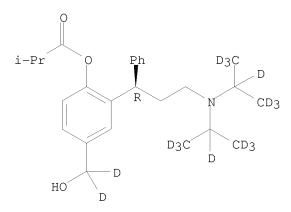
RN 1126611-85-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

1126611-88-8 CAPLUS RN CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 1191280-74-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED



ANSWER 2 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:1235711 CAPLUS

151:433892 DOCUMENT NUMBER:

TITLE: Novel mandelate salt of fesoterodine

INVENTOR(S): Charugundla, Kishore; Kumar, Udhaya; Neela, Praveen

Kumar; Pradhan, Nitin Sharadchandra; Valgeirsson, Jon

PATENT ASSIGNEE(S): Actavis Group Ptc Ehf, Iceland

SOURCE: PCT Int. Appl., 31pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	TENT :	NO.			KIND DATE				APPL	ICAT		DATE					
WO	2009	 1223	03		A2	_	2009	1008		——— WO 2	 009-	 IB56	 79		2	 0090	406
	W:	ΑE,	AG,	AL,	AM,	AO,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
		FΙ,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
		KG,	KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
		ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	ΤJ,
		TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW		
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		ΙE,	IS,	IT,	LT,	LU,	LV,	MC,	MK,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,
		SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,
		TD,	TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,
		ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM	·	•	•	·	·	·
IN	2008	CH00	862	,	A	,	2009	1009	,	IN 2	008-	CH86.	2		2	0800	404
PRIORIT	Y APP	LN.	INFO	.:						IN 2	008-	CH86	2	i	A 2	0800	404
OTHER S	W: AE, AG, CA, CH, FI, GB, KG, KM, ME, MG, PL, PT, TM, TN, RW: AT, BE, IE, IS, SK, TR, TD, TG, ZW, AM,					REAC	T 15	1:43	3892								

Provided herein is a novel mandelate salt of fesoterodine, process for the AΒ preparation, pharmaceutical compns., and method of treating thereof. Provided also herein are solid state forms of fesoterodine mandelate, process for the preparation, pharmaceutical compns., and method of treating thereof. mandelate salt of fesoterodine is useful for preparing fesoterodine free base or a pharmaceutically acceptable salt thereof, particularly fesoterodine fumarate, in high purity.

IT 286930-02-7P, Fesoterodine RL: PEP (Physical, engineering or chemical process); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses)

(mandelate salt of fesoterodine for pharmaceutical compns.)

- RN 286930-02-7 CAPLUS
- CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

IT 286930-03-8, Fesoterodine fumarate

RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(mandelate salt of fesoterodine for pharmaceutical compns.)

- RN 286930-03-8 CAPLUS
- CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7

CMF C26 H37 N O3

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

E CO2H

IT 1189518-24-8P

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(mandelate salt of fesoterodine for pharmaceutical compns.)

RN 1189518-24-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 286930-02-7 CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).

CM 2

CRN 90-64-2 CMF C8 H8 O3

Ph | HO-CH-CO<sub>2</sub>H

L3 ANSWER 3 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2009:1207949 CAPLUS

10/533,683 11/18/2009

STN: SEARCH

DOCUMENT NUMBER: 151:425350

TITLE: Preparation of deuterated oxybutynins as muscarinic

acetylcholine receptor modulators.

INVENTOR(S): Gant, Thomas G.; Sarshar, Sepehr PATENT ASSIGNEE(S): Auspex Pharmaceuticals, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 96pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

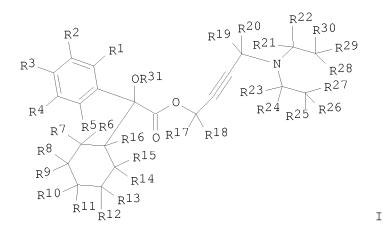
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20090247628	A1	20091001	US 2009-409420		20090323
PRIORITY APPLN. INFO.:			US 2008-39166P	Ρ	20080325

OTHER SOURCE(S): MARPAT 151:425350

GΙ



- AB Title compds. (I; R1-R31 = H, D; ≥1 of R1-R31 = D), were prepared for treatment of incontinence, overactive bladder, etc. (no data). A procedure for preparation of I (R1-R30 = D; R31 = H) from C6D5CH(OH)CO2H, d16-cyclohexyl bromide, C1D2CCC1DCD2Cl, and d11-diethylamine was given.

  TT 286930-02-7. Fesoterodine
- 286930-02-7, Fesoterodine
  RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
  (coadministration; preparation of deuterated oxybutynins as muscarinic acetylcholine receptor modulators)
- RN 286930-02-7 CAPLUS
- CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

10/533,683 11/18/2009

## => D L3 IBIB ABS HITSTR 1-55

ANSWER 1 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

2009:1260506 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 151:469844

TITLE: Preparation of deuterated derivatives of

3-(2-hydroxy-5-methylphenyl)-N,N-diisopropyl-3-

phenylpropylamine (tolterodine) for therapeutic use

INVENTOR(S): Liu, Julie F.

Concert Pharmaceuticals Inc., USA PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 50pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GΙ

P	ATENT :	NO.			KIN	D	DATE		-	APPL	ICAT	ION I	NO.		D	ATE	
W(	2009	1268	 44		A2	_	2009	1015	;	——— WO 2	 009-1	US40:	 126		2	0090	409
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		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
		FΙ,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,
		KG,	KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
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		ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	ΤJ,	TM						
PRIORI:	TY APP	LN.	INFO	.:					· .	US 2	008-	4372	9P		P 2	0080	409
GT																	

This invention relates to novel derivs. of tolterodine, 5-hydroxymethyl tolterodine, fesoterodine of formula (I) (wherein R2 and R3 are independently selected from -CD(CD3)2 and -CH(CH3)2) and pharmaceutically acceptable salts thereof. This invention also provides compns. comprising a compound of this invention and the use of such compns. in methods of treating diseases and conditions that are beneficially treated by muscarinic receptor antagonists (no data). Example compound II was prepared by a multi-step process culminating in the reaction of (R)-3-(2-(benzyloxy)-5-(benzyloxymethyl)phenyl)-3-phenylpropanoyl chloride with diisopropyl amine-d14 followed by reduction of the carbonyl group and deprotection of the phenolic alc. to give II as a yellow oil (72% yield). Deuteration may contribute to increased stability of the compds. of this invention in biol. systems. Select I were evaluated in human liver microsomes metabolic stability assays (data given).

IT 1126611-85-5P 1126611-88-8P 1191280-74-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of deuterated derivs. of tolterodine for therapeutic use)

RN 1126611-85-5 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1126611-88-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 1191280-74-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

ACCESSION NUMBER: 2009:1235711 CAPLUS

T.3

ANSWER 2 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

DOCUMENT NUMBER: 151:433892 Novel mandelate salt of fesoterodine TITLE: INVENTOR(S): Charuqundla, Kishore; Kumar, Udhaya; Neela, Praveen Kumar; Pradhan, Nitin Sharadchandra; Valgeirsson, Jon PATENT ASSIGNEE(S): Actavis Group Ptc Ehf, Iceland PCT Int. Appl., 31pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. \_\_\_\_\_ \_\_\_\_\_ \_\_\_\_ \_\_\_\_\_ WO 2009122303 A2 20091008 WO 2009-IB5679 20090406 W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM A 20091009 IN 2008-CH862 IN 2008CH00862 20080404 IN 2008-CH862 PRIORITY APPLN. INFO.: A 20080404 OTHER SOURCE(S): CASREACT 151:433892 Provided herein is a novel mandelate salt of fesoterodine, process for the preparation, pharmaceutical compns., and method of treating thereof. Provided also herein are solid state forms of fesoterodine mandelate, process for the preparation, pharmaceutical compns., and method of treating thereof. mandelate salt of fesoterodine is useful for preparing fesoterodine free base or a pharmaceutically acceptable salt thereof, particularly fesoterodine fumarate, in high purity. ΤТ 286930-02-7P, Fesoterodine RL: PEP (Physical, engineering or chemical process); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses) (mandelate salt of fesoterodine for pharmaceutical compns.) 286930-02-7 CAPLUS RN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-CN phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

IT 286930-03-8, Fesoterodine fumarate

RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (mandelate salt of fesoterodine for pharmaceutical compns.)

RN 286930-03-8 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7 CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

10/533,683 11/18/2009

IT 1189518-24-8P

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);

STN: SEARCH

BIOL (Biological study); PREP (Preparation); USES (Uses)

(mandelate salt of fesoterodine for pharmaceutical compns.)

RN 1189518-24-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 286930-02-7 CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).

CM 2

CRN 90-64-2 CMF C8 H8 O3

Ph | HO-CH-CO<sub>2</sub>H

INVENTOR(S):

PATENT ASSIGNEE(S):

L3 ANSWER 3 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:1207949 CAPLUS

DOCUMENT NUMBER: 151:425350

TITLE: Preparation of deuterated oxybutynins as muscarinic

acetylcholine receptor modulators. Gant, Thomas G.; Sarshar, Sepehr Auspex Pharmaceuticals, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 96pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

10/533,683 11/18/2009

STN: SEARCH

LANGUAGE: English FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE \_\_\_\_\_ \_\_\_\_ \_\_\_\_\_ US 20090247628 Α1 20091001 US 2009-409420 20090323 PRIORITY APPLN. INFO.: US 2008-39166P 20080325

OTHER SOURCE(S): MARPAT 151:425350

GΙ

Title compds. (I; R1-R31 = H, D;  $\geq$ 1 of R1-R31 = D), were prepared for AΒ treatment of incontinence, overactive bladder, etc. (no data). A procedure for preparation of I (R1-R30 = D; R31 = H) from C6D5CH(OH)CO2H, d16-cyclohexyl bromide, ClD2CCClDCD2Cl, and d11-diethylamine was given.

ΙT 286930-02-7, Fesoterodine RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(coadministration; preparation of deuterated oxybutynins as muscarinic acetylcholine receptor modulators)

Ι

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

ANSWER 4 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:671311 CAPLUS

DOCUMENT NUMBER: 151:15992

The use of muscarinic receptor antagonists for the TITLE:

treatment of skin disorders

INVENTOR(S):

Roach, Alan Geoffrey; Blackburn, Nigel; Tinsley, Jonathon Mark; Wilson, Fancis Xavier; Goldsmith, Paul

PATENT ASSIGNEE(S): Summit Corporation PLC, UK

SOURCE: PCT Int. Appl., 46pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	ENT I	.OV			KIN	D	DATE		Ž	APPL	ICAT	ION I	.OV		Dž	ATE		
WO	2009	 0688'	 76		A1	_	2009	0604	Ī	WO 2	 008-0	 GB39	 53		20	0081	 127	
	W:	ΑE,	AG,	AL,	AM,	AO,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	
		FΙ,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	
		KG,	KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	
		ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	ТJ,	
		TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW			
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HR,	HU,	
		ΙE,	IS,	ΙΤ,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,	
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	
		ΤG,	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	
		ΑM,	AZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM								
PRIORITY	FI, GB, KG, KM, ME, MG, PL, PT, TM, TN, RW: AT, BE, IE, IS, TR, BF, TG, BW, AM, AZ,								(	GB 2	007-	2358	7	Ž	A 20	0071	130	
									GB 2007-23588						A 20071130			
	PATENT NO WO 2009068876 W: AE, AG, CA, CH, FI, GB, KG, KM, ME, MG, PL, PT, TM, TN, RW: AT, BE, IE, IS, TR, BF, TG, BW, AM, AZ, RIORITY APPLN. INFO								GB 2007-23589						A 20071130			

Muscarinic receptor antagonists for use as antibacterial agents are described, and in particular the use of certain muscarinic receptor antagonists that have dual antibacterial and anti-sebum secretion activity in the treatment of various skin disorders, including acne. Also described is the use of muscarinic receptor antagonists as anti-sebum agents and in cosmetic compns. for use in reducing facial shine and to cosmetic methods based thereon. Antibacterial and anti-sebum activity of

10/533,683 11/18/2009 STN: SEARCH

oxybutynin chloride was shown in male volunteers.

IT 286930-02-7, Fesoterodine

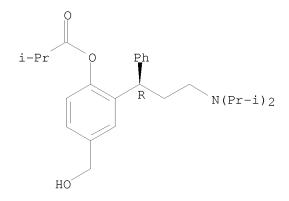
RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(use of muscarinic receptor antagonists for treatment of skin disorders)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:670446 CAPLUS

DOCUMENT NUMBER: 150:572448

TITLE: Transdermal delivery system for fesoterodine

INVENTOR(S): Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael

PATENT ASSIGNEE(S): Schwarz Pharma A.-G., Germany

SOURCE: Ger., 26pp.
CODEN: GWXXAW

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10315878		20090604	DE 2003-10315878	20030408
DE 10315878		20041104	777 0004 000007	00040400
AU 2004228927		20041021	AU 2004-228927	20040403
AU 2004228927 CA 2505780		20070517	CA 2004-2505780	20040403
CA 2505780		20041021	CA 2004-2505760	20040403
WO 2004089346	•		WO 2004-EP3574	20040403
W: AE, AG, AL,	AM, AT,	AU, AZ, E	BA, BB, BG, BR, BW, B	Y, BZ, CA, CH,
CN, CO, CR,	CU, CZ,	DE, DK, I	DM, DZ, EC, EE, EG, E	S, FI, GB, GD,
GE, GH, GM,	HR, HU,	ID, IL, I	IN, IS, JP, KE, KG, K	P, KR, KZ, LC,
, , ,	, ,	, ,	MD, MG, MK, MN, MW, M	, , , , ,
NO, NZ, OM,	PG, PH,	PL, PT, F	RO, RU, SC, SD, SE, S	G, SK, SL, SY,

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TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
        RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
            BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
            ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
             SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
             TD, TG
    EP 1530461
                               20050518
                                           EP 2004-725614
                                                                  20040403
                         Α1
    EP 1530461
                         В1
                               20071003
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
                               20050816
                                          BR 2004-6212
    BR 2004006212
                       A
                                                                  20040403
                                          CN 2004-80009176
    CN 1767820
                         Α
                               20060503
                                                                  20040403
    CN 100441179
                        С
                               20081210
    JP 2006522759
                        Τ
                               20061005
                                           JP 2006-504992
                                                                  20040403
    NZ 539214
                        Α
                               20070223
                                           NZ 2004-539214
                                                                  20040403
                                           AT 2004-725614
    AT 374605
                         Τ
                               20071015
                                                                  20040403
                        Т3
    ES 2295848
                               20080416
                                           ES 2004-725614
                                                                  20040403
                        Α
    MX 2005003561
                               20050617
                                          MX 2005-3561
                                                                  20050401
    ZA 2005002681
                         Α
                               20051013
                                           ZA 2005-2681
                                                                  20050401
                                           US 2005-533683
    US 20060029673
                         Α1
                               20060209
                                                                  20050426
    KR 2006003334
                                           KR 2005-718006
                         Α
                               20060110
                                                                  20050926
    NO 2005004644
                         Α
                               20051010
                                           NO 2005-4644
                                                                  20051010
    US 20090274761
                         Α1
                               20091105
                                           US 2009-417405
                                                                  20090402
                                                               A 20030408
W 20040403
PRIORITY APPLN. INFO.:
                                           DE 2003-10315878
                                           WO 2004-EP3574
                                           US 2005-533683
                                                               A3 20050426
AΒ
    The invention concerns a transdermal drug delivery system for (R)-2
     [3-(1,1-diisopropylamino)-1-phenylpropyl] -4-(hydroxymethyl)phenyl
    isobutyrate (Fesoterodin) in form of a plaster that includes (a) a
    fesoterodine-containing adhesive matrix; (b) a protective layer that is
    removed upon application; (c) the adhesive matrix is a polymer matrix with
    50-95 weight% adhesive selected from the group of acrylate-vinylacrylate
    copolymers, EVA (ethylene vinylacetate)-based adhesive, silicone, styrene
    block copolymers, adhesive rubbers polyisobutylene, polybutadiene,
    neoprene and polyisoprene. 8.5 G of an adhesive mixture composed of BIO-PSA
    7-4300 from Dow-Corning and 5 weight/weight% ozokerite was heated to
    150°C for 20 min until a homogeneous melt was formed. 1.5 G
    fesoterodine were added to the melt; the mixture was kept for addnl. 5 min
    at 150°C; followed by application onto a preheated foil. 5 Cm2
    samples were used for dissoln. studies.
    286930-02-7P, Fesoterodine
    RL: PEP (Physical, engineering or chemical process); RCT (Reactant); SPN
    (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
    PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES
     (Uses)
        (transdermal delivery system for fesoterodine)
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Absolute stereochemistry. Rotation (+).

286930-02-7 CAPLUS

RN

Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

IT 286930-03-8P, Fesoterodine fumarate

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(transdermal delivery system for fesoterodine)

RN 286930-03-8 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7 CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

10/533,683 11/18/2009 STN: SEARCH

CO2H HO2C

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 6 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:549505 CAPLUS

DOCUMENT NUMBER: 150:523645

Combination of PDE5 inhibitors with muscarinic TITLE:

receptor antagonists

INVENTOR(S): Sandner, Peter; Tinel, Hanna; Huetter, Joachim PATENT ASSIGNEE(S): Bayer Schering Pharma Aktiengesellschaft, Germany

PCT Int. Appl., 13pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					KIND		DATE			APPL	ICAT	ION I		DATE			
WO 2	2009	0562:	32		A2	_	 2009	0507	1	WO 2	 008-1	EP87	 65		2	0081	016
	W:	ΑE,	AG,	AL,	AM,	AO,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
		KG,	KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
		ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	ТJ,
		TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW		
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
		ΙE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
		ΤG,	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
		AM,	AZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM							
RITY	APP:	LN.	INFO	.:						EP 2	007-	2118:	1	i	A 20	0071	030

PRIORITY APPLN. INFO.: The present invention relates to combinations of phosphodiesterases (PDEs) and muscarinic receptors or beta adrenergic receptors and the pharmacol.

of PDE inhibitors and muscarinic receptor antagonists or beta adrenergic receptors.

286930-02-7, Fesoterodine ΤT

> RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combination of PDE5 inhibitors with muscarinic receptor antagonists)

286930-02-7 CAPLUS RN

Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

L3 ANSWER 7 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:435647 CAPLUS

DOCUMENT NUMBER: 151:278670

TITLE: The pharmacokinetic profile of fesoterodine:

similarities and differences to tolterodine

AUTHOR(S): Simon, Hans-Uwe; Malhotra, Bimal

CORPORATE SOURCE: Institute of Pharmacology, University of Bern, Bern,

Switz.

SOURCE: Swiss Medical Weekly (2009), 139(9/10), 146-151

CODEN: SMWWAI; ISSN: 1424-7860

PUBLISHER: EMH Swiss Medical Publishers Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

Fesoterodine is a new antimuscarinic agent developed for the treatment of over-active bladder. Fesoterodine itself is inactive and is rapidly and extensively converted by ubiquitous esterases to its principal active moiety, 5-hydroxy-Me tolterodine (5-HMT). 5-HMT is formed via biotransformation of both fesoterodine and tolterodine, albeit by different metabolizing enzymes, viz. esterases and CYP2D6 resp. Tolterodine is a potent muscarinic receptor antagonist and has been used for the treatment of overactive bladder for over ten years. The objective of this study was to establish the pharmacokinetic profile of fesoterodine and to highlight its potential pharmacokinetic advantages over tolterodine. Single-center, open-label, randomized, 4-way crossover study in a total of 24 healthy male volunteers. Single oral doses of 4, 8, or 12 mg fesoterodine were administered after an overnight fast. In addition, the 8 mg dose was also administered after a standard high-fat and high-calorie breakfast. Blood and urine samples for the anal. of 5-HMT were collected before and multiple times after drug administration for pharmacokinetic anal. The mean peak plasma concentration (Cmax) of 5-HMT and the mean area

under
the time vs. concentration curve (AUC) increased proportionally with the
fesoterodine dose. These two parameters were some 2-fold higher in CYP2D6
poor metabolisers, whereas the time to peak plasma concentration (tmax) and

half

life (t1/2) were not influenced by the dose or the CYP2D6 metabolizer status. If fesoterodine was taken following a high-fat breakfast, we observed small increases in Cmax and AUC. In spite of these modest genetic influences and food effects on the pharmacokinetics of fesoterodine, the overall interindividual variability in Cmax levels was relatively little

compared to previously published reports using tolterodine. Due to the esterase-mediated cytochrome P 450-independent formation of 5-HMT and involvement of multiple metabolic and renal excretion pathways in the elimination of 5-HMT, the effects of patient-intrinsic and -extrinsic factors on the pharmacokinetics of fesoterodine are only modest, with some 2-fold higher 5-HMT exposure. Therefore, in contrast to tolterodine, no reduction of fesoterodine dosage is required under conditions of reduced elimination. In most cases of drug interaction or renal/hepatic impairment, the fesoterodine dose may be increased to 8 mg/day based on individual patients' response, or patients may be required to remain at the initial recommended dose of 4 mg/day.

IT 286930-02-7, Fesoterodine

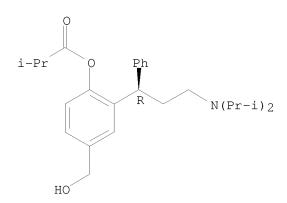
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(single dose administration of fesoterodine affected pharmacokinetic parameters of 5-hydroxymethyl tolterodine and its dosage reduction was not required compared to tolterodine in healthy human)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:425777 CAPLUS

DOCUMENT NUMBER: 150:406607

TITLE: Amorphous fesoterodine fumarate preparation and use in

treating urinary incontinence

INVENTOR(S): Charuqundla, Kishore; Chandramohan, Udhaya Kumar;

Neela, Praveen Kumar; Pradhan, Nitin Sharadchandra;

Valgeirsson, Jon

PATENT ASSIGNEE(S): Actavis Group PTC ehf, Iceland

SOURCE: PCT Int. Appl., 26pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

10/533,683 11/18/2009

## PATENT INFORMATION:

APPLICATION NO. WO 2009044278 A1 0000 PATENT NO. KIND DATE DATE \_\_\_\_\_ \_\_\_\_\_ A1 20090409 WO 2008-IB3105 20081001 W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM PRIORITY APPLN. INFO.: IN 2007-CH2206 A 20071001 The present invention provides a novel amorphous form of fesoterodine fumarate, process for preparation, pharmaceutical compns., and method of treating thereof. Fesoterodine fumarate (2.0 g) was dissolved in a mixture of dichloromethane (35 mL) and methanol (15 mL) at  $25-30^{\circ}$  to obtain a clear solution The solvents were removed completely under vacuum at 40° and then dried for 12 h to give 1.8 g of fesoterodine fumarate in amorphous form (HPLC purity - 99.8%). 286930-03-8P, Fesoterodine fumarate RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (amorphous fesoterodine fumarate preparation and use in treating urinary incontinence)

STN: SEARCH

286930-03-8 CAPLUS RN

Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-CN phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

ΙT

CRN 286930-02-7 CMF C26 H37 N O3

10/533,683 11/18/2009

STN: SEARCH

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

E CO2H HO2C

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 9 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:364437 CAPLUS

DOCUMENT NUMBER: 150:374130

TITLE: process for the preparation of fesoterodine from

4-phenyl-6-halochroman-2-ones

INVENTOR(S): Charugundla, Kishore; Kumar, Udhaya; Patil, Rajendra

Suryabhan; Neela, Praveen Kumar; Pradhan, Nitin

Sharadchandra; Valgeirsson, Jon

Actavis Group PTC ehf, Iceland

SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT ASSIGNEE(S):

		ENT				KIND DATE					APPL	ICAT							
		2009				A2	_	2009	0326	,	WO 2					2	0080	922	
	WO	2009	0375	69		А3		2009	0716										
		W:	ΑE,	ΑG,	AL,	ΑM,	ΑΟ,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	
			CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	
			FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	
			KG,	KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	
			ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	ΝI,	NO,	NΖ,	OM,	PG,	PH,	
			PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	ТJ,	
			TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	ZW			
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HR,	HU,	
			ΙE,	IS,	ΙT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,	
			TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	
			ΤG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	
			ΑM,	ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑP,	EA,	EP,	ΟA				
PRIO	RITY	Z APP	LN.	INFO	.:						IN 2	007-	CH21	29		A 20070921			
											IN 2007-CH3137						0071	228	
OTHE	R SC	DURCE	(S):			CASREACT 150:374130; MARPAT 150:374130													
AB	Fes	soter	odin	e wa	s pr	epar	ed i	n 10	ste	os s	tart	ina							

Fesoterodine was prepared in 10 steps starting from 4-phenyl-6-halochroman-2-ones (halo = F, Cl, Br, iodo). The process includes an improved and industrially advantageous optical resolution method of racemic  $(\pm)$ -N,N-diisopropyl-3-(2-benzyloxy-5-bromophenyl)-3phenylpropylamine. Thus, racemic N, N-diisopropyl-3-(2-benzyloxy-5bromophenyl)-3-phenylpropylamine (preparation given) was refluxed with

di-p-toluoyl-L-tartaric acid in Me2CHOH followed by cooling to  $25-30^{\circ}$  and filtration to give the salt of the (R)-amine. This in H2O was treated with Na2CO3 to pH 9-10 followed by extraction with CH2Cl2 to qive (R)-N, N-diisopropyl-3-(2-benzyloxy-5-bromophenyl)-3-phenylpropylamine in 99.6% HPLC purity.

286930-02-7P, Fesoterodine ΙT

> RL: IMF (Industrial manufacture); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)

(process for the preparation of fesoterodine from phenylhalochromanones)

286930-02-7 CAPLUS RN

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

286930-03-8P, Fesoterodine fumarate ΤТ

> RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(process for the preparation of fesoterodine from phenylhalochromanones)

286930-03-8 CAPLUS RN

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7 CMF C26 H37 N O3

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

L3 ANSWER 10 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:272626 CAPLUS

DOCUMENT NUMBER: 150:464021

TITLE: Comparison of receptor binding characteristics of

commonly used muscarinic antagonists in human bladder

detrusor and mucosa

AUTHOR(S): Mansfield, Kylie J.; Chandran, Jonathan J.; Vaux,

Kenneth J.; Millard, Richard J.; Christopoulos,

Arthur; Mitchelson, Frederick J.; Burcher, Elizabeth

CORPORATE SOURCE: Department of Pharmacology, School of Medical

Sciences, University of New South Wales, Sydney, New

South Wales, Australia

SOURCE: Journal of Pharmacology and Experimental Therapeutics

(2009), 328(3), 893-899

CODEN: JPETAB; ISSN: 0022-3565

PUBLISHER: American Society for Pharmacology and Experimental

Therapeutics

DOCUMENT TYPE: Journal LANGUAGE: English

AB Recent studies have described muscarinic receptors on the mucosa and the detrusor of the human urinary bladder. Muscarinic receptor antagonists are effective in the treatment of overactive bladder (OAB), but their site(s) of action and actual therapeutic target are unclear. Our aim was to compare, in human bladder mucosa and detrusor, the radioligand binding characteristics of newer, clin. effective agents: darifenacin, its hydroxylated metabolite UK-148,993, fesoterodine, solifenacin, tolterodine, and trospium. Specimens were collected from asymptomatic patients (50-72 years old) undergoing open bladder surgery. Radioligand

binding studies with the muscarinic antagonist [3H]quinuclidinyl benzilate (QNB) were performed sep. on detrusor and mucosal membranes. All antagonists displayed high affinity when competing for [3H]QNB binding in both detrusor and mucosa. Inhibition consts. were also obtained for all antagonists against individual muscarinic receptor subtypes expressed in Chinese hamster ovary cells. Here, fesoterodine showed anomalous binding results, suggesting that some conversion to its metabolite had occurred. Global nonlinear regression anal. of bladder binding data with five antagonists demonstrated 82% low-affinity sites in mucosa and 78% low-affinity sites in detrusor, probably representing M2/M4 receptors. There was an excellent correlation (r2 = 0.99) of low-affinity global ests. between detrusor and mucosa, whereas the corresponding high-affinity ests. (.apprx.20% of sites) were dissimilar. In conclusion, commonly used and clin. effective muscarinic receptor antagonists bind to receptors located on the bladder mucosa and the detrusor, providing support for the hypothesis that muscarinic receptors in the mucosa may represent an important site of action for these agents in OAB.

IT 286930-02-7, Fesoterodine

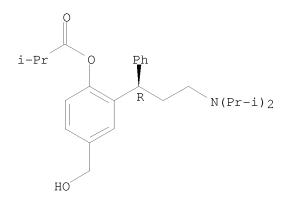
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(comparison of receptor binding characteristics of commonly used muscarinic antagonists in human bladder detrusor and mucosa)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:269549 CAPLUS

DOCUMENT NUMBER: 150:314119

TITLE: Deuterium-enriched fesoterodine

INVENTOR(S): Czarnik, Anthony W. PATENT ASSIGNEE(S): Protia, LLC, USA

SOURCE: U.S. Pat. Appl. Publ., 11pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

10/533,683 11/18/2009

## PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE \_\_\_\_\_ \_\_\_\_\_ \_\_\_\_ \_\_\_\_\_ \_\_\_\_\_ 20090305 US 20090062385 Α1 US 2008-198064 20080825 US 2007-968596P PRIORITY APPLN. INFO.: Ρ 20070829 MARPAT 150:314119 OTHER SOURCE(S):

The present application describes deuterium-enriched fesoterodine, pharmaceutically acceptable salt forms thereof, and methods of treating using the same. Markush structures are given (no data).

ΙT 1126611-81-1 1126611-82-2 1126611-83-3 1126611-85-5 1126611-84-4 1126611-86-6 1126611-87-7 1126611-88-8

RL: PRPH (Prophetic); THU (Therapeutic use); BIOL (Biological study); USES

STN: SEARCH

(deuterium-enriched fesoterodine)

RN 1126611-81-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

1126611-82-2 CAPLUS RN CN INDEX NAME NOT YET ASSIGNED

RN 1126611-83-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 1126611-84-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1126611-85-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

1126611-86-6 CAPLUS RN INDEX NAME NOT YET ASSIGNED CN

Absolute stereochemistry.

RN 1126611-87-7 CAPLUS INDEX NAME NOT YET ASSIGNED CN

RN 1126611-88-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

ANSWER 12 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN L3 ACCESSION NUMBER: 2009:198480 CAPLUS DOCUMENT NUMBER: 150:245316 TITLE: Drug combinations for the treatment of clozapine-induced sialorrhea INVENTOR(S): Goldsmith, Paul; Roach, Alan Geoffrey Summit Corporation PLC, UK PATENT ASSIGNEE(S): PCT Int. Appl., 24pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. ----\_\_\_\_\_\_ WO 2009022096 A1 20090219 WO 2008-GB2650 20080804 W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM PRIORITY APPLN. INFO.: GB 2007-15790 A 20070813 A combination comprises an lpha 2-adrenoceptor agonist and an anti-muscarinic agent for the treatment or prevention of sialorrhoea, for example clozapine-induced sialorrhoea, in a patient subgroup selected from: (I) those suffering from, or at risk of suffering from: (a) a pathol. confused mental state; (b) hallucinations; (c) dementia, for example Lewy body dementia; (d) cognitive disturbances; (e) bladder outflow obstruction; (f) prostatism, for example benign prostatic hypertrophy or prostate cancer; (g) glaucoma; (h) hypotension; (i) somnolence; (j) ocular hypertension and (k) needle phobia; or (II) (a) individuals with cortical Lewy bodies; (b) males with an enlarged prostate; (c) individuals with a tendency to presyncope or syncope; (d) individuals with a score  $\geq$  1 on questions 1.1 and I.2 on the UPDRS or <88/100 on the Cambridge ACE (Addenbrooke's cognitive assessment); (e) individuals with a score  $\geq$  1 on American Urol. Association symptom index; (f) individuals with an intraocular pressure of >20 mmHg or taking medication to lower previously raised intraocular pressure; (q) individuals with needle phobia; (h) individuals with a score 1 on Q42 on section C of the UPDRS (unified Parkinson's disease rating scale); (i) individuals with a score 1 on Q41 on section C of the UPDRS; (j) individuals with an ESS (Epworth sleepiness score) of >10; and (k) individuals with a leaky blood brain barrier. Thus, a reduction in saliva production following administration of oxybutynin and clonidine was observed in

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

healthy male volunteers.

286930-02-7, Fesoterodine

ΤТ

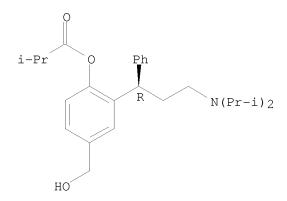
(Biological study); USES (Uses)

( $\alpha$ 2-adrenoceptor agonist combinations with antimuscarinic agent for treatment of clozapine-induced sialorrhea)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:46157 CAPLUS

DOCUMENT NUMBER: 151:417

TITLE: Pharmacokinetic profile of fesoterodine

AUTHOR(S): Malhotra, B.; Guan, Z.; Wood, N.; Gandelman, K.

CORPORATE SOURCE: Pfizer Inc, New York, NY, USA

SOURCE: International Journal of Clinical Pharmacology and

Therapeutics (2008), 46(11), 556-563

CODEN: ICTHEK; ISSN: 0946-1965

PUBLISHER: Dustri-Verlag Dr. Karl Feistle

DOCUMENT TYPE: Journal LANGUAGE: English

AB Fesoterodine is a new antimuscarinic agent for the treatment of overactive bladder. Following oral administration, fesoterodine is rapidly and extensively hydrolyzed by nonspecific esterases to its active moiety: 5-hydroxymethyl tolterodine (5-HMT). The cytochrome P 450 (CYP) enzymes are not involved in the formation of 5-HMT; however, CYP2D6 and CYP3A4 provide 2 alternative pathways for further metabolism and inactivation of  $5-{
m HMT}$ . Single oral doses of 4 mg, 8 mg, or 12 mg of fesoterodine sustained-release tablets in the fasted state and 8 mg in a fed state. This single-center, open-label, randomized, crossover study investigated the effects of fesoterodine in healthy volunteers comprised of CYP2D6 extensive metabolizers (EMs; n = 16) and CYP2D6 poor metabolizers (PMs; n = 16) = 8) after either an overnight fast or a high-fat and high-calorie breakfast. Adverse events, vital signs, ECG recordings and laboratory tests were monitored for safety assessment. For the principal active moiety, 5-HMT, the maximum plasma concentration (Cmax), area under the concentration-time curve

from time zero to time of last measurable concentration (AUCO-t) and amount excreted in urine (Ae) increased proportionally with dose in both EM and

PM subjects. The mean Cmax and AUCO-t in PMs were approx. twice those observed in EMs. CYP2D6 status had no effect on time to reach Cmax (5 h), renal clearance (.apprx.250 mL/min), or half-life (.apprx.8 h). Fesoterodine was well tolerated at all doses. While the incidence of dry mouth increased from 8-12 mg, all occurrences were mild-to-moderate. Fesoterodine demonstrated a pharmacokinetic (PK) profile that was favorable for once-daily dosing. The systemic exposure to 5-HMT increased proportionally with dose and was about 2-fold higher in PMs compared with EMs. There was no clin. relevant effect of food on the PK of fesoterodine. Fesoterodine was well tolerated at all dose levels studied.

IT 286930-02-7, Fesoterodine

RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmacokinetics profile of fesoterodine)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD

(4 CITINGS)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 14 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1500189 CAPLUS

DOCUMENT NUMBER: 150:506765

TITLE: Comparison of fesoterodine and tolterodine in patients

with overactive bladder

AUTHOR(S): Chapple, Christopher R.; Van Kerrebroeck, Philip E.;

Junemann, Klaus-Peter; Wang, Joseph T.; Brodsky,

Marina

CORPORATE SOURCE: The Royal Hallamshire Hospital, Sheffield, UK

SOURCE: BJU International (2008), 102(9), 1128-1132

CODEN: BJINFO; ISSN: 1464-4096

PUBLISHER: Wiley-Blackwell

DOCUMENT TYPE: Journal LANGUAGE: English

AB OBJECTIVE: To compare, in a post hoc anal. of a phase III trial, the maximum

recommended doses of fesoterodine (8 mg) and tolterodine (4 mg) for

improving overactive bladder (OAB) symptoms and health-related quality of

life (HRQoL), as fesoterodine effectively reduces OAB symptoms vs placebo. PATIENTS AND METHODS: Eligible patients with frequency (≥eight voids/24 h) and either urgency (≥six episodes over 3 days) or urgency urinary incontinence (UUI; ≥three episodes over 3 days) were randomized to placebo, fesoterodine 4 or 8 mg, or tolterodine extended-release (ER) 4 mg for 12 wk; fesoterodine 4 mg data were published elsewhere. Patients completed a 3-day bladder diary in which they recorded the time of each void, voided volume (W), and the severity of urgency. A post hoc inferential anal. was conducted on the primary endpoint (voids/24 h), the two co- primary endpoints (UUI episodes/24 h and treatment response), several secondary endpoints (severe urgency plus UUI per 24 h, mean W (MW)/void, and continent days/wk), HRQoL, using the King's Health Questionnaire (KHQ) and the International Consultation on Incontinence Questionnaire-Short Form (ICIQ-SF), and self-reported bladder-related problems. A subanal. also assessed all endpoints for patients who were incontinent at baseline. Tolerability and safety were assessed by evaluating adverse events, residual urine volume, laboratory variables

and treatment withdrawals. RESULTS: By week 12, patients with OAB in both active-treatment groups showed significant improvements in most bladder diary variables and treatment response rates compared with placebo. Fesoterodine 8 mg was statistically significantly better than tolterodine ER 4 mg for improving UUI episodes, severe urgency plus UUI, mean W, and number of continent days/wk. In addition, the fesoterodine and tolterodine ER groups showed significantly greater improvements in HRQoL than the placebo group, with pos. changes in most domains of the KHQ and an improvement in ICIQ-SF score. The fesoterodine 8-mg group had statistically significant improvements over placebo in eight of nine KHQ domains. A major improvement in the severity of bladder-related problems was reported by 39% of the fesoterodine 8 mg and 34% of the tolterodine ER groups vs 25% of those on placebo ( $P \le 0.01$ ). Results for the subgroup of incontinent patients at baseline were similar to the overall results. Adverse events reported most commonly with active treatment included dry mouth, constipation, dry eye, dry throat, and nausea. CONCLUSIONS: Both fesoterodine and tolterodine ER significantly improved OAB symptoms and HRQoL, with statistically significant advantages for fesoterodine 8 mg compared with tolterodine ER on several important endpoints.

286930-02-7, Fesoterodine

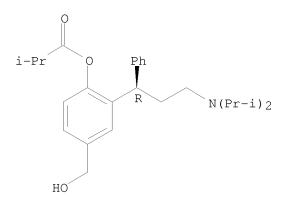
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(fesoterodine reduced urinary incontinence and improved overactive bladder symptoms and health-related quality of life compared to tolterodine extended release in patient with overactive bladder)

286930-02-7 CAPLUS RN

Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-CN phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1210834 CAPLUS

DOCUMENT NUMBER: 149:417766

TITLE: Combination therapy for the treatment-of lower urinary

tract symptoms

INVENTOR(S): Frenkl, Tara; Green, Stuart A.; Macintyre, Euan;

Mills, Sander G.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 35pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION 1	NO.		Di	ATE	
WO	2008	1212	 68		A1	_	2008	1009	,	 WO 2	008-	 US38	 73		2	0080	325
	W:	,	,	,	,	,	AT,	,	,	,	,	,	,	,	,	,	,
							CU,									,	•
		FΙ,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
		KG,	KM,	KN,	KΡ,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
		ΜE,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ТJ,	TM,
		TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	ZW			
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
		ΙE,	IS,	ΙΤ,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,
		TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
		AM,	ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM							
AU	2008	2332	32		A1		2008	1009		AU 2	008-	2332	32		2	0080	325
PRIORIT	Y APP	LN.	INFO	.:						US 2	007-	9207	55P	]	P 2	0070.	329
									,	WO 2	008-1	US38	73	Ī	W 2	0800	325

AB This invention concerns compns. for the treatment of Lower Urinary Tract Symptoms (LUTS), and especially LUTS which results from benign prostatic hypertrophy. The compns. of the invention comprise a Beta-3 agonist

STN: SEARCH

described below, optionally in combination with a 5-alpha reductase inhibitor, or an NK-1 antagonist or an alpha-1 adrenergic antagonist or an anti-muscarinic agent. The invention also includes compns. comprising a beta-3 agonist and two addnl. active agents selected from a 5-alpha reductase inhibitor, an NK-1 antagonist, an alpha-1 adrenergic antagonist or an anti-muscarinic agent.

IT 286930-02-7, Fesoterodine

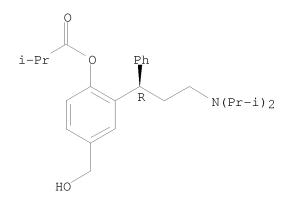
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combination therapy for treatment-of lower urinary tract symptoms)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1161316 CAPLUS

DOCUMENT NUMBER: 150:298553

TITLE: The effects of antimuscarinic treatments in overactive

bladder: an update of a systematic review and

meta-analysis

AUTHOR(S): Chapple, Christopher R.; Khullar, Vik; Gabriel,

Zahava; Muston, Dominic; Bitoun, Caty Ebel; Weinstein,

David

CORPORATE SOURCE: Royal Hallamshire Hospital, Urology Research,

Sheffield Teaching Hospital NHS Trust, Sheffield, UK

SOURCE: European Urology (2008), 54(3), 543-562

CODEN: EUURAV; ISSN: 0302-2838

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

AB Context: Antimuscarinic agents are currently the first-line pharmacotherapy for overactive bladder. Objectives: A systematic review published in 2005 was updated, including data on a newly licensed antimuscarinic (fesoterodine). The primary aim of this study was to systematically review evidence on the efficacy of licensed administration of antimuscarinic treatments in overactive bladder from randomised controlled trials. Secondary aims were to review evidence on tolerability

and safety and health-related quality of life (HRQL). Evidence acquisition: All relevant data sources from randomised controlled trials were searched, and two independent reviewers considered publications for inclusion and extracted relevant data. Meta-anal. was used to pool efficacy, tolerability, safety, and HRQL outcomes by treatment. Efficacy was measured by continent days, mean voided volume, urgency episodes, and micturition frequency. Tolerability and safety were measured by means of adverse event and withdrawal rates. HRQL was measured by various instruments. Evidence synthesis: An addnl. 1118 refs. were retrieved with data on 83 studies extracted Antimuscarinics were found to be more effective than placebo. Tolerability was good; few of the antimuscarinics were found to have significantly higher withdrawal rates in comparison to placebo. No serious adverse event for any product was statistically significant compared to placebo. Dry mouth (mild, moderate, severe) was the most commonly reported adverse event (29.6% on treatment vs 7.9% on placebo), followed by pruritus (15.4% on treatment vs 5.2% on placebo). Improvements were seen in HRQL with treatment by darifenacin, fesoterodine, oxybutynin transdermal delivery system, propiverine extended release (ER), solifenacin, tolterodine ER and immediate release, and trospium. Limitations of the study include restrictions on the types of patients typically included in overactive bladder trials and topics that have not been adequately addressed in the current antimuscarinic literature. Conclusions: Antimuscarinics are efficacious, safe, and well-tolerated treatments that improve HRQL. Profiles of each drug and dosage differ and should be considered in making treatment choices. 286930-02-7, Fesoterodine

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (fesoterodine showed efficacy, safety and well tolerated treatment in patient with overactive bladder)

RN 286930-02-7 CAPLUS

ΙT

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 17 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1102067 CAPLUS 149:347550 DOCUMENT NUMBER: Use of LHRH antagonists for the treatment of lower TITLE: urinary tract symptoms, in particular overactive bladder and/or detrusor overactivity INVENTOR(S): Engel, Juergen; Bauer, Oliver PATENT ASSIGNEE(S): Aeterna Zentaris G.m.b.H., Germany SOURCE: Eur. Pat. Appl., 18pp. CODEN: EPXXDW DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 2 PATENT INFORMATION: KIND DATE APPLICATION NO. PATENT NO. DATE \_\_\_\_ \_\_\_\_\_ EP 1967202 A1 20080910 EP 2007-103483 20070305 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AU 2008-223841 CA 2008 2007 AL, BA, HR, MK, RS AU 2008-2250-1 CA 2008-2679690 20080912 AU 2008223841 Α1 20080305 CA 2679690 Α1 20080912 20080305 WO 2008-EP52640 WO 2008107446 Α1 20080912 20080305 W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM US 2008-42522 US 20090075937 A1 20090319 US 2007-892899P P 20070305
WO 2009 REF1 20080305 PRIORITY APPLN. INFO.: W 20080305 WO 2008-EP52640 AB The present invention provides at least one LHRH antagonist for use in the preparation of a medicament for the treatment or prophylaxis of at least one lower urinary tract symptom in mammals, wherein the at least one lower urinary tract symptom is selected from the group consisting of: "urinary incontinence, urge incontinence, overactive bladder, idiopathic overactive bladder, neurogenic overactive bladder, detrusor overactivity, idiopathic detrusor overactivity, neurogenic detrusor overactivity" and wherein the at least one LHRH antagonist is to be administered in an intermediate dose, which does not cause chemical (hormonal) castration. ΙT 286930-02-7, Fesoterodine RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (use of LHRH antagonists for treatment of lower urinary tract symptoms such as overactive bladder and/or detrusor overactivity without chemical

RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

castration and combination with other agents)

Absolute stereochemistry. Rotation (+).

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD

(2 CITINGS)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 18 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1102066 CAPLUS

DOCUMENT NUMBER: 149:347549

TITLE: Use of LHRH antagonists for the treatment of lower

urinary tract symptoms, in particular overactive

bladder and/or detrusor overactivity

INVENTOR(S): Engel, Juergen; Bauer, Oliver

PATENT ASSIGNEE(S): Aeterna Zentaris G.m.b.H., Germany

SOURCE: PCT Int. Appl., 214pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PAT	CENT	NO.			KIN	D	DATE			APPL	ICAT	ION 1	NO.		D.	ATE	
WO	2008	1074	 46		A1	_	2008	0912		WO 2	008-	EP52	 640		2	0080	305
	W:	ΑE,	AG,	AL,	AM,	AO,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	FΙ,
		GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,
		ΚM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,
		MG,	MK,	MN,	MW,	MX,	MY,	MΖ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,
		PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,
		TR,	TT,	TZ,	UA,	UG,	UZ,	VC,	VN,	ZA,	ZM,	ZW					
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
		ΙE,	IS,	ΙΤ,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
		ΤG,	BW,	GH,	GM,	ΚE,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
		ΑM,	ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM							
ΕP	1967	202			A1		2008	0910		EP 2	007-	1034	83		2	0070.	305
	R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	IT,	LI,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,
		AL,	BA,	HR,	MK,	RS											

10/533,683 11/18/2009

AU 2008223841 A1 20080912 AU 2008-223841 20080305 CA 2679690 A1 CA 2008-2679690 20080305 20080912 PRIORITY APPLN. INFO.: A 20070305 EP 2007-103483 US 2007-892899P P 20070305 W 20080305 WO 2008-EP52640

OTHER SOURCE(S): MARPAT 149:347549

AB The present invention provides at least one LHRH antagonist for use in the preparation of a medicament for the treatment or prophylaxis of at least one lower urinary tract symptom in mammals, wherein the at least one lower urinary tract symptom is selected from the group consisting of: "urinary incontinence, urge incontinence, overactive bladder, idiopathic overactive bladder, neurogenic overactive bladder, detrusor overactivity, idiopathic detrusor overactivity, neurogenic detrusor overactivity" and wherein the at least one LHRH antagonist is to be administered in an intermediate dose, which does not cause chemical (hormonal) castration.

IT 286930-02-7, Fesoterodine

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

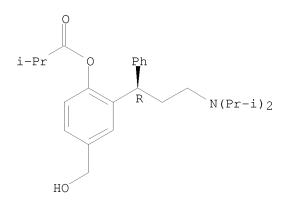
(use of LHRH antagonists for treatment of lower urinary tract symptoms such as overactive bladder and/or detrusor overactivity without chemical castration and combination with other agents)

STN: SEARCH

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 19 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:906140 CAPLUS

DOCUMENT NUMBER: 149:259305

TITLE: Impact of fesoterodine on quality of life: pooled data

from two randomized trials

AUTHOR(S): Kelleher, Con J.; Tubaro, Andrea; Wang, Joseph T.;

Kopp, Zoe

CORPORATE SOURCE: St. Thomas' Hospital, London, UK

SOURCE: BJU International (2008), 102(1), 56-61

CODEN: BJINFO; ISSN: 1464-4096

10/533,683 11/18/2009 STN: SEARCH

PUBLISHER: Blackwell Publishing Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

To evaluate the effect of fesoterodine on health-related quality of life AB (HRQoL) in patients with overactive bladder (OAB) syndrome. Pooled data from two randomized placebo-controlled phase III studies were analyzed. Eligible patients with frequency and urgency or urgency urinary incontinence were randomized to placebo or fesoterodine 4 or 8 mg for 12 wk; one trial also included tolterodine extended release (tolterodine-ER) 4 mg. HRQoL was assessed using the V King's Health Questionnaire (KHQ), International Consultation on Incontinence Questionnaire-Short Form (ICIQ-SF), a six-point Likert scale measuring the severity of bladder-related problems, and treatment response. By the end of treatment, all active-treatment groups had significantly improved HRQoL compared with those on placebo, as shown by an improvement in the KHQ and ICIQ-SF scores, treatment response rate, and a major improvement in self-reported bladder-related problems. The fesoterodine 8-mg group had statistically significant improvements over placebo in eight of nine KHQ domains. Fesoterodine 4 mg and tolterodine-ER produced statistically significant improvements in seven of nine KHQ domains. Fesoterodine 8 mg gave better results than 4 mg in two domains; Emotions and Symptom Severity (P < 0.05). A major improvement (≥2 points) in bladder-related problems was reported by 33% of patients on fesoterodine 4 mg, 38% on fesoterodine 8 mg, and 34% on tolterodine-ER, vs 21% on placebo (P < 0.001). Fesoterodine significantly improved HRQoL in patients with OAB. Both fesoterodine 4 and 8 mg produced significant improvements on most KHQ domains, the ICIQ-SF, treatment response rate, and a Likert scale measuring bladder-related problems.

IT 286930-02-7, Fesoterodine

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(fesoterodine was safe, effective and improved health-related quality of life in patient with overactive bladder)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS

10/533,683 11/18/2009 STN: SEARCH

## RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 20 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN T.3

ACCESSION NUMBER: 2008:753161 CAPLUS

DOCUMENT NUMBER: 150:43

Fesoterodine: a novel muscarinic receptor antagonist TITLE:

for the treatment of overactive bladder syndrome

AUTHOR(S): Michel, Martin C.

CORPORATE SOURCE: Academic Medical Center, Department of Pharmacology

and Pharmacotherapy, University of Amsterdam,

Amsterdam, 1105 AZ, Neth.

SOURCE: Expert Opinion on Pharmacotherapy (2008), 9(10),

1787-1796

CODEN: EOPHF7; ISSN: 1465-6566

PUBLISHER: Informa Healthcare DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

A review. Fesoterodine is a newly approved drug for the treatment of overactive bladder syndrome. The aim of this study was to review the preclin. and clin. data on fesoterodine. The study involved a search of the Medline database and the proceedings vols. of urol. congresses. Fesoterodine functions as an orally active prodrug that is converted to the active metabolite 5-hydroxymethyltolterodine by non-specific esterases. 5-Hydroxymethyltolterodine is a muscarinic receptor antagonist. Fesoterodine is primarily eliminated as inactive metabolites along with significant renal excretion as the unchanged active metabolite 5-hydroxymethyltolterodine. Fesoterodine is indicated for use at doses of 4 and 8 mg once daily. In clin. studies both doses of fesoterodine were consistently superior to placebo in improving the symptoms of overactive bladder syndrome, with 8 mg/day having significantly greater effects than 4 mg/day.

286930-02-7, Fesoterodine TТ

> RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(orally active prodrug fesoterodine that can able to convert into active metabolite muscarinic receptor antagonist

5-hydroxymethyltolterodine by non-specific esterase was effective in treatment of patient with overactive bladder syndrome)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

OS.CITING REF COUNT: THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD

(4 CITINGS)

REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 21 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:709029 CAPLUS

149:38852 DOCUMENT NUMBER:

Pharmaceutical compositions comprising fesoterodine TITLE: INVENTOR(S): Arth, Christoph; Komenda, Michael; Bicane, Fatima;

Paulus, Kerstin; Irngartinger, Meike; Lindner, Hans

PATENT ASSIGNEE(S): Germany

SOURCE: U.S. Pat. Appl. Publ., 39pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
				-	
US 20080138421	A1	20080612	US 2007-811327		20070607
US 20090117159	A1	20090507	US 2008-342744		20081223
PRIORITY APPLN. INFO.:			US 2006-812149P	P	20060609
			US 2007-811327	Α3	20070607

AB The present application relates to a pharmaceutical granulate comprising fesoterodine or a pharmaceutically acceptable salt or solvate thereof and a pharmaceutically acceptable stabilizer, which can be selected from the group consisting of sorbitol, xylitol, polydextrose, isomalt, dextrose, and combinations thereof, and is preferably a sugar alc. selected from the group consisting of xylitol and sorbitol. The granulate is suitable for incorporation into pharmaceutical compns. comprising a gel matrix formed by at least one type of hydroxypropyl Me cellulose into which the fesoterodine is embedded and, optionally, further excipients. In certain embodiments, the granulate is formed by a process of wet granulation. 286930-02-7, Fesoterodine 286930-03-8, Fesoterodine

ΤТ

fumarate

RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(pharmaceutical granulates comprising fesoterodine)

RN 286930-02-7 CAPLUS CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 286930-03-8 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7 CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

10/533,683 11/18/2009

E CO2H

L3 ANSWER 22 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:617528 CAPLUS

DOCUMENT NUMBER: 149:70270

TITLE: Pharmacological characterization of a novel

investigation antimuscarinic drug, fesoterodine, in

vitro and in vivo

AUTHOR(S): New, Peter; Pandita, Raj Kumar; Newgreen, Donald T.;

Breidenbach, Alexander; Stoehr, Thomas; Andersson,

Karl-Erik

CORPORATE SOURCE: Department of Pharmacology/Toxicology, Schwarz

BioSciences GmbH, Monheim, Germany

STN: SEARCH

SOURCE: BJU International (2008), 101(8), 1036-1042

CODEN: BJINFO; ISSN: 1464-4096

PUBLISHER: Blackwell Publishing Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

Objective: To investigate the primary pharmacol. of fesoterodine (a novel antimuscarinic drug developed for treating overactive bladder) and SPM 7605 (its active metabolite, considered to be the main pharmacol. active principle of fesoterodine in man) against human muscarinic receptor subtypes, and to investigate in vitro and in vivo functional activity of these agents on the rat bladder compared with existing standard agents. Materials and Methods: The displacement of radioligand binding by fesoterodine, SPM 7605 and standard agents in membrane prepns. of Chinese hamster ovary (CHO) cells expressing the different human muscarinic receptors (M1-M5) was characterized. Agonistic and antagonistic activities were studied using different CHO cell lines stably expressing the human recombinant muscarinic receptor subtypes. The effects of fesoterodine and SPM 7605 on isolated bladder strips contracted by carbachol or elec. field stimulation (EFS) were investigated. In vivo the effects of fesoterodine and SPM 7605 on micturition variables were assessed using continuous cystometry in conscious female Sprague-Dawley rats, and compared to those of oxybutynin and atropine. Results: In vitro SPM 7605 potently inhibited radioligand binding at all five human muscarinic receptor subtypes with equal affinity across all five. Fesoterodine had a similar balanced selectivity profile but was less potent than SPM 7605. Both substances were competitive antagonists of cholinergic agonist-stimulated responses in human M1-M5 cell lines and had a similar potency and selectivity profile to the radioligand-binding studies. In rat bladder strips, fesoterodine and SPM 7605 caused a rightward shift of the concentration-response curve for carbachol with no depression of the maximum, and concentration-dependently reduced contractions induced by EFS. The potency of both drugs was similar to that of atropine and oxybutynin. In the presence of the esterase inhibitor neostigmine, the concentration-response curve of fesoterodine was shifted to the right, suggesting that part of the activity was caused by metabolism to SPM 7605 by tissue enzymes. In vivo, low doses (0.01 mg/kg) of fesoterodine and SPM 7605 reduced micturition pressure and increased intercontraction intervals and bladder capacity, but did not affect residual volume Conclusions: Fesoterodine and its active metabolite, SPM 7605, are nonsubtype selective, competitive antagonists of human muscarinic receptors, but SPM

7605 has greater potency than the parent compound Pharmacodynamic studies in the rat bladder in vitro confirm the competitive muscarinic antagonist profile of these agents in a native tissue preparation, and in vivo studies in the rat showed effects on bladder function consistent with a muscarinic antagonist profile.

IT 286930-02-7, Fesoterodine

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(SPM 7605 had higher muscarinic receptor antagonist activity compared to fesoterodine while both showed equal affinity across recombinant human muscarinic receptor subtypes in Chinese hamster ovary cell and urodynamic effects in rat bladder)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD

(4 CITINGS)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 23 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:607700 CAPLUS

DOCUMENT NUMBER: 148:568964

TITLE: Composition comprising  $\alpha 2$ -adrenoceptor agonist

for treatment of excess sebum production

INVENTOR(S): Roach, Alan George; Goldsmith, Paul

PATENT ASSIGNEE(S): Daniolabs Ltd., UK SOURCE: PCT Int. Appl., 13pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2008059190 A1 20080522 WO 2007-GB2101 20070607

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,

GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

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PRIORITY APPLN. INFO.:

GB 2006-11241 A 20060607

AB This invention relates to an  $\alpha 2-$ adrenoceptor agonist useful for the treatment or prevention of a condition associated with excess sebum production and/or excretion.

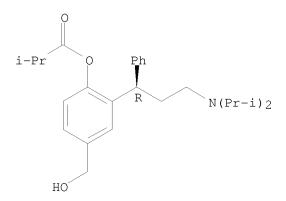
IT 286930-02-7, Fesoterodine

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (composition comprising  $\alpha 2$ -adrenoceptor agonist for treatment of excess sebum production)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 24 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:70709 CAPLUS

DOCUMENT NUMBER: 148:152045

TITLE: Pharmaceutical preparation for oral administration

with controlled active ingredient release in the small

intestine and methods for its production

INVENTOR(S): Jung, Gerd; Schaupp, Albert

PATENT ASSIGNEE(S): Dr. R. Pfleger Chemische Fabrik GmbH, Germany

SOURCE: PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

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            MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
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    EP 1880718
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                                                                  20090109
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                                          IN 2009-MN95
CN 2007-80026301 20090112
KR 2009-702668 20090210
- 2006-14244 A 20060710
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                               20090323
PRIORITY APPLN. INFO.:
                                           WO 2007-EP5970 W 20070705
AB
    A pharmaceutical preparation for oral administration with controlled active
    ingredient release in the small intestine, on the basis of active
    ingredient carriers provided with at least one active ingredient which are
    provided with an inner layer for controlling the active ingredient release
    and a covering layer, arranged thereon, that is resistant to gastric
    juices, and is characterized in that the inner layer is constructed from
    at least two diffusion layers whose permeability for the diffusing active
    ingredient decreases from the inside to the outside, and a method for its
    production are described. Thus (1R, 3R, 5S)-3-
    [(Hydroxydiphenylacetyl)oxy]spiro[8-azoniabicyclo[3.2.1]octane-8,1'-
    Pellets contained mg/dose: drug 45.000; neutral pellets 100.000;
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[(Hydroxydiphenylacetyl)oxy]spiro[8-azoniabicyclo[3.2.1]octane-8,1'-pyrrolidinium] chloride-containing pharmaceutical formulations were prepared Pellets contained mg/dose: drug 45.000; neutral pellets 100.000; hypromellose 4.500; Macrogol 6000 0.450; total 154.450. The first diffusion layer was applied onto the above pellets, mg/dose: drug pellet 154.450; Kollicoat SR 30D 9.000; Kollicoat IR 1.800; propyleneglycol 0.900; talc 0.360; total 166.510. The second diffusion layer was applied onto the above coated pellets, mg/dose: drug pellet 166.510; Kollicoat SR 30D 9.000; Kollicoat IR 1.800; propyleneglycol 0.900; talc 0.360; total 177.175. The gastric juice resistant layer was applied onto the above coated pellets, mg/dose: drug pellet (containing 45 mg drug) 177.175, Kollicoat MAE30DP 28.000; talc 12.600; propylene glycol 4.200; Tylopur C30G1 0.720; total 222.695.

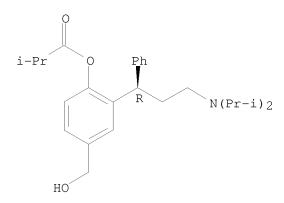
IT 286930-02-7

RN

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical preparation for oral administration with controlled active ingredient release in small intestine and methods for its production) 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 25 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:12183 CAPLUS

DOCUMENT NUMBER: 148:78885

TITLE: Process for preparation of

(4R)-6-hydroxymethyl-4-phenyl-chroman-2-ol and the use

thereof

INVENTOR(S):
Meese, Claus

PATENT ASSIGNEE(S): Schwarz Pharma AG, Germany

SOURCE: PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATE	INT	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE	
WO 2	:007	${1440}$	 97		A1	_	2007	1221		WO 2	 007-:	EP50	08		2	0070	606
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							CZ,										
		GB,	GD,	GE,	GH,	GM,	GT,	HN.	HR.	HU.	ID.	IL.	IN.	IS,	JP,	KE.	KG,
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		ΒA,	HR,	MK,	ΥU												
AU 2	007	2602	67		A1		2007	1221	-	AU 2	007-	2602	67		2	0070	606
CA 2	647	990			A1		2007	1221	1	CA 2	007-	2647	990		2	0070	606
EP 2	027	103			A1		2009	0225		EP 2	007-	7258	66		2	0070	606
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IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS IN 2008KN03987 20090227 IN 2008-KN3987 20080930 Α KR 2009016451 Α 20090213 KR 2008-727003 20081104 CN 101466695 Α 20090624 CN 2007-80021674 20081210 US 20090192224 Α1 20090730 US 2008-304323 20081211 MX 2008015973 20090112 MX 2008-15973 20081212 PRIORITY APPLN. INFO.: EP 2006-12052 A 20060612 WO 2007-EP5008 W 20070606

OTHER SOURCE(S): CASREACT 148:78885; MARPAT 148:78885

AB This invention pertains to a process for the preparation of (4R)-6-hydroxymethyl-4-phenyl-chroman-2-ol, which is a valuable intermediate used in the synthesis of fesoterodine, tolterodine, its active metabolite, and related compds. For example, cinnamic acid was condensed with Me 4-hydroxybenzoate for 4-phenyl-2-chromanone-6-carboxylic acid, which was treated with cinchonidine to afford optically pure (R)-(-)-4-phenyl-2-chromanone-6-carboxylic acid cinchonidine salt. The salt obtained above was treated with hydrochloric acid to give (R)-(+)-4-phenyl-2-chromanone-6-carboxylic acid, which was then transformed to its Me ester, and further reduced with diisobutylaluminum hydride to afford the title compound Advantageously, the title process has small number of steps involved, and the overall yield of the active metabolite is satisfactory.

IT 286930-02-7P, Fesoterodine 960373-34-6P RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of (4R)-6-hydroxymethyl-4-phenyl-chroman-2-ol and the use thereof)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 960373-34-6 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:?) (CA INDEX NAME)

CM 1

CRN 286930-02-7

CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 26 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:1455092 CAPLUS

DOCUMENT NUMBER: 148:78746

TITLE: Preparation of Fesoterodine and its salts using

paraformaldehyde or trioxane

INVENTOR(S): Ennis, Seth; Fuchs, Cornelia; Kanzler, Ralf; Johnson,

Dean A.

PATENT ASSIGNEE(S): Schwarz Pharma, Ltd., Ire.

SOURCE: PCT Int. Appl., 27pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PA:	ΓΕΝΤ	NO.			KIN	D	DATE			APPL	ICAT	ION 1	. OV		D	ATE	
WO	 2007	1440	 91		 A1	_	 2007	1221	,	 WO 2	 007-:	 EP49	 76		2	0070	 605
WO		AE, CH, GB, KM,	AG, CN, GD, KN,	AL, CO, GE, KP,	AM, CR, GH, KR,	AT, CU, GM, KZ,		AZ, DE, HN, LC,	BA, DK, HR, LK,	BB, DM, HU, LR,	BG, DO, ID, LS,	BH, DZ, IL, LT,	BR, EC, IN, LU,	BW, EE, IS, LY,	BY, EG, JP, MA,	BZ, ES, KE, MD,	CA, FI, KG, MG,

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     IE 2006000435
                         Α2
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                                            IE 2006-435
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     EP 1867628
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     CA 2648554
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     EP 2032522
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                                          EP 2007-725842
                         Α1
                                                                   20070605
        R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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             AL, BA, HR, MK, RS
PRIORITY APPLN. INFO.:
                                            EP 2006-12053
                                                               A 20060612
                                                               Α
                                            IE 2006-435
                                                                   20060612
                                            WO 2007-EP4976
                                                               W 20070605
                       CASREACT 148:78746; MARPAT 148:78746
OTHER SOURCE(S):
GΙ
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 ${\tt AB}$  The present disclosure relates to a process for the preparation of a compound of

formula I wherein X is CH2OH, R is hydrogen, a formyl group, a straight, branched or cyclic C1-C6 alkylcarbonyl group or a phenylcarbonyl group, or a salt thereof, characterized by the steps of reacting a compound of formula I (X = Br, R = Bn) with a mixture of Grignard initiator and Mg in a solvent to form a Grignard reagent, reacting the Grignard reagent with paraformaldehyde or trioxane to obtain a compound of formula I (X = CH2OH, R = Bn) and then further reacting the compound of formula I (X = CH2OH, R = Bn) in a known manner to obtain Fesoterodine, I (X = CH2OH, R = i-PrC(0)-), and its hydrogen fumarate salt.

IT 286930-02-7P 286930-03-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of Fesoterodine and its hydrogen fumarate salt using paraformaldehyde or trioxane)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-

phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 286930-03-8 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7 CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).

CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.

$$_{\mathrm{HO_2C}}$$
  $^{\mathrm{E}}$   $_{\mathrm{CO_2H}}$ 

STN: SEARCH 10/533,683 11/18/2009

REFERENCE COUNT: THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 27 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN L3

2007:1454781 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 148:78876

TITLE: Cyclopentylpyrrolidinone derivatives and their

> preparation and use in combination therapy for the treatment of urinary frequency, urinary urgency and

urinary incontinence

Gottesdiener, Keith M.; Green, Stuart A.; Macintyre, INVENTOR(S):

Euan

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 86pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATEN'	r NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D	ATE	
	 )71462					2007		,	——— WO 2	007-	 US13	683		2	0070	607
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W	: AE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	ВG,	BH,	BR,	BW,	BY,	ΒZ,	CA,
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	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,
	KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,
	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,
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OTHER SOUR				CAS	REAC	T 14	8 <b>:</b> 78									

AΒ This invention concerns compns. for the treatment of urinary frequency, urinary urgency and urinary incontinence comprising a selected antagonist of the NK-1 receptor or a pharmaceutically acceptable salt thereof and an anti-muscarinic agent or a pharmaceutically acceptable salt thereof. This invention concerns combination therapy for urinary frequency, urinary urgency and urinary incontinence wherein one of the active agents is a selected antagonist of the NK-1 receptor or a pharmaceutically acceptable salt thereof and another is an anti-muscarinic agent or a pharmaceutically acceptable salt thereof. Example compound I was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their NK-1 receptor antagonistic activity.

ΤТ 286930-02-7, Fesoterodine

> RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of cyclopentylpyrrolidinone derivs. as anti-muscarinic agents and NK-1 receptor antagonists in combination therapy of urinary frequency, urinary urgency and urinary incontinence)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L3 ANSWER 28 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:1436816 CAPLUS

DOCUMENT NUMBER: 148:229838

TITLE: Efficacy, safety and tolerability of fesoterodine for

overactive bladder syndrome

AUTHOR(S): Nitti, Victor W.; Dmochowski, Roger; Sand, Peter K.;

Forst, Hans-Theo; Haag-Molkenteller, Cornelia; Massow, Ute; Wang, Joseph; Brodsky, Marina; Bavendam, Tamara

CORPORATE SOURCE: Department of Urology, New York University School of

Medicine, New York, NY, USA

SOURCE: Journal of Urology (New York, NY, United States)

(2007), 178(6), 2488-2494 CODEN: JOURAA; ISSN: 0022-5347

PUBLISHER: Elsevier DOCUMENT TYPE: Journal LANGUAGE: English

AB Purpose: We evaluated the efficacy, tolerability and safety of the new antimuscarinic agent fesoterodine relative to placebo for overactive bladder syndrome. Materials and Methods: This was a randomized, double-blind, placebo controlled, multicenter trial performed in the United States. Overall 836 subjects with urinary frequency, urinary urgency or urgency urinary incontinence were randomized to placebo (274), 4 mg fesoterodine (283) or 8 mg fesoterodine (279) once daily for 12 wk. The primary efficacy end point was the change in the number of micturitions per 24 h. Co-primary end points were the change in the number of urgency urinary incontinence episodes per 24 h and the treatment response. Secondary efficacy end points were other bladder diary variables, such as the change in mean voided volume per micturition, number of continent days and number of urgency episodes per 24 h. Tolerability and safety were assessed by evaluating adverse events, electrocardiograms, post-void residual urine volume, laboratory parameters and treatment withdrawals. Results: Treatment

with

4 or 8 mg fesoterodine resulted in statistically significant and clin. relevant improvements from baseline to end of treatment for the primary and co-primary end points compared with placebo (p <0.05). Results for most secondary end points, including mean voided volume per micturition, number of continent days and number of urgency episodes per 24 h, were also significantly improved vs placebo. The adverse events reported more frequently with fesoterodine than with placebo were dry mouth, constipation and urinary tract infection. Conclusions: The 2 doses of

STN: SEARCH

fesoterodine were well tolerated and they statistically significantly improved overactive bladder symptoms.

IT 286930-02-7, Fesoterodine

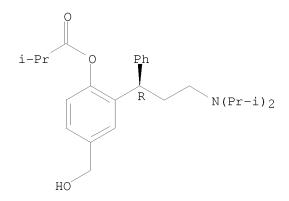
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(fesoterodine was safe, well tolerated and effectively improved overactive bladder syndrome including urinary frequency, urinary urgency and urgency urinary incontinence in patient)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD

(8 CITINGS)

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 29 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:1425394 CAPLUS

DOCUMENT NUMBER: 148:45893

TITLE: Treatment of excess sebum production INVENTOR(S): Roach, Alan George; Goldsmith, Paul

PATENT ASSIGNEE(S): Daniolabs Ltd., UK SOURCE: PCT Int. Appl., 12pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2007141530	A2 2007121	3 WO 2007-GB2098	20070607
WO 2007141530	A3 2008060	5	
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GB, GD, GE,	GH, GM, GT, HN	, HR, HU, ID, IL, IN, I	IS, JP, KE, KG,
KM, KN, KP,	KR, KZ, LA, LC	, LK, LR, LS, LT, LU, L	LY, MA, MD, MG,
MK, MN, MW,	MX, MY, MZ, NA	, NG, NI, NO, NZ, OM, P	PG, PH, PL, PT,
RO, RS, RU,	SC, SD, SE, SG	, SK, SL, SM, SV, SY, I	ſJ, TM, TN, TR,

TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA 20071213 CA 2007-2657590 CA 2657590 Α1 20070607 EP 2037900 Α2 20090325 EP 2007-733110 20070607 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS GB 2006-11240 PRIORITY APPLN. INFO.: A 20060607 WO 2007-GB2098 W 20070607

AB A muscarinic receptor antagonist is useful for the treatment or prevention of a condition associated with excess sebum production or excretion.

Muscarinic

receptor antagonist oxybutynin dose-dependently reduced sebum production in healthy human volunteers.

IT 286930-02-7, Fesoterodine

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(muscarinic receptor antagonist for treatment of excess sebum production) 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L3 ANSWER 30 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:1420493 CAPLUS

DOCUMENT NUMBER: 148:54756

TITLE: Process for preparation of phenolic monoesters of

2-(3-diisopropylamino-1-phenylpropyl)-4-

(hydroxymethyl)phenol by acylation in the presence of

diisopropylethylamine.

INVENTOR(S): Ennis, Seth; Drews, Roland; Meese, Claus

PATENT ASSIGNEE(S): Schwarz Pharma Ltd., Ire. SOURCE: PCT Int. Appl., 23pp.

CODEN: PIXXD2

10/533,683 11/18/2009 STN: SEARCH

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P.P.	ATENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D.	ATE	
WC	2007	1409	 86		A1	_	2007	1213		 WO 2	 007-:	 EP49	 77		2	0070	605
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,
		CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	FI,
		GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,
		KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	MG,
		MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,
		RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,
		TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW					
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,
		IS,	ΙΤ,	LT,	LU,	LV,	MC,	MΤ,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	$\mathrm{ML}_{m{\prime}}$	MR,	ΝE,	SN,	TD,	ΤG,	BW,
		GH,	GM,	KΕ,	LS,	MW,	${ m MZ}$ ,	NΑ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,
		BY,	KG,	KΖ,	MD,	RU,	ТJ,	$^{\mathrm{TM}}$									
	2006															0060	609
	2648															0070	605
EF	2004	592			A1		2008	1224		EP 2	007-	7258	43		2	0070	605
	R:					,	CZ,	,	,	,						,	,
		IS,	ΙΤ,	LI,	LT,	LU,	LV,	MC,									
PRIORIT	TY APP	LN.	INFO	.:						EP 2							
										IE 2							
										WO 2					W 2	0070	605
OTHER S	SOURCE	(S):			CAS	REAC	CT 14	8:54	756 <b>;</b>	MAR.	PAT	148:	5475	6			

GΙ

AB Title compds. [I; R = H, (substituted) straight, branched or cyclic C1-6 alkyl, aryl], were prepared by treatment of 2-(3-diisopropylamino-1-phenylpropyl)-4-(hydroxymethyl)phenol with RCOX (R as above; X = leaving group) in the presence of diisopropylethylamine. Thus, Fesoterodine hemifumarate was prepared in 103% crude yield by the above method.

(preparation of phenolic monoesters of diisopropylaminophenylpropylhydroxymethylphenol by acylation in the

presence of diisopropylethylamine)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 286930-03-8 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7 CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

10/533,683 11/18/2009 STN: SEARCH

CO2H

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 31 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:1420279 CAPLUS

DOCUMENT NUMBER: 148:54755

TITLE: Process for the production of substituted

hydroxymethyl phenols

INVENTOR(S): Ennis, Seth; Kennedy, Bryan PATENT ASSIGNEE(S): Schwarz Pharma Ltd., Ire. SOURCE: PCT Int. Appl., 28pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA.	TENT :	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D.	ATE	
WO	2007	1409	65		A1	_	2007	1213		WO 2	007-	 EP49	 28		2	0070	604
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,
		CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	FΙ,
		GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,
		KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	MG,
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		RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,
		TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	ZW					
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,
		IS,	ΙT,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,
		GH,	GM,	ΚE,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,
		,	,	,	ТJ,												
ΙE	2006														2	0060	608
EP	1864	966			A1		2007	1212		EP 2	006-	1183	8		2	0060	608
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		IS,	ΙΤ,	LI,	LT,	LU,	LV,	MC,	ΝL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,
		,	,	MK,													
	2648																
EP	2029						2009									0070	
	R:	•	•	•	•		CZ,	•	•	•	•		•	•		•	
					•		LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,
		•	•	•	MK,	RS				_							
CORIT	Y APP	LN.	INFO	.:						EP 2						0060	
										IE 2				_		0060	
										WO 2					W 2	0070	604
HER SO	OURCE	(S):			CAS!	REAC	T 14	8:54	755 <b>;</b>	MAR.	PAT	148 <b>:</b>	5475.	5			

OTE CASREACT 148:54755; M

GΙ

AB The invention relates to a process for the production of hydroxymethyl phenols I [wherein R1 is H, or (alkyl|phenyl)carbonyl] or its salts thereof, which is known as the active metabolite of tolterodine, and its phenolic monoesters by an improved synthetic route via a so-called "Turbo Grignard" reaction.

IT 286930-02-7P, Fesoterodine 286930-03-8P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of hydroxymethyl phenols as the active metabolite of tolterodine)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 286930-03-8 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7 CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 32 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:1420174 CAPLUS

DOCUMENT NUMBER: 148:62011

TITLE: Stabilized pharmaceutical compositions comprising

fesoterodine

INVENTOR(S): Arth, Christoph; Mika, Hans-Juergen; Komenda, Michael;

Lindner, Hans; Bicane, Fatima; Paulus, Kerstin;

Irngartiner, Meike

PATENT ASSIGNEE(S): Schwarz Pharma AG, Germany

SOURCE: PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D	ATE	
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WO 2007	1412	98		A1		2007	1213		WO 2	007-	EP55	582		2	0070	606
W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,
	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	FΙ,
	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,
	KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	MG,
	MK,	MN,	MW,	MX,	MY,	MΖ,	NA,	NG,	NI,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,
	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,
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RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,

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IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
             GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM
     EP 1864651
                                           EP 2006-11942
                         Α1
                               20071212
                                                                  20060609
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             IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
             BA, HR, MK, YU
     EP 1864656
                                20071212
                                           EP 2006-11943
                                                                  20060609
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            AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
             BA, HR, MK, YU
     EP 1867328
                               20071219
                                          EP 2006-11941
                                                                  20060609
                         A 1
           AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
             BA, HR, MK, YU
     AU 2007255408
                                20071213
                                           AU 2007-255408
                                                                  20070606
                         Α1
     CA 2652712
                                20071213
                                           CA 2007-2652712
                                                                  20070606
                         Α1
     EP 2029134
                         Α1
                                20090304
                                           EP 2007-729956
                                                                  20070606
        R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
            IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR,
            AL, BA, HR, MK, RS
     NL 2000690
                                20071211
                                           NL 2007-2000690
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                         Α1
     NL 2000690
                         C2
                                20080401
     ZA 2008006411
                               20090527
                                           ZA 2008-6411
                         Α
                                                                  20080721
     KR 2009026135
                        Α
                               20090311
                                           KR 2008-727920
                                                                  20081114
                        Α
     CN 101466371
                        A
A
                               20090624
                                           CN 2007-80021292
                                                                  20081208
                                                                  20081209
     MX 2008015736
                               20090109
                                           MX 2008-15736
     IN 2009KN00056
                               20090403
                                           IN 2009-KN56
                                                                  20090105
                                                               A 20060609
PRIORITY APPLN. INFO.:
                                           EP 2006-11941
                                           EP 2006-11942
                                                               A 20060609
                                            EP 2006-11943
                                                               A 20060609
                                           WO 2007-EP55582
                                                               W 20070606
AB
     The present application relates to a pharmaceutical composition comprising
     fesoterodine or a pharmaceutically acceptable salt or solvate thereof and
     a stabilizer selected from the group consisting of xylitol, sorbitol,
     polydextrose, isomalt and dextrose. A tablet contained fesoterodine
     hydrogen fumarate 4.0, xylitol 76.0, lactose monohydrate 43.0, microcryst.
     cellulose 41.5, hypromellose (e.g. Methocel K100M) 70.0, hypromellose
     (e.g. Methocel K4M) 70.0, glycerol dibehenate 8.0, talc 7.5, and purified
     water q.s.
```

ΤТ 286930-02-7, Fesoterodine 286930-03-8 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses) (stabilized pharmaceutical compns. comprising fesoterodine)

286930-02-7 CAPLUS RN

Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-CN phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 286930-03-8 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7 CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/533,683 11/18/2009 ANSWER 33 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN L3 ACCESSION NUMBER: 2007:1395061 CAPLUS DOCUMENT NUMBER: 148:33495 TITLE: Method for preparation of Fesoterodine and related intermediates INVENTOR(S): Browne, Roisin; Kilkelly, Michael PATENT ASSIGNEE(S): Schwarz Pharma Ltd., Ire. PCT Int. Appl., 45pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. 20070526 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM 

 IE 2006000415
 A2
 20071031
 IE 2006-415
 20060531

 EP 1862448
 A1
 20071205
 EP 2006-11293
 20060531

 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU EP 1862449 Α1 20071205 EP 2006-11294 20060531 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU

AU 2007267371 A1 20071206 AU 2007-267371 20070526 CA 2647398 A1 20071206 CA 2007-2647398 20070526 EP 1940774 A1 20080709 EP 2007-725601 20070526 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS

JP 2009-512476 IN 2008-KN3951 KR 2008-727112 20070526 JP 2009538849 T 20091112 A 20090227 KR 2009014345 A 20090210 CN 101454273 A 20090610 MX 2008015233 A 20081212 RITY APPLN. INFO.: 20080929 20081105 CN 2007-80019361 CN 2007-80019361 20081126 MX 2008-15233 20081128 EP 2006-11293 A 20060531 EP 2006-11294 A 20060531 IE 2006-415 A 20060531 WO 2007-EP4705 W 20070526 20081126 MX 2008-15233 PRIORITY APPLN. INFO.:

OTHER SOURCE(S): CASREACT 148:33495; MARPAT 148:33495

GΙ

AB The present disclosure relates to a process for the preparation of  $2-(3-\text{diisopropylamino-l-phenylpropyl})-4-(\text{hydroxymethyl})\,\text{phenol}$  [I; X = CH2OH, R = H] or its phenolic monoesters or salts thereof, characterized by the steps of: (a) reacting a compound of formula I [X = Br, R = Bn] with a mixture of a Grignard initiator and Mg in a solvent; (b) optionally reducing the temperature of the Grignard reagent to a lower temperature than in step

(a), and reacting the resulting Grignard reagent with an excess of a carbonate in a solvent, to obtain a compound of formula I [X = AO2C] wherein A = alkyl, R = Bn (II)], and the further reacting the compound of formula II in a known manner to obtain the desired end product. The invention further includes the hydrogen fumarate salt of I.

IT 286930-02-7P, Fesoterodine 286930-03-8P
RL: IMF (Industrial manufacture); PREP (Preparation)

(method for preparation of fesoterodine and related intermediates)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 286930-03-8 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7 CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 34 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:1389231 CAPLUS

DOCUMENT NUMBER: 148:33629

TITLE: Process for the production of benzopyran-2-ol

derivatives

INVENTOR(S): Ahman, Jens Bertil; Dillon, Barry Richard; Pettman,

Alan John

PATENT ASSIGNEE(S): Pfizer Limited, UK SOURCE: PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT	NO.			KIN	D	DATE			APPL	ICAT	ION I	.00		D	ATE	
WO 200	71384	40		 Д 1	_	2007	1206		 ₩0 2	007-	 TB13	 79		21	0070	 521
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	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KΜ,

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KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK,
             MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
             RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
             TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
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             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
             GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM
     AU 2007266761
                                20071206
                                            AU 2007-266761
                                                                    20070521
                          Α1
     CA 2651978
                          Α1
                                20071206
                                            CA 2007-2651978
                                                                    20070521
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                                20090304
                                            EP 2007-734680
     EP 2029567
                                                                    20070521
         R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR,
             AL, BA, HR, MK, RS
                                            JP 2007-135615
     JP 2007314537
                                20071206
                          Α
                                                                    20070522
     MX 2008012976
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     IN 2008DN08655
                                20090515
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                                                                    20081015
                          Α
     KR 2009003353
                          Α
                                20090109
                                            KR 2008-728577
                                                                    20081121
     CN 101454304
                                20090610
                                             CN 2007-80019140
                                                                    20081124
PRIORITY APPLN. INFO.:
                                             US 2006-803068P
                                                                 Ρ
                                                                    20060524
                                                                 W 20070521
                                            WO 2007-IB1379
OTHER SOURCE(S):
                        CASREACT 148:33629; MARPAT 148:33629
GΙ
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AB The invention provides a process for the production of a compound of formula (I), wherein Y is selected from CH3, CH2OH, CH2CH2OH, CH2Br and Br; comprising the steps of: (i) reacting a compound of formula (II), wherein OX is OH or O- M+, in which M+ is a cation selected from Li+, Na+ and K+, and Y is as defined above; with trans-cinnamaldehyde, in the presence of a secondary amine compound; then (ii) treating the product of the preceding step with acid to afford I. Compds. I are intermediates useful in the production of tolterodine and fesoterodine, which are useful in the treatment of overactive bladder.

IT 286930-03-8P

RL: IMF (Industrial manufacture); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzopyranol derivs.)

RN 286930-03-8 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-

phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7 CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).

СМ

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

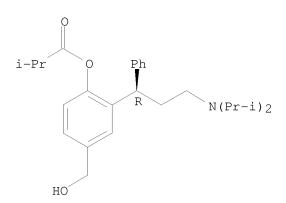
ΙT 286930-02-7P

> RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of benzopyranol derivs.)

286930-02-7 CAPLUS RN

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

10/533,683 11/18/2009



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 35 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

2007:1334076 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 148:11263

TITLE: Preparation of amino- and imino-alkylpiperazines

having affinity for serotonergic receptors

STN: SEARCH

INVENTOR(S): Leonardi, Amedeo; Motta, Gianni; Riva, Carlo;

Guarneri, Luciano

Recordati Ireland Limited, Ire. PATENT ASSIGNEE(S):

SOURCE: U.S. Pat. Appl. Publ., 44pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

KIND DATE PATENT NO. APPLICATION NO. \_\_\_\_\_ \_\_\_\_ \_\_\_\_\_ \_\_\_\_\_ US 20070270436 A1 20071122 US 2007-751322 20070521 US 2006-802738P P 20060522 PRIORITY APPLN. INFO.:

OTHER SOURCE(S): CASREACT 148:11263; MARPAT 148:11263

GI

$$R^{2}$$
?
 $R^{3}$ 
 $R^{3}$ 
 $R^{4}$ 

Title compds. represented by the formula I [wherein R = H, alkyl, alkoxy, AΒ etc.; R2a = H, alkyl, alkenyl, etc.; R2b = not present or H, alkyl, formyl, etc.; R3 = (cyclo)alkyl, alkenyl or alkynyl; R4 = (un)substituted (hetero)aryl; A = a bond or (CH2)n; m = 1 or 2; n = 1 or 2; or enantiomers, optical isomers, diastereomers, N-oxides, crystalline forms, hydrates and pharmaceutically acceptable salts thereof] were prepared For example, reaction of 1-[4-cyclohexyl-3-(2-fluorophenyl)-4-oxobutyl]-4-(2-fluorophenyl)methoxyphenyl)piperazine with hydroxylamine•HCl in EtOH/H2O at reflux for 6 h gave II in 97% yield. I were tested for binding affinity with 5-HT1A receptor, inhibition of serotonergic syndrome induced by 8-OH-DPAT in rats, and etc. Thus, I and their pharmaceutical compns., having affinity for serotonergic receptors, are useful for the treatment of patients with neuromuscular dysfunction of the lower urinary tract and CNS diseases and/or disorders associated with 5-HT1A receptor dysfunction.

286930-02-7, Fesoterodine RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (combination therapy agent; preparation of amino- and imino-alkylpiperazines having affinity for serotonergic 5-HT1A receptors)

286930-02-7 CAPLUS RN

ΙT

Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-CN phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

L3 ANSWER 36 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:1213902 CAPLUS

DOCUMENT NUMBER: 148:69911

TITLE: Clinical efficacy, safety, and tolerability of

once-daily fesoterodine in subjects with overactive

bladder

AUTHOR(S): Chapple, Christopher; Van Kerrebroeck, Philip; Tubaro,

Andrea; Haag-Molkenteller, Cornelia; Forst, Hans-Theo;

Massow, Ute; Wang, Joseph; Brodsky, Marina The Royal Hallamshire Hospital, Sheffield, UK

SOURCE: European Urology (2007), 52(4), 1204-1212

CODEN: EUURAV; ISSN: 0302-2838

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

CORPORATE SOURCE:

Objective: To determine the efficacy, tolerability, and safety of fesoterodine in subjects with overactive bladder (OAB). Methods: This was a multicenter, randomized, double-blind, placebo- and active-controlled trial with tolterodine extended release (ER) to assess the efficacy and safety of fesoterodine. Eliqible subjects (≥18 yr) with increased micturition frequency and urgency and/or urgency urinary incontinence (UUI) were randomized to placebo, fesoterodine 4 mg, fesoterodine 8 mg, or tolterodine ER 4 mg for 12 wk. The primary efficacy variable was a change from baseline to week 12 in micturitions per 24 h. Co-primary end points included change from baseline to week 12 in UUI episodes per 24 h and Treatment Response ("yes" or "no," based on four-point treatment benefit scale). Secondary efficacy variables included mean volume voided per micturition, continent days per wk, and number of urgency episodes. Results: At the end of treatment, subjects taking fesoterodine 4 and 8 mg had significant (p < 0.05) and clin. relevant improvements vs. placebo in the primary, co-primary, and most secondary efficacy variables. Tolterodine ER (active control) also provided significantly greater improvement than placebo for most efficacy variables, confirming the sensitivity of the study design. A more pronounced effect was observed with fesoterodine 8 mg at most end points. Conclusions: Both doses of fesoterodine were significantly better than placebo in improving the symptoms of OAB and produced a significantly greater Treatment Response vs. placebo. Efficacy was more pronounced with fesoterodine 8 mg compared with the other treatments. Active treatments were well tolerated.

IT 286930-02-7, Fesoterodine

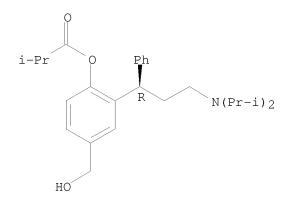
STN: SEARCH

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (once-daily fesoterodine 4 mg or 8 mg was effective and well tolerated in patient with overactive bladder)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS

RECORD (13 CITINGS)

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 37 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:940100 CAPLUS

DOCUMENT NUMBER: 147:269265

TITLE: Combination of an  $\alpha 2$ -receptor agonist (such as

clonidine) and an antimuscarinic agent (such as

oxybutynin) for the treatment of sialorrhea

INVENTOR(S): Roach, Alan George; Goldsmith, Paul

PATENT ASSIGNEE(S): Daniolabs Ltd., UK

SOURCE: PCT Int. Appl., 16pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PAT	TENT	NO.			KIN	D	DATE		-	APPL	ICAT	ION 1	. O <i>l</i>		D	ATE	
						_											
WO	2007	0938	24		A1		2007	0823	,	WO 2	007-0	GB50	057		2	0070	212
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		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
		GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,
		ΚP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,
		MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,
		RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,
		TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW						
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,

CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM AU 2007216320 Α1 20070823 AU 2007-216320 20070212 CA 2642850 Α1 20070823 CA 2007-2642850 20070212 20081105 EP 2007-705370 20070212 EP 1986642 Α1 AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR JP 2009526829 Τ 20090723 JP 2008-554857 20070212 IN 2008DN06924 20081024 IN 2008-DN6924 Α KR 2009019765 Α 20090225 KR 2008-722049 20080909 CN 2007-80009158 CN 101400347 Α 20090401 20080916 US 20090221659 20090903 US 2008-279217 20081218 A 1 PRIORITY APPLN. INFO.: GB 2006-2855 A 20060213 GB 2006-2857 A 20060213 WO 2007-GB50057 W 20070212

AB An  $\alpha 2$ -adrenoreceptor agonist (e.g. clonidine, brimonidine, monoxidine, lofexidine) is useful for the treatment of sialorrhea, administered by the paralingual, sublingual or buccal route. The patient to be treated is also given an antimuscarinic agent (e.g. oxybutynin, glycopyrrolate, ipratropium).

IT 286930-02-7, Fesoterodine

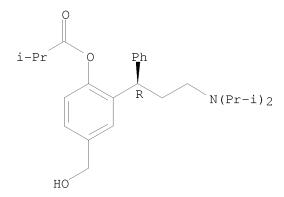
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

( $\alpha 2\text{-receptor}$  agonist-antimuscarinic agent combination for treatment of sialorrhea)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 38 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:705973 CAPLUS

DOCUMENT NUMBER: 147:125829

TITLE: Pharmaceutical combination comprising a PED5 inhibitor and a muscarinic antagonist for the treatment of LUTS

INVENTOR(S): Mastrell, Carl Erik Johan; Suesserman, Michael Allen

PATENT ASSIGNEE(S): Pfizer Products Inc., USA SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION 1	. O <i>v</i>		D	ATE	
	2007 2007						2007 2007			WO 2	006-	IB36	83		2	0061	219
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							NA, TM,					UG,	ZM,	∠w,	AM,	AZ,	BY,
CA JP	2006 2634 2007 1965 R:	3278 019 1692 863 AT,	82 78 BE,	BG,	A1 A1 A A2 CH,	CY,	2007 2007 2007 2008 CZ,	0628 0628 0705 0910 DE,	DK,	AU 2 CA 2 JP 2 EP 2	006- 006- 006- 006- ES,	2634 3416 8210 FI,	019 62 77 FR,	GB,	2 2 2 GR,	0061 0061 0061 HU,	219 219 219
MX IN KR CN					A1 A A A	ŕ	2008 2008	1225 0604 0815 0820	ŕ	US 2 MX 2 IN 2 KR 2 CN 2 US 2 US 2	008-	9335 6766 DN49 7148 8004 7526	71 35 8291 25P 20P		2 2 2 2 2 2 P 2	0080 0080 0080 0080 0080 0051 0060	526 610 619 620 220
GI																-	-

AB This invention relates to the combined use of a phosphodiesterase 5 (PDE5) inhibitor and a muscarinic antagonist in the treatment of lower urinary

Ι

tract symptoms (LUTS), such as urgency, frequency, nocturia and urge incontinence. A method of treatment of LUTS comprises simultaneous, sep., or sequential administration of a PED5 inhibitor and a muscarinic antagonist to a patient in need of such treatment. Thus, a muscarinic antagonist, oxybutynin (3.18 mg/kg) produced a small increase in micturition pressure, whereas the PED5 inhibitor, 3-ethyl-5-[5-(4-ethylpiperazin-1-ylsulfonyl)-2-n-propoxyphenyl]-1-(pyridin-2-yl)methyl-1,6-dihydro-7H-pyrazolo[4,3-d]pyrimidin-7-one (I, 0.11 mg/kg and 0.32 mg/kg) produced a small reduction in micturition pressure in guinea pigs. The combination of oxybutynin (3.18 mg/kg) plus I (0.32 mg/kg) produced a greater reduction in micturition pressure than observed with I (0.32 mg/kg) alone. These data appear to imply a synergistic effect of oxybutynin and the higher dose of I tested on micturition pressure. Also, an immediate-release tablet containing fesoterodine (muscarinic antagonist) and 5-[2-ethoxy-5-(4-ethylpiperazine-1-sulfonyl)pyridin-3-yl]-3-ethyl-2-(2methoxyethyl)-2,6-dihydropyrazolo[4,3-d]pyrimidin-7-one (PED5 inhibitor) were prepared comprising (i) a core containing fesoterodine hydrogen fumarate 2.0 mg, 5-[2-ethoxy-5-(4-ethylpiperazine-1-sulfonyl)pyridin-3-yl]-3-ethyl-2-(2-methoxyethyl)-2,6-dihydropyrazolo[4,3-d]pyrimidin-7-one besylate 5.0 mg, microcryst. cellulose 53.4 mg, calcium hydrogen phosphate dihydrate 18.0 mg, sodium starch glycollate 6.0 mg, magnesium stearate 0.4 mg, and colloidal silica 0.2 mg, and (ii) a coating containing methylhydroxypropyl cellulose 1.5 mg, microcryst. cellulose 0.3 mg, stearic acid 0.6 mg, and titanium dioxide E 171 0.6 mg.

IT 286930-02-7, Fesoterodine 286930-03-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. comprising PED5 inhibitor and muscarinic antagonist for

(compns. comprising PED5 inhibitor and muscarinic antagonist for treatment of lower urinary tract disorders)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 286930-03-8 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7 CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

$$_{\mathrm{HO_2C}}$$
  $^{\mathrm{E}}$   $_{\mathrm{CO_2H}}$ 

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L3 ANSWER 39 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:259675 CAPLUS

DOCUMENT NUMBER: 146:281054

TITLE: Pharmaceutical compositions comprising combinations of

an antimuscarinic agent and an anticholinergic agent

for the treatment of a patient suffering from

overactive bladder

INVENTOR(S):
Paborji, Mehdi

PATENT ASSIGNEE(S): Theravida, LLC, USA SOURCE: PCT Int. Appl., 49pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT	NO.			KIN	D	DATE			APPL	ICAT	ION I	.OV		D	ATE	
					_											
WO 2007	0276		Αl		2007	0308		WO 2	006-	US331	571		21	0060	828	
W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
	GE,	GH,	GM,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KΡ,

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            RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ,
            UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
        RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
            IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
            CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
            GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
            KG, KZ, MD, RU, TJ, TM
    AU 2006284940
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                                          AU 2006-284940
                        Α1
    CA 2619565
                         Α1
                               20070308
                                          CA 2006-2619565
                                                                  20060828
    US 20070053995
                               20070308
                                         US 2006-467760
                         A1
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    EP 1933833
                               20080625
                                         EP 2006-813885
                                                                  20060828
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            IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
                               20090219
    JP 2009507021
                        Т
                                          JP 2008-529187
                                                                  20060828
    MX 2008002907
                               20080618
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                         Α
    IN 2008CN01052
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                                           IN 2008-CN1052
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    CN 101287462
                         Α
                              20081015
                                           CN 2006-80032097
                                                                  20080229
    KR 2008059155
                         Α
                               20080626
                                           KR 2008-705797
                                                                  20080310
    US 20090275629
                                           US 2009-503432
                         Α1
                               20091105
                                                                  20090715
                                                              P 20050902
PRIORITY APPLN. INFO.:
                                           US 2005-714150P
                                           US 2006-467760
                                                              A1 20060828
                                           WO 2006-US33671
                                                               W 20060828
    Disclosed herein are pharmaceutical compns. comprising various
AB
    combinations of an antimuscarinic or an anticholinergic agent, a compound
    that causes stimulation of salivary glands, and a compound that relieves
    constipation. Also disclosed are methods of treating a patient suffering
    from overactive bladder comprising administering to the patient the above
    pharmaceutical composition To an individual with overactive bladder is given 5
    mg of oxybutynin two to four times a day in addition to 5 mg of pilocarpine
    two or three times a day. If the individual continues to complain about
    dry mouth, the dose of pilocarpine is increased to 10 mg two or three
    times a day. The dose can be increased upto 20 mg, or 50 mg, if needed.
    Each dose of oxybutynin can be increased to 10, 15, 20, or 30 mg.
    286930-02-7, Fesoterodine
    RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
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RN 286930-02-7 CAPLUS

Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-CN phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

(Biological study); USES (Uses)

(therapy for treatment of disease)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 40 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1133705 CAPLUS

DOCUMENT NUMBER: 146:74422

TITLE: Treatment of the overactive bladder syndrome with

muscarinic receptor antagonists - a matter of

metabolites?

AUTHOR(S): Michel, Martin C.; Hegde, Sharath S.

CORPORATE SOURCE: Department of Pharmacology & Pharmacotherapy, Academic

Medical Center, University of Amsterdam, Amsterdam,

1105 AZ, Neth.

SOURCE: Naunyn-Schmiedeberg's Archives of Pharmacology (2006),

374(2), 79-85

CODEN: NSAPCC; ISSN: 0028-1298

PUBLISHER: Springer

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

A review. Antagonists of muscarinic acetylcholine receptors, such as darifenacin, oxybutynin, propiverine, solifenacin, tolterodine, and trospium, are the mainstay of the treatment of the overactive bladder syndrome. Fesoterodine is a newer drug awaiting regulatory approval. authors briefly review the pharmacol. activity of their metabolites and discuss how active metabolites may contribute to their efficacy and tolerability in vivo. Except for trospium, and perhaps solifenacin, all of the above drugs form active metabolites, and their presence and activity need to be taken into consideration when elucidating relationships between pharmacokinetics and pharmacodynamics of these drugs. Moreover, the ratios between parent compds. and metabolites may differ depending on genotype of the metabolizing enzymes, concomitant medication, and/or drug formulation. Differential generation of active metabolites of darifenacin or tolterodine are unlikely to influence the overall clin. profile of these drugs in a major way because the active metabolites exhibit a similar pharmacol. profile as the parent compound In contrast, metabolites of oxybutynin and propiverine may behave quant. or even qual. differently from their parent compds. and this may have an impact on the overall clin. profile of these drugs. The authors conclude that more comprehensive studies of drug metabolites are required for an

10/533,683 11/18/2009

STN: SEARCH

improved understanding of their clin. effects.

IT 286930-02-7, Fesoterodine

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU

(Therapeutic use); BIOL (Biological study); USES (Uses)

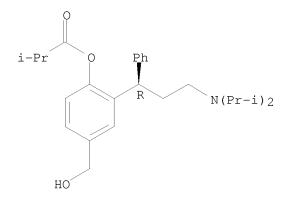
(treatment of overactive bladder syndrome with muscarinic receptor

antagonists - a matter of metabolites)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS

RECORD (12 CITINGS)

REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 41 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:630212 CAPLUS

DOCUMENT NUMBER: 145:110309

TITLE: Injectable sustained release microspheric preparation

of 3,3-diphenylpropylamine derivatives as muscarinic

receptor antagonists

INVENTOR(S):
Li, Youxin

PATENT ASSIGNEE(S): Peop. Rep. China

SOURCE: PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PA]	ENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D	ATE	
						_											
WO	2006	0665	09		A1		2006	0629	,	WO 2	005-	CN22	77		2	0051	222
	W:	ΑE,	ΑG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
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		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,	KR,
		KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
		MZ,	NΑ,	NG,	ΝΙ,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
		SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
		VN,	YU,	ZA,	ZM,	ZW											

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
                                20060705
     CN 1795845
                                            CN 2004-10101721
                         Α
                                                                   20041223
PRIORITY APPLN. INFO.:
                                            CN 2004-10101721
                                                                A 20041223
OTHER SOURCE(S):
                        MARPAT 145:110309
GΙ
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RN

AΒ The invention relates to injectable sustained release microspheric preparation of 3,3-diphenylpropylamine, its preparing process and application. The said sustained release microspheric preparation consists of 3,3-diphenylpropylamine of formula I as follows, its optical enantiomers or racemates and one or more medicinal biodegradable high-mol. auxiliary material and other medicinal auxiliary material, wherein the definition of R1, R2 R3 R4 and R5 sees the claims. The injectable sustained release microspheric preparation according to the invention is used for treatment or supplementary treatment of diseases related to the muscarinic receptor and unstable or overactive bladder such as urgency or stress urinary incontinence, urge incontinence, urinary urgency or frequency, etc. ΙT 286930-02-7 895137-80-1

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (injectable sustained release microspheric preparation of 3,3-diphenylpropylamine derivs. as muscarinic receptor antagonists) 286930-02-7 CAPLUS

Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-CN phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

Ι

10/533,683 11/18/2009

STN: SEARCH

RN 895137-80-1 CAPLUS

CN Benzenemethanol, 4-(acetyloxy)-3-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 42 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:76147 CAPLUS

DOCUMENT NUMBER: 144:156740

TITLE: Combinations of statins with bronchodilators for

treatment of respiratory disorders

INVENTOR(S): Lindmark, Bertil; Thoren, Anders Ingemar

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited

SOURCE: PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006008437	A1	20060126	WO 2005-GB2413	20050620
W: AE, AG,	AL, AM, AT	, AU, AZ,	BA, BB, BG, BR, BW, BY,	BZ, CA, CH,
CN, CO,	CR, CU, CZ	, DE, DK,	DM, DZ, EC, EE, EG, ES,	FI, GB, GD,
GE, GH,	GM, HR, HU	, ID, IL,	IN, IS, JP, KE, KG, KM,	KP, KR, KZ,

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LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
            NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
            SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
            ZA, ZM, ZW
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            IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF,
            CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM,
            KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG,
            KZ, MD, RU, TJ, TM
    AU 2005263883
                               20060126
                                           AU 2005-263883
                         Α1
                                          CA 2005-2573393
    CA 2573393
                         Α1
                               20060126
                                                                  20050620
                         A1
                                          EP 2005-752046
    EP 1773319
                               20070418
                                                                  20050620
        R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
            IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA,
            HR, LV, MK, YU
    CN 1984653
                               20070620
                                           CN 2005-80023801
                         Α
                                                                  20050620
    JP 2008506674
                         Τ
                               20080306
                                           JP 2007-520874
                                                                  20050620
    BR 2005013283
                               20080506
                                           BR 2005-13283
                                                                  20050620
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    ZA 2007000071
                         Α
                               20080430
                                           ZA 2007-71
                                                                  20070102
                                           US 2007-571869
    US 20080004247
                         Α1
                               20080103
                                                                  20070109
    MX 2007000424
                         Α
                               20070307
                                           MX 2007-424
                                                                  20070111
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    KR 2007031392
                               20070319
                                           KR 2007-700831
                                                                  20070112
    NO 2007000651
                               20070205
                                           NO 2007-651
                                                                  20070205
    IN 2007DN01182
                               20070427
                                           IN 2007-DN1182
                                                                  20070213
PRIORITY APPLN. INFO.:
                                           GB 2004-15789
                                                               A 20040715
                                           WO 2005-GB2413
                                                               W
                                                                  20050620
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AB The invention provides medicaments comprising combinations of bronchodilators, glucocorticosteroids and HMG-CoA reductase inhibitors in the treatment of respiratory disorders such as chronic obstructive pulmonary disease (COPD). For example, a metered dose inhaler contained per dose formoterol fumarate dihydrate 4.5  $\mu$ g, budesonide 160  $\mu$ g, rosuvastatin 1 mg, and HFA 227 50  $\mu L$ . Also, an inhalation/oral combination comprised an aerosol formulation containing per dose formoterol fumarate dihydrate 4.5  $\mu g$  and budesonide 160  $\mu g$ , and a tablet formulation containing rosuvastatin 10 mg.

286930-02-7, Fesoterodine ΙT

> RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (combinations of statins with bronchodilators for treatment of respiratory disorders)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD

(3 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 43 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1075634 CAPLUS

DOCUMENT NUMBER: 143:373316

TITLE: Combination therapy using adrenergic receptor

antagonist in combination with muscarinic receptor antagonists and testosterone 5-reductase inhibitors

for lower urinary tract symptoms

INVENTOR(S): Chugh, Anita; Tiwari, Atul

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

SOURCE: PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO	٥.		KINI	)	DATE					ION I			Di	ATE	
WO 200509	92341		A1	_	2005:	1006							2	0040	322
W: A	AE, AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
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(	GE, GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,
I	LK, LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	ΝΙ,
1	NO, NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
-	TJ, TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW
RW: I	BW, GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AΖ,
I	BY, KG,	KΖ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,
I	ES, FI,	FR,	GB,	GR,	HU,	ΙE,	ΙΤ,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,
C	SK, TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	$\mathrm{ML}$ ,	MR,	ΝE,	SN,
-	TD, TG														
EP 174699	98		A1		2007	0131		EP 2	004-	7223:	36		2	0040	322
R: A	AT, BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
-	IT, LI,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,	LT,	LV,	MK
WO 200509	WO 2005092342				2005	1006	1	WO 2	004-	IB86	6		2	0040	323
W: A	AE, AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
(	CN, CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG IN 2006DN06061 20070427 IN 2006-DN6061 IN 2006DN06389 Α 20070831 IN 2006-DN6389 20061031 US 2008-593939 US 20080167317 Α1 20080710 20080225 WO 2004-IB842 PRIORITY APPLN. INFO.: W 20040322 WO 2004-IB866 W 20040323

This invention relates to combination therapy for the treatment of benign AΒ prostatic hyperplasia (BPH) and lower urinary tract symptoms (LUTS) associated with or without BPH. The combination therapy comprises of  $1\alpha$  adrenergic receptor (AR) subtype selective antagonist in combination with muscarinic receptor antagonist and optionally included Testosterone 5-reductase inhibitor for relief of LUTS in a subject with or without BPH.

ΙT 286930-02-7

> RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (combination therapy using adrenergic receptor antagonist in combination with muscarinic receptor antagonists and testosterone 5-reductase inhibitors for lower urinary tract symptoms)

RN 286930-02-7 CAPLUS

Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-CN phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

OS.CITING REF COUNT: THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD 3 (3 CITINGS)

REFERENCE COUNT: THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 44 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:902168 CAPLUS

DOCUMENT NUMBER: 141:374727

TITLE: Method using quaternary ammonium compounds for the

treatment of irritable bowel syndrome

INVENTOR(S): Richards, Ivan Michael; Kolbasa, Karen Patrice

PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, LLC, USA

SOURCE: PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	ENT :	NO.			KIN	D	DATE			APPL	ICAT	ION 1	NO.			ATE	
	2004		-				2004 2005	-		WO 2	004-	IB12	18			0040	
WO			-		_		AU,		BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		•			•		DE,		•								
		•	•		•	•	ID, LV,		•		•	•	•	•		•	•
		•	•	•	•	•	PL,	•	•	•	•	•	•	•	•	•	•
	D TaT •	•	•	•	•	•	TZ, MW,	•	•	•	•	•	•	•	•	•	
	LW:						TJ,		,								
		•		,	•	,	HU,					,				,	
				BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,
US	TD, TG US 20040220224						2004	1104		US 2	004-	8239	44		2	0040	413
	• =					PAT	141:	3747:		US 2	003-	4629:	21P		P 2	0030	415

AB The invention discloses a method for treating irritable bowel syndrome by administering quaternary ammonium compds. Compds. of the invention include e.g. I [R1 = (un)substituted C1-6 alkyl, (un)substituted CH2(C1-4 alkenyl), (un)substituted CH2(C1-6 alkynyl); X = anion of pharmaceutically acceptable acid]. Preparation of selected compds., e.g. (3R)-3-(2-hydroxy-5-methylphenyl)-N,N-diisopropyl-N-methyl-3-phenylpropan-1-aminium bromide, is included.

Ι

IT 518360-93-5

GΙ

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(quaternary ammonium compds. for treatment of irritable bowel syndrome)  ${\rm RN}~518360-93-5~{\rm CAPLUS}$ 

CN Benzenepropanaminium, 5-(hydroxymethyl)-N-methyl-N,N-bis(1-methylethyl)-2- (2-methyl-1-oxopropoxy)- $\gamma$ -phenyl-, bromide, ( $\gamma$ R)- (9CI) (CA

10/533,683 11/18/2009

STN: SEARCH

INDEX NAME)

Absolute stereochemistry.

• Br-

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 45 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:878361 CAPLUS

DOCUMENT NUMBER: 141:370546

TITLE: Highly pure bases of 3,3-diphenyl propylamine

monoesters for use in transdermal delivery systems

INVENTOR(S): Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael;

Drews, Roland

PATENT ASSIGNEE(S): Schwarz Pharma Ag, Germany

SOURCE: PCT Int. Appl., 72 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

DE	10315917			A1		2004	1118	DE	2	003-	1031	5917		2	0030	408	
AU	200422816	63		A1		2004	1021	ΑU	J 2	004-2	2281	63		2	0040	403	
AU	200422816	63		В2		2007	0607										
CA	2505848			A1		2004	1021	CA	2	004-2	2505	848		2	0040	403	
BR	200400622	21		А		2005	0809	BF	2	004-6	5221			2	0040	403	
EP	1613584			A1		2006		EF	2	004-	7256	10		2	0040	403	
	1613584			B1		2007			_			_ 0			00 = 0		
	R: AT,	BE.	CH.					GB. G	īR.	TT.	T.T.	LU.	NI.	SE.	MC.	PT.	
								CY, A									HR
CN	1802345		,	A		2006		•		•	•	9224			0040		
	100475775	5		С		2009	0408										
JP	200652275	58		T		2006	1005	JF	2	006-	5049	89		2	0040	403	
ES	2297409			Т3		2008	0501	ES	3 2	004-	7256	10		2	0040	403	
KR	912451			В1		2009				005-				2	0040	403	
ZA	200500267	79		А		2006	0426	ZA	2	005-2	2679	_		2	0050	331	
	200500356			A		2005				005-3					0050		
	200600148			A1		2006				005-					0050		
	20050050			A		2005				005-					0051		
	1087399			A1		2008				006-1					0060		
	200900121	159		A1		2009				008-1					0080		
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OTHER SOURCE(S): MARPAT 141:370546

The invention relates to a compound of general formula (I) wherein A represents deuterium or hydrogen, R represents a group selected from C1-6 alkyl, C3-10 cycloalkyl or Ph, which can be substituted by C1-3 alkoxy, fluorine, chlorine, bromine, iodine, nitro, amino, hydroxyl, oxo, mercapto or deuterium. The C atom marked with a \* (star) can be present in an (R) configuration, in an (S)-configuration or a mixture thereof. The invention is characterized in that the above-mentioned compds. are free bases with a degree of purity of more than 97 wt %. The invention also relates to a method for the production of highly pure compds. of general formula (I) and to the use thereof in the production of medicaments. Thus (R)-2-[3-(Diisopropylamino)-1-phenylpropyl]-4-(hydroxymethyl)phenol was reacted with isobutyric acid chloride to form fesoterodine. Fesoterodine

was purified via the formation of its fumaric acid salt. 1.5 G of the highly pure fesoterodine was mixed with 8.5 g silicone adhesive Bio-PSA 7-4300 and applied to a foil in order to prepare a transdermal delivery system.

286930-02-7P, Fesoterodine ΙT

> RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)

RN 286930-02-7 CAPLUS

Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-CN phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

777075-72-6P ΤТ

> RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (highly pure bases of 3,3-di-Ph propylamine monoesters for use in

transdermal delivery systems)

777075-72-6 CAPLUS RN

Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-CN phenylpropyl]-4-(hydroxymethyl)phenyl ester, carbonate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 286930-02-7 CMF C26 H37 N O3

CM 2

CRN 463-79-6 CMF C H2 O3

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OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(4 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 46 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:878163 CAPLUS

DOCUMENT NUMBER: 141:360690

TITLE: Combination therapies of asthma, COPD, allergic and

infectious rhinitis

INVENTOR(S): Richards, Ivan Michael; Manning, Robert Everett

PATENT ASSIGNEE(S): Pfizer Inc, USA

SOURCE: U.S. Pat. Appl. Publ., 20 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND DA	ΓE A	APPLICATION N	Ο.	DATE
US 20040209916	A1 200	)41021 U	JS 2004-82431	5	20040413
CA 2522666	A1 200	041028 C	CA 2004-25226	66	20040405
WO 2004091596	A2 200	041028 W	WO 2004-IB117	0	20040405
WO 2004091596	A3 200	050407			
W: AE, AG, AL,	AM, AT, AU	J, AZ, BA,	BB, BG, BR,	BW, BY,	BZ, CA, CH,
CN, CO, CR,	CU, CZ, DE	E, DK, DM,	DZ, EC, EE,	EG, ES,	FI, GB, GD,
GE, GH, GM,	HR, HU, II	O, IL, IN,	IS, JP, KE,	KG, KP,	KR, KZ, LC,
LK, LR, LS,	LT, LU, LV	7, MA, MD,	MG, MK, MN,	MW, MX,	MZ, NA, NI,

NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG EP 1620083 Α2 20060201 EP 2004-725755 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK BR 2004-9492 BR 2004009492 Α 20060502 20040405 JP 2006523674 Τ 20061019 JP 2006-506483 20040405 MX 2005011225 20051214 MX 2005-11225 20051018 Α PRIORITY APPLN. INFO.: US 2003-463975P Ρ 20030418 WO 2004-IB1170 W 20040405

OTHER SOURCE(S): MARPAT 141:360690

The invention is directed to methods of treating asthma, COPD, allergic AΒ rhinitis, and infectious rhinitis by administering a first pharmaceutical agent including one or more compds. selected from the quaternary ammonium compds. (Markush structures are included) and a second pharmaceutical agent including one or more pharmaceutical agents selected from Adenosine A2a Receptor Agonists, D2-Dopamine Receptor Agonists, Phosphodiesterase Inhibitors (PDE's), corticosteroids, norepinephrine reuptake inhibitors, 4-hydroxy-7-[2-[2-[3-[2-phenylethoxy]-propylsulfonyl]ethylamino]ethyl]-1,3benzothiazol-2(3H)-one, and pharmaceutically acceptable salts thereof, and non-quaternized antimuscarinic compds.

ΙT 518360-93-5

> RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combination therapies of asthma, COPD, allergic and infectious rhinitis)

518360-93-5 CAPLUS RN

Benzenepropanaminium, 5-(hydroxymethyl)-N-methyl-N, N-bis(1-methylethyl)-2-CN  $(2-\text{methyl}-1-\text{oxopropoxy})-\gamma-\text{phenyl}-$ , bromide,  $(\gamma R)-$  (9CI) (CA INDEX NAME)

Absolute stereochemistry.

∍ Br-

10/533,683 11/18/2009

STN: SEARCH

ANSWER 47 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN L3

ACCESSION NUMBER: 2004:875348 CAPLUS

DOCUMENT NUMBER: 142:147630

TITLE: Fesoterodine, an advanced antimuscarinic for the treatment of overactive bladder: a safety update

AUTHOR(S): Cole, Patrick

Medical Information Dept., Prous Science, Barcelona, CORPORATE SOURCE:

08080, Spain

SOURCE: Drugs of the Future (2004), 29(7), 715-720

CODEN: DRFUD4; ISSN: 0377-8282

PUBLISHER: Prous Science

Journal; General Review DOCUMENT TYPE:

LANGUAGE: English

A review. The pillars of pharmacotherapy for overactive bladder (OAB) are antimuscarinic agents which inhibit bladder smooth muscle contractions through interference with acetylcholine action on muscarinic receptors of the detrusor smooth muscle. Despite the availability of different antimuscarinic compds., physicians and patients remain dissatisfied with current treatments due to adverse events and/or insufficient efficacy. Therefore, new agents with improved safety and efficacy profiles are needed for a more effective treatment of overactive bladder. Fesoterodine is a novel bladder-selective muscarinic antagonist that has shown potent antimuscarinic activity in vitro and in vivo. In multiple investigations, the agent has been shown to be safe and well tolerated in subjects of different ethnic origin, age and gender; in poor and extensive CYP2D6 metabolizers; in subjects taking concomitant medication inhibiting CYP3A4; in fed or fasted states; and in those suffering from hepatic impairment. No clin. relevant changes in heart rate, blood pressure, ECG parameters or laboratory analyses have been seen with therapeutic doses of fesoterodine in these studies. Furthermore, in a phase II clin. trial in patients with OAB, fesoterodine demonstrated rapid and significant efficacy on a variety of endpoints. The results of this trial encouraged the manufacturer (SCHWARZ PHARMA) to initiate a phase III clin. trial program for fesoterodine.

286930-02-7, Fesoterodine

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (advanced antimuscarinic fesoterodine for treatment of overactive bladder)

RN 286930-02-7 CAPLUS

Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-CN phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS

RECORD (11 CITINGS)

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 48 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:872676 CAPLUS

DOCUMENT NUMBER: 141:337790

TITLE: Transdermal administration of

(R)-3, 3-diphenylpropylamine monoesters

INVENTOR(S): Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael;

Drews, Roland

PATENT ASSIGNEE(S): Schwarz Pharma A.-G., Germany

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2004089346	A1 20041021	WO 2004-EP3574	
W: AE, AG, AL, CN, CO, CR, GE, GH, GM, LK, LR, LS, NO, NZ, OM, TJ, TM, TN, RW: BW, GH, GM, BY, KG, KZ, ES, FI, FR,	AM, AT, AU, AZ, CU, CZ, DE, DK, HR, HU, ID, IL, LT, LU, LV, MA, PG, PH, PL, PT, TR, TT, TZ, UA, KE, LS, MW, MZ, MD, RU, TJ, TM, GB, GR, HU, IE,	BA, BB, BG, BR, BW, DM, DZ, EC, EE, EG, IN, IS, JP, KE, KG, MD, MG, MK, MN, MW, RO, RU, SC, SD, SE, UG, US, UZ, VC, VN, SD, SL, SZ, TZ, UG, AT, BE, BG, CH, CY, IT, LU, MC, NL, PL, CM, GA, GN, GQ, GW,	BY, BZ, CA, CH, ES, FI, GB, GD, KP, KR, KZ, LC, MX, MZ, NA, NI, SG, SK, SL, SY, YU, ZA, ZM, ZW ZM, ZW, AM, AZ, CZ, DE, DK, EE, PT, RO, SE, SI,
•	B4 20090604	DE 2003-10315878	20030408
DE 10315878	A1 20041104		
AU 2004228927	A1 20041021	AU 2004-228927	20040403
AU 2004228927 CA 2505780 CA 2505780	B2 20070517 A1 20041021 C 20081216	CA 2004-2505780	20040403
EP 1530461	A1 20050518	EP 2004-725614	20040403

EP	1530	461			В1	2007	1003										
	R:	AT,	BE,	CH,	DE,	DK, ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FI, RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	HR
BR	2004	00623	12		A	2005	0816	В	R 20	004-6	6212			2	0040	403	
JP	2006	52275	59		T	2006	1005	J	P 20	006-	5049	92		2	0040	403	
NZ	5392	14			A	2007	0223	N	Z 20	004-	5392	14		2	0040	403	
MX	2005	00356	51		Α	2005	0617	M	X 20	005-3	3561			2	0050	401	
US	2006	00296	573		A1	2006	0209	U	S 20	005-	5336	83		2	0050	426	
KR	2006	00333	3 4		Α	2006	0110	K	R 20	005-	7180	06		2	0050	926	
NO	2005	00464	44		A	2005	1010	N	0 20	005-	4644			2	0051	010	
US	2009	02747	761		A1	2009	1105	U	S 20	009-	4174	05		2	0090	402	
PRIORITY	APP	LN.	INFO	. :				D	E 20	003-	1031	5878	Ž	A 2	0030	408	
								W	0 20	004-1	EP35	74	I	w 2	0040	403	
								U	S 20	005-	5336	83	Ž	A3 2	0050	426	

OTHER SOURCE(S): MARPAT 141:337790

AB The invention relates to a device for transdermally administering a compound of formula (I), wherein A represents hydrogen or deuterium, R represents a group selected among C1-6 alkyl, C3-10 cycloalkyl, or Ph, each of which can be substituted by C1-3 alkoxy, fluoride, chlorine, bromine, iodine, nitro, amino, hydroxy, oxo, mercapto, or deuterium, the C atom marked by \* (asterisk) being provided in the R configuration. The invention is characterized in that the compound of general formula (I) is provided in a polymer matrix and is released at a dose of 0.5 to 20 mg per day through human skin. The invention further relates to the use of said compds. of formula (I) for producing transdermal medicaments. Thus a silicone-based transdermal system was prepared by the hot-melt process. 8.5 G of an adhesive mixture composed of BIO-PSA 7-4300 from Dow-Corning and 5 weight/weight%

ozokerite or ceresin was heated to 150°C for 20 min until a homogeneous melt was formed. 1.5 G fesoterodine were added to the melt; the mixture was kept for addnl. 5 min at 150°C; followed by application onto a preheated foil. 5 Cm2 samples were used for dissoln. studies.

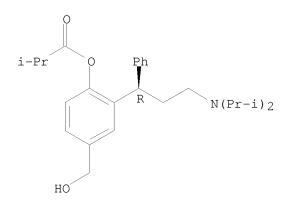
286930-02-7P, Fesoterodine
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (transdermal administration of (R)-3,3-diphenylpropylamine monoesters)

STN: SEARCH

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 6 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 49 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:761399 CAPLUS

DOCUMENT NUMBER: 141:254396

TITLE: Fesoterodine a new effective and well-tolerated

antimuscarinic for the treatment of urgency-frequency

syndrome: results of a phase 2 controlled study

Chapple C1, Royal Hallamshire Hospital, UK CORPORATE SOURCE:

SOURCE: Neurourology and Urodynamics (2004), 23(5/6), 598-599

CODEN: NEUREM; ISSN: 0733-2467

PUBLISHER: Wiley-Liss, Inc.

DOCUMENT TYPE: Journal LANGUAGE: English

Fesoterodine as new effective and well-tolerated antimuscarinic for the

treatment of urgency-frequency syndrome is studied here.

ΙT 286930-02-7, Fesoterodine

> RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antimuscarinic fesoterodine for treatment of urgency-frequency

syndrome)

RN 286930-02-7 CAPLUS

Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-CN phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

L3 ANSWER 50 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:993805 CAPLUS

DOCUMENT NUMBER: 140:331551

TITLE: Fesoterodine: Treatment of urinary incontinence

muscarinic M3 antagonist

AUTHOR(S): Sorbera, L. A.; Castaner, J.; Lesson, P. A. CORPORATE SOURCE: Prous Science, Barcelona, 08080, Spain Drugs of the Future (2003), 28(7), 647-651

CODEN: DRFUD4; ISSN: 0377-8282

PUBLISHER: Prous Science

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review. Urinary incontinence and overactive bladder are extremely common disorders affecting up to 12 and 20 million adults in the U.S., resp. Current pharmacotherapy includes peripherally acting compds. which modulate bladder smooth muscle contraction or centrally acting agents which modulate the neurol. control of urination. Anticholinergic agents inhibit bladder smooth muscle contraction through interference with acetylcholine action on muscarinic receptors on detrusor smooth muscle. However, the first anticholinergic agents were associated with a high rate of adverse events due to nonselectivity and targeting of several muscarinic subtypes and thus other organs. The search for novel, more bladder-selective antimuscarinic agents with better tolerability was initiated. Fesoterodine is a novel selective muscarinic M3 receptor antagonist that has shown potent antimuscarinic activity in vitro and in vivo and has been selected for further development as a treatment for urinary incontinence and overactive bladder.

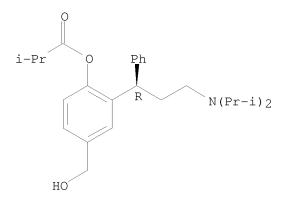
IT 286930-02-7, Fesoterodine

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(fesoterodine treatment of urinary incontinence as muscarinic M3 antagonist)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 51 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:950829 CAPLUS

DOCUMENT NUMBER: 140:13084

TITLE: Combination of selected opioids with other active

substances for use in the therapy of urinary

incontinence

INVENTOR(S): Christoph, Thomas

PATENT ASSIGNEE(S): Grunenthal G.m.b.H., Germany

SOURCE: PCT Int. Appl., 126 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
	A1 20031204	WO 2003-EP5529	20030527
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BY, BZ,	CA, CH, CN,
CO, CR, CU,	CZ, DK, DM, DZ,	EC, EE, ES, FI, GB, GD,	GE, GH, GM,
HR, HU, ID,	IL, IN, IS, JP,	KE, KG, KP, KR, KZ, LC,	LK, LR, LS,
LT, LU, LV,	MA, MD, MG, MK,	MN, MW, MX, MZ, NO, NZ,	OM, PH, PL,
PT, RO, RU,	SC, SD, SE, SG,	SK, SL, TJ, TM, TN, TR,	TT, TZ, UA,
UG, US, UZ,	VC, VN, YU, ZA,	ZM, ZW	
RW: GH, GM, KE,	LS, MW, MZ, SD,	SL, SZ, TZ, UG, ZM, ZW,	AM, AZ, BY,
KG, KZ, MD,	RU, TJ, TM, AT,	BE, BG, CH, CY, CZ, DE,	DK, EE, ES,
FI, FR, GB,	GR, HU, IE, IT,	LU, MC, NL, PT, RO, SE,	SI, SK, TR,
BF, BJ, CF,	CG, CI, CM, GA,	GN, GQ, GW, ML, MR, NE,	SN, TD, TG
DE 10224107	A1 20031211	DE 2002-10224107	20020529
		AU 2003-240717	
EP 1507520	A1 20050223	EP 2003-730120	20030527
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU, NL,	SE, MC, PT,
IE, SI, LT,	LV, FI, RO, MK,	CY, AL, TR, BG, CZ, EE,	HU, SK
		US 2004-998164	
		US 2005-545901	20050817
US 7246486	B2 20070724		

10/533,683 11/18/2009 STN: SEARCH

PRIORITY APPLN. INFO.: DE 2002-10224107 A 20020529

WO 2003-EP5529 W 20030527

OTHER SOURCE(S): MARPAT 140:13084

AB The invention discloses the use of a combination of opioids (e.g. tramadol) with other active substances for producing a drug for the treatment of urinary urgency or urinary incontinence. The invention also relates to corresponding medicaments and to a method for treating urinary urgency or urinary incontinence.

IT 286930-02-7, Fesoterodine

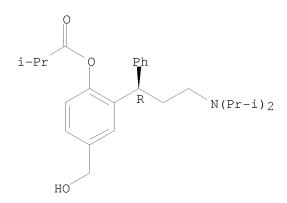
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(opioid combination with other active substances for treatment of urinary incontinence)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD

(3 CITINGS)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 52 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:335062 CAPLUS

DOCUMENT NUMBER: 138:353732

TITLE: Quaternary ammonium compounds and their use as

antimuscarinic agents

INVENTOR(S): Richards, Ivan; Cammarata, Sue K.; Wegner, Craig D.;

Hawley, Michael; Warchol, Mark P.; Kontny, Mark; Morozowich, Walter; Kolbasa, Karen P.; Moon, Malcolm W.; Bonafoux, Dominique; Wolfson, Sergey G.; Lennon,

Patrick J.

PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA

SOURCE: PCT Int. Appl., 69 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PA'	PATENT NO.					D	DATE		APPLICATION NO.						DATE				
WO	2003	 0355	 99		A1	_	2003	0501		WO 2002-US34529					20021025				
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							DK,												
							IN,												
							MD,												
							SG,												
			•	•	•		ZA,	•			,	,	,	,	,		•	,	,
	RW:		•		•	,	MZ,	•		, S2	Z, ]	ΓZ,	UG,	ZM,	ZW,	ΑM	Ι, Ζ	AZ,	BY,
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							IT,												
							. GQ,										•	- '	- ,
CA	2464		·	,	A1			0501						223			200	021	025
CA	2464	223			С		2009	0526											
AU	2002	3593	14		A1		2003	0506		AU	200	02-	3593	14			200	021	)25
	US 20030158176									US 2002-280906				20021025					
US	6890	920			В2		2005	0510											
BR	2002006207				A 20031223			BR 2002-6207					20021025						
EP	1461	306			A1		2004	0929		ΕP	200	02-	7938	40			200	021	025
EP	1461	306			В1		2008	1224											
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	. GI	R, I	ΙΤ,	LI,	LU,	NL,	SE	, 1	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	. Al	և, 1	ΓR,	BG,	CZ,	EE,	SK			
JP	2005	5246	05		T		2005	0818		JΡ	200	03-	5381	15			200	021	)25
JP	3981				В2		2007	0926											
AT	4185	34			Т Т3		2009	0115		ΑT	200	02-	7938	40			200	021	)25
	2315	425			Т3		2009	0401		ES	200	02-	7938	40			200	)21(	)25
	2003				А		2003	0825									200	0306	526
MX	2004	0038	65		А		2004	0708									200	0404	423
US	2005	0148					2005	0707		US	200	)5-	7491	4			200	0500	308
	7439				В2		2008	1021											
PRIORIT	Y APP	LN.	INFO	.:									3489					0110	
													3619					0200	
														21P				0206	
														06					
										WO	200	02-1	US34	529		W	200	)21	)25
OTHER S	OTHER SOURCE(S):					PAT	138:	35373	32										

GI

Novel quaternary ammonium compds. I [R1-R3 = (un)substituted alkyl; NR1R2, NR2R3, NR1R3 = heterocyclic; R4 = H, Me,acyl, alkoxycarbonyl, AΒ

10/533,683 11/18/2009 STN: SEARCH

(un) substituted NH2; R5-R7 = H, OMe, OH, CONH2, SO2NH2, F, Cl, Br, I, CF3, (un) substituted alkyl; X = anion of a pharmaceutically acceptable acid] were prepared for use as antimuscarinic agents. Thus, tolterodine tartrate was converted to the free base and quaternized with MeI to give (R)-5,2-Me(OH)C6H3CHPhCH2CH2N+(CHMe2)2Me I- which has high affinity, but little selectivity for M1-M5 muscarinic receptors.

IT 518360-93-5P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn.of diarylpropylammonium salts as antimuscarinic agents)

RN 518360-93-5 CAPLUS

CN Benzenepropanaminium, 5-(hydroxymethyl)-N-methyl-N,N-bis(1-methylethyl)-2-(2-methyl-1-oxopropoxy)- $\gamma$ -phenyl-, bromide, ( $\gamma$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

• Br-

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD

(6 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 53 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:449738 CAPLUS

DOCUMENT NUMBER: 135:61141

TITLE: Preparation of stable salts of

2-(3-diisopropylamino-1-phenylpropyl)-4-

hydroxymethylphenyl esters.

INVENTOR(S): Meese, Claus

PATENT ASSIGNEE(S): Schwarz Pharma A.-G., Germany

SOURCE: Ger. Offen., 22 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

	 19955190				DE 1999-19955190 19991116
	29923134		U1	20010821	DE 1999-19933190 19991116 DE 1999-29923134 19991116
	2389749		7. 1	20010525	CA 2000-2389749 20001115
	2389749		C	20090331	20001113
	2001035957		A2	20010525	WO 2000-EP11309 20001115
	2001035957			20011227	WO 2000 HI 11303
					BA, BB, BG, BR, BY, BZ, CA, CH, CN,
					EE, ES, FI, GB, GD, GE, GH, GM, HR
					KG, KP, KR, KZ, LC, LK, LR, LS, LT
					MW, MX, MZ, NO, NZ, PL, PT, RO, RU
	SD, SE,	SG, S	SI,	SK, SL, TJ,	TM, TR, TT, TZ, UA, UG, US, UZ, VN,
	YU, ZA,				
					SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
					IE, IT, LU, MC, NL, PT, SE, TR, BF,
		CG, C			GW, ML, MR, NE, SN, TD, TG
	2001026667		A	20010530	AU 2001-26667 20001115
	778132		B2	20041118	DD 2000 15610 20001115
	2000015610		A	20020730	BR 2000-15610 20001115 EP 2000-989857 20001115
				20020814 20050112	EP 2000-989857 20001115
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HU	2002004034		A2	20030328	HU 2002-4034 20001115
	2002004034			20041228	
JP	2003514018		Τ	20030415	JP 2001-537950 20001115
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NZ	519230		A	20041126	NZ 2000-519230 20001115
	1481964		A1	20041201	EP 2004–18487 20001115
EP	1481964		В1	20060823	
					GB, GR, IT, LI, LU, NL, SE, MC, PT
λТ	1E, SI, 286872	ът, т	」∨ <b>,</b> 」 Τ	FI, RO, MK, 20050115	AT 2000–989857 20001115
	2236032		T3	20050716	ES 2000–989857 20001115 ES 2000–989857 20001115
	1215045		C		CN 2000-815705 20001115
	1690536		A2	20060816	
	1690536		A3	20060823	
	1690536		В1	20080514	
	R: AT, BE,	CH, [	DE, 1	DK, ES, FR,	GB, GR, IT, LI, LU, NL, SE, MC, PT,
		LT, I	[V, ]	FI, RO, MK,	CY, AL, TR
	337293		Τ	20060915	AT 2004-18487 20001115
	2270240			20070401	
	149567		A	20070819	IL 2000-149567 20001115
	395056		T	20080515	AT 2006-11207 20001115
	2303708 2002003315		T3	20080816	ES 2006-11207 20001115 ZA 2002-3315 20020425
	2002003315		A A	20030725 20040910	ZA 2002-3315 20020425 MX 2002-4603 20020508
	6858650		B1	20050222	US 2002-130214 20020514
	2002002314		A	20030222	NO 2002-2314 20020515
	323920		B1	20070723	100 2002 2311 20020313
	1045148		A1	20050506	HK 2002-106545 20020905
	1067114		A1	20061020	HK 2004-110231 20020905
	2006005380		A	20020515	NO 2006-5380 20061122
	1095736		A1	20090417	HK 2007-101097 20070131
	2007137895		А	20070607	JP 2007-42774 20070222
PRIORIT	Y APPLN. INFO	).:			DE 1999-19955190 IA 19991116
					EP 2000-989857 A3 20001115

10/533,683 11/18/2009 STN: SEARCH

EP 2004-18487 A3 20001115
JP 2001-537950 A3 20001115
WO 2000-EP11309 W 20001115
HK 2002-106545 A 20020905

OTHER SOURCE(S): MARPAT 135:61141

GΙ

AB Title compds. [I; R = alkyl, cycloalkyl, (substituted) Ph; X- = residue of a physiol. acceptable (in)organic acid], were prepared Thus, (R)-2-(3-diisopropylamino-1-phenylpropyl)-4-hydroxymethylphenyl isobutyrate (II) (preparation given) in 2-butanone was treated with fumaric acid under warming to give 83.1% II.hydrogen fumarate.

IT 286930-02-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of stable salts of 2-(3-diisopropylamino-1-phenylpropyl)-4-hydroxymethylphenyl esters)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

IT 286930-03-8P 345663-07-2P

RN

CN

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of stable salts of 2-(3-diisopropylamino-1-phenylpropyl)-4hydroxymethylphenyl esters) 286930-03-8 CAPLUS Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME) CM 1 CRN 286930-02-7

Absolute stereochemistry. Rotation (+).

CMF C26 H37 N O3

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 345663-07-2 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1phenylpropyl]-4-(hydroxymethyl)phenyl ester, hydrochloride (1:1) (CA INDEX NAME)

10/533,683 11/18/2009

HC1

THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD OS.CITING REF COUNT: 3

STN: SEARCH

(4 CITINGS)

ANSWER 54 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:533448 CAPLUS

DOCUMENT NUMBER: 133:155419

TITLE: Stable salts of novel derivatives of

3,3-diphenylpropylamines

PATENT ASSIGNEE(S): Schwarz Pharma A.-G., Germany

SOURCE: Ger. Gebrauchsmusterschrift, 37 pp.

CODEN: GGXXFR

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 29923134	U1	20000803	DE 1999-29923134	19991116
DE 19955190	A1	20010621	DE 1999-19955190	19991116
PRIORITY APPLN. INFO.:			DE 1999-19955190 IA	19991116
		400 455446		

OTHER SOURCE(S): MARPAT 133:155419

GΙ

3,3-Diphenylpropylamine salts I [R1 = RCO2; R = C1-6 alkyl, C3-10]AΒ cycloalkyl, (substituted) Ph; R2 = CH2OH; X = inorg. or organic acid] are prepared for use as prodrugs of agents for treatment of urinary incontinence and other spasmogenic disorders. I show improved absorption through biol. membranes and improved metabolic patterns and are easily crystallized I are prepared from I free base (R1 = PhCH2O, R2 = CO2Me) by debenzylation, reduction,

acylation, and combination with HX. Thus, R-(-)-I-HCl (R1 = PhCH2O, R2 = CO2H) was esterified by refluxing in acidic MeOH, the ester was reduced with LiAlH4, the resulting carbinol was reduced with Raney Ni/H2, and the product [R-(+)-I] free base, R=CHMe2] was converted to its H fumarate salt by heating with equimolar fumaric acid in 2-butanone; the salt was crystallized by addition of cyclohexanone and cooling to  $0^{\circ}$ .

286930-03-8P 286930-04-9P ΤТ

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(stable salts of novel derivs. of diphenylpropylamines)

286930-03-8 CAPLUS RN

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM

CRN 286930-02-7 CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 286930-04-9 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, hydrochloride, hydrate (1:1:1) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

● HCl

L3 ANSWER 55 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1999:736261 CAPLUS

DOCUMENT NUMBER: 131:336818

TITLE: Preparation of 3,3-diphenylpropylamines as

antimuscarinic agents.

INVENTOR(S): Sparf, Bengt; Meese, Claus O. PATENT ASSIGNEE(S): Schwarz Pharma AG, Germany SOURCE: Eur. Pat. Appl., 27 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
	A1 19991117	EP 1998-108608 GB, GR, IT, LI, LU,	19980512 NL, SE, MC, PT,
CA 2328920	A1 19991118	CA 1999-2328920	19990511
CA 2328920	C 20080415	110 1000 BD2010	10000511
WO 9958478 W: AE. AL. AM.	A1 19991118	WO 1999-EP3212 BB, BG, BR, BY, CA,	
		GE, GH, GM, HR, HU,	
		LK, LR, LS, LT, LU,	
MN, MW, MX,		RO, RU, SD, SE, SG,	
TM, TR, TT,			,,,
RW: GH, GM, KE,			CH, CY, DE, DK,
ES, FI, FR,		LU, MC, NL, PT, SE,	BF, BJ, CF, CG,
CI, CM, GA,	GN, GW, ML, MR,	NE, SN, TD, TG	
AU 9941412	A 19991129	AU 1999-41412	19990511
AU 748057	B2 20020530		
BR 9910406	A 20010109	BR 1999-10406	
	A1 20010228	EP 1999-924929	19990511
EP 1077912	B1 20020703		MI CE MC DE
	LV, FI, RO	GB, GR, IT, LI, LU,	NL, SE, MC, PI,
HU 2001000779	A2 20010828	HU 2001-779	19990511
HU 226490	B1 20090302	110 2001 , , ,	
TR 200003319		TR 2000-3319	19990511 19990511
AT 220056	T 20020715	AT 1999-924929	19990511
EP 1254890	A1 20021106	EP 2002-13481	19990511
		GB, GR, IT, LI, LU,	NL, SE, MC, PT,
	LV, FI, RO, MK,		
NZ 507487	A 20021126	NZ 1999-507487	19990511
ES 2181443	T3 20030216 C2 20030227	ES 1999-924929	19990511
		RU 2000-125813 JP 2000-548284	19990511 19990511
JP 2003519079 JP 3929702	B2 20070613	JF 2000-J40204	19990311
CN 1207268	C 20050622	CN 1999-806038	19990511
CN 1690041	A 20051102	CN 2005-10070299	
CN 100491336	C 20090527		
CZ 296605	B6 20060412	CZ 2000-3774	19990511
PL 195581	B1 20071031	PL 1999-347823	19990511
SK 286052	B6 20080205	SK 2000-1547	19990511
CZ 299721	B6 20081029	CZ 2006-29	19990511
ZA 2000005728	A 20010305	ZA 2000-5728 NO 2000-5669	20001017
NO 2000005669	A 20010111	NO 2000-5669	20001110
NO 326872	B1 20090309		

MX 20	000011096	A	20020604	MΧ	2000-11096		20001110
US 67	713464	В1	20040330	US	2001-700094		20010102
HK 10	146269	A1	20050923	HK	2002-107859		20021030
US 20	0040186061	A1	20040923	US	2004-766263		20040127
US 72	230030	В2	20070612				
US 20	0060270738	A1	20061130	US	2005-201756		20050810
US 73	384980	В2	20080610				
JP 20	007084552	A	20070405	JΡ	2006-283861		20061018
JP 20	007204481	A	20070816	JΡ	2007-39857		20070220
US 20	090042981	A1	20090212	US	2008-105016		20080417
PRIORITY A	APPLN. INFO.:			ΕP	1998-108608	Α	19980512
				CN	1999-806038	АЗ	19990511
				EP	1999-924929	АЗ	19990511
				JP	2000-548284	АЗ	19990511
				WO	1999-EP3212	W	19990511
				US	2001-700094	Α1	20010102
				US	2004-766263	Α1	20040127
				US	2005-201756	Α1	20050810

OTHER SOURCE(S): MARPAT 131:336818 GI

Ι

Title compds. (I; R = H, Me, Et, Pr, Me2CH, Bu, iso-Bu, pentyl, hexyl, AΒ PhCH2, alkyl, CHO, Ac, propionyl, isobutyryl, aminocarbonyl, aminosulfonyl, MeO2C, etc.; R1 = H, Me, Et, Pr, Me2CH, Bu, iso-Bu, pentyl, hexyl, PhCH2, alkyl, phenylalkyl; Z = NR8R9; R8, R9 = hydrocarbyl; NR8R9 = atoms to form a ring; with a proviso), were prepared as antimuscarinic agents (no data). Thus, 4-bromophenol, cinnamoyl chloride, and Et3N were stirred 18 h in CH2Cl2 to give 99.8% 3-phenylacrylic acid 4-bromophenyl ester. This was refluxed 2 h with HOAc/H2SO4 to give 43.8% 6-bromo-4-phenylchroman-2-one. The latter was refluxed with benzyl bromide, K2CO3, and NaI in acetone/MeOH to give 102.1% crude Me 3-(2-benzyloxy-5-bromophenyl)-3-phenylpropionate, which was stirred with LiAlH4 in THF to give 96.3% 3-(2-benzyloxy-5-bromophenyl)-3-phenylpropan-1ol. This was stirred with tosyl chloride and pyridine in CH2Cl2 for 18 h to give 93.6% tosylate ester, which was refluxed 97 h with diisopropylamine in MeCN to give 77.9% [3-(2-benzyloxy-5-bromophenyl)-3-phenylpropyl]diisopropylamine. The latter was converted in several steps to 2-(3-diisopropylamino-1-phenylpropyl)-4-hydroxymethylphenol, which was

IT 250214-41-6P 250214-42-7P 250214-43-8P 250214-44-9P 250214-45-0P 250214-46-1P 250214-47-2P 250214-48-3P 250214-49-4P 250214-50-7P

acylated to give I.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3,3-diphenylpropylamines as antimuscarinic agents)

RN 250214-41-6 CAPLUS

CN Benzenemethanol, 4-(acetyloxy)-3-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]- (CA INDEX NAME)

RN 250214-42-7 CAPLUS

CN Benzenemethanol, 3-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(1-oxopropoxy)- (CA INDEX NAME)

RN 250214-43-8 CAPLUS

CN Butanoic acid, 2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4- (hydroxymethyl)phenyl ester (CA INDEX NAME)

RN 250214-44-9 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]- 4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

RN 250214-45-0 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, 2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

RN 250214-46-1 CAPLUS

CN Benzenemethanol, 4-(benzoyloxy)-3-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]- (CA INDEX NAME)

RN 250214-47-2 CAPLUS

CN Propanedioic acid, 1,3-bis[2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl] ester (CA INDEX NAME)

RN 250214-48-3 CAPLUS

CN Butanedioic acid, 1,4-bis[2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl] ester (CA INDEX NAME)

RN 250214-49-4 CAPLUS

CN Pentanedioic acid, 1,5-bis[2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl] ester (CA INDEX NAME)

RN 250214-50-7 CAPLUS

CN Hexanedioic acid, 1,6-bis[2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl] ester (CA INDEX NAME)

OS.CITING REF COUNT: 19 THERE ARE 19 CAPLUS RECORDS THAT CITE THIS

RECORD (21 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

# => D L4 IBIB ABS HITSTR 1-5

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:670446 CAPLUS

DOCUMENT NUMBER: 150:572448

TITLE: Transdermal delivery system for fesoterodine

INVENTOR(S): Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael

PATENT ASSIGNEE(S): Schwarz Pharma A.-G., Germany

SOURCE: Ger., 26pp.
CODEN: GWXXAW

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PA:	TENT				KIN		DATE			APPL	ICAT	ION 1	NO.		D.	ATE		
	1031	5878			В4		2009	0604		DE 2	003-	1031	5878		2	0030	408	
AU	1031 2004	2289	27				2004 2004	1021		AU 2	004-	2289.	27		2	0040	403	
	2004									~- ^	004	0505	<b></b>		0	0040	400	
	2505 2505						2004 2008			CA 2	004-	2505	780		2	0040	403	
-	2004									WI∩ 2	nn4_	FD35	74		2	0040	403	
NO	Z004						AU,											
							DE,											
							ID,											
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		,		,			TZ,	•			,		•					
	RW:						MW,											
							RU, TJ, TM, AT, BE, BG, CH, CY, GR, HU, IE, IT, LU, MC, NL, PL,											
						GR, HU, IE, IT, LU, MC, NL, PL CF, CG, CI, CM, GA, GN, GQ, GW												
			TG	Dr,	DU,	CF,	CG,	CI,	CM,	GA,	GIN,	GQ,	GW,	MIL.,	MK,	NE,	SIV,	
EР	1530	,			A 1		2005	0518		EP 2	004-	7256	1 4		2	0040	403	
	1530				B1		2007				002	, _ 0 0			_	0020		
	R:	ΑT,	BE,	CH,	DE,		ES,		GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	HR
BR	2004	0062	12		Α		2005					6212				0040		
CN	1767	820			А		2006			CN 2	004-	8000	9176		2	0040	403	
CN	1004	4117	9		C		2008	-										
	2006		59				2006			JP 2	006-	5049	92		2	0040		
	5392	14			A T		2007 2007			NZ Z	004-	5392 7256	14 14		2	0040 0040		
	3746 2295				T3		2007					7256				0040		
	2005						2005					3561				0050		
	2005				A		2005					2681				0050		
	2006				A1		2006					5336				0050		
	2006				A		2006					7180				0050		
NO	2005	0046	44		А		2005	1010		NO 2	005-	4644			2	0051	010	
US	2009	0274	761		A1		2009	1105		US 2	009-	4174	05		2	0090	402	

PRIORITY APPLN. INFO.:

DE 2003-10315878 A 20030408 WO 2004-EP3574 W 20040403 US 2005-533683 A3 20050426

The invention concerns a transdermal drug delivery system for (R)-2 [3-(1,1-diisopropylamino)-1-phenylpropyl] -4-(hydroxymethyl)phenyl isobutyrate (Fesoterodin) in form of a plaster that includes (a) a fesoterodine-containing adhesive matrix; (b) a protective layer that is removed upon application; (c) the adhesive matrix is a polymer matrix with 50-95 weight% adhesive selected from the group of acrylate-vinylacrylate copolymers, EVA (ethylene vinylacetate)-based adhesive, silicone, styrene block copolymers, adhesive rubbers polyisobutylene, polybutadiene, neoprene and polyisoprene. 8.5 G of an adhesive mixture composed of BIO-PSA 7-4300 from Dow-Corning and 5 weight/weight% ozokerite was heated to 150°C for 20 min until a homogeneous melt was formed. 1.5 G fesoterodine were added to the melt; the mixture was kept for addnl. 5 min at 150°C; followed by application onto a preheated foil. 5 Cm2 samples were used for dissoln. studies.

IT 286930-02-7P, Fesoterodine

RL: PEP (Physical, engineering or chemical process); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses)

(transdermal delivery system for fesoterodine)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

IT 286930-03-8P, Fesoterodine fumarate

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(transdermal delivery system for fesoterodine)

RN 286930-03-8 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7 CMF C26 H37 N O3 Absolute stereochemistry. Rotation (+).

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1161316 CAPLUS

DOCUMENT NUMBER: 150:298553

TITLE: The effects of antimuscarinic treatments in overactive

bladder: an update of a systematic review and

meta-analysis

AUTHOR(S): Chapple, Christopher R.; Khullar, Vik; Gabriel,

Zahava; Muston, Dominic; Bitoun, Caty Ebel; Weinstein,

David

CORPORATE SOURCE: Royal Hallamshire Hospital, Urology Research,

Sheffield Teaching Hospital NHS Trust, Sheffield, UK

SOURCE: European Urology (2008), 54(3), 543-562

CODEN: EUURAV; ISSN: 0302-2838

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

AB Context: Antimuscarinic agents are currently the first-line pharmacotherapy for overactive bladder. Objectives: A systematic review published in 2005 was updated, including data on a newly licensed antimuscarinic (fesoterodine). The primary aim of this study was to systematically review evidence on the efficacy of licensed administration of antimuscarinic treatments in overactive bladder from randomised controlled trials. Secondary aims were to review evidence on tolerability and safety and health-related quality of life (HRQL). Evidence

acquisition: All relevant data sources from randomised controlled trials were searched, and two independent reviewers considered publications for inclusion and extracted relevant data. Meta-anal. was used to pool efficacy, tolerability, safety, and HRQL outcomes by treatment. Efficacy was measured by continent days, mean voided volume, urgency episodes, and micturition frequency. Tolerability and safety were measured by means of adverse event and withdrawal rates. HRQL was measured by various instruments. Evidence synthesis: An addnl. 1118 refs. were retrieved with data on 83 studies extracted Antimuscarinics were found to be more effective than placebo. Tolerability was good; few of the antimuscarinics were found to have significantly higher withdrawal rates in comparison to placebo. No serious adverse event for any product was statistically significant compared to placebo. Dry mouth (mild, moderate, severe) was the most commonly reported adverse event (29.6% on treatment vs 7.9% on placebo), followed by pruritus (15.4% on treatment vs 5.2% on placebo). Improvements were seen in HRQL with treatment by darifenacin, fesoterodine, oxybutynin transdermal delivery system, propiverine extended release (ER), solifenacin, tolterodine ER and immediate release, and trospium. Limitations of the study include restrictions on the types of patients typically included in overactive bladder trials and topics that have not been adequately addressed in the current antimuscarinic literature. Conclusions: Antimuscarinics are efficacious, safe, and well-tolerated treatments that improve HRQL. Profiles of each drug and dosage differ and should be considered in making treatment choices.

IT 286930-02-7, Fesoterodine

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (fesoterodine showed efficacy, safety and well tolerated treatment in patient with overactive bladder)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN

10/533,683 11/18/2009 STN: SEARCH

ACCESSION NUMBER: 2007:1454781 CAPLUS

DOCUMENT NUMBER: 148:78876

TITLE: Cyclopentylpyrrolidinone derivatives and their preparation and use in combination therapy for the treatment of urinary frequency, urinary urgency and

urinary incontinence

INVENTOR(S): Gottesdiener, Keith M.; Green, Stuart A.; Macintyre,

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 86pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATEN	N TN	.01			KIN	D	DATE			APPL	ICAT	ION 1	NO.			ATE	
WO 20 WO 20					A2 A3		2007 2008	1221	1	WO 2	007-	US13	683			0070	
-		-			_		AU,		BA.	BB.	BG.	BH.	BR.	BW.	BY.	B7.	CA.
•		•	•	•	•	•	CZ,	•	•	•	•	•	•	•	•	•	•
		GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,
		KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,
	MG, MK, M PT, RO, R					MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NΖ,	OM,	PG,	PH,	PL,
	PT, RO, RS				RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ТJ,	TM,	TN,
	PT, RO, RS TR, TT, TS					UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	ZW				
F	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,
		•	•	•	•	•	MC,	•	•	•	•	•	•	•	•	•	•
		•	•	•	•		GΑ,	•		•	•	•	•	•	•	•	•
			•		•		MZ,	•		•	•	•	UG,	ZM,	ZW,	AM,	AZ,
		,	•	,	MD,	RU,	ТJ,	TM,									
PRIORITY A										US 2	006-	8127	43P		P 2	0060	612
OTHER SOUF	RCE (	S):			CAS:	REAC	T 14	8 <b>:</b> 78	876								

AΒ This invention concerns compns. for the treatment of urinary frequency, urinary urgency and urinary incontinence comprising a selected antagonist of the NK-1 receptor or a pharmaceutically acceptable salt thereof and an anti-muscarinic agent or a pharmaceutically acceptable salt thereof. This invention concerns combination therapy for urinary frequency, urinary urgency and urinary incontinence wherein one of the active agents is a selected antagonist of the NK-1 receptor or a pharmaceutically acceptable salt thereof and another is an anti-muscarinic agent or a pharmaceutically acceptable salt thereof. Example compound I was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their NK-1 receptor antagonistic activity.

ΤТ 286930-02-7, Fesoterodine

> RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of cyclopentylpyrrolidinone derivs. as anti-muscarinic agents and NK-1 receptor antagonists in combination therapy of urinary frequency, urinary urgency and urinary incontinence)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:878361 CAPLUS

DOCUMENT NUMBER: 141:370546

TITLE: Highly pure bases of 3,3-diphenyl propylamine

monoesters for use in transdermal delivery

systems

INVENTOR(S): Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael;

Drews, Roland

PATENT ASSIGNEE(S): Schwarz Pharma Ag, Germany

SOURCE: PCT Int. Appl., 72 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

LANGUAGE: Germa FAMILY ACC. NUM. COUNT: 1

PA:	rent	NO.			KIN	D	DATE			APPL	ICAT	ION I	.00		D	ATE		
WO	2004	0898	 72		A1		2004	1021		WO 2	004-	EP35	 67		2	0040	403	
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KP,	KR,	KΖ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ,	
		NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
	RW:	BW,	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	
		BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	
		ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	
		SK,	TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	
		TD,																
DE	1031				A1		2004								_	0030		
ΑU	2004	-			A1		2004			AU 2	004 -	2281	63		2	0040	403	
ΑU	2004		63				2007											
	2505				A1		2004			CA 2					_	0040		
	2004		21		Α		2005			BR 2					_	0040		
	1613				A1		2006	0111		EP 2	004-	7256	10		2	0040	403	
EΡ	1613	584			В1		2007	1121										
	R:	ΑT,	•	•	•	•	•	•	•		•	•			•	•		
			•	,	•		RO,	•			•	•			•	•		HR
CN	1802	345			А		2006	0712	1	CN 2	004-	8000	9224		2	0040	403	

CN	100475775	С	20090408				
JP	2006522758	T	20061005	JΡ	2006-504989		20040403
ES	2297409	Т3	20080501	ES	2004-725610		20040403
KR	912451	B1	20090814	KR	2005-717823		20040403
ZA	2005002679	A	20060426	ZA	2005-2679		20050331
MX	2005003562	A	20050603	MX	2005-3562		20050401
US	20060014832	A1	20060119	US	2005-532836		20050426
NO	2005005078	A	20051031	ИО	2005-5078		20051031
HK	1087399	A1	20080718	HK	2006-107724		20060710
US	20090012159	A1	20090108	US	2008-141489		20080618
PRIORITY	APPLN. INFO.:			DE	2003-10315917	Α	20030408
				WO	2004-EP3567	W	20040403
				US	2005-532836	АЗ	20050426

STN: SEARCH

OTHER SOURCE(S): MARPAT 141:370546

AB The invention relates to a compound of general formula (I) wherein A represents deuterium or hydrogen, R represents a group selected from C1-6 alkyl, C3-10 cycloalkyl or Ph, which can be substituted by C1-3 alkoxy, fluorine, chlorine, bromine, iodine, nitro, amino, hydroxyl, oxo, mercapto or deuterium. The C atom marked with a \* (star) can be present in an (R) configuration, in an (S)-configuration or a mixture thereof. The invention is characterized in that the above-mentioned compds. are free bases with a degree of purity of more than 97 wt %. The invention also relates to a method for the production of highly pure compds. of general formula (I) and to the use thereof in the production of medicaments. Thus (R)-2-[3-(Diisopropylamino)-1-phenylpropyl]-4-(hydroxymethyl)phenol was reacted with isobutyric acid chloride to form fesoterodine. Fesoterodine was purified via the formation of its fumaric acid salt. 1.5 G of the highly pure fesoterodine was mixed with 8.5 g silicone adhesive Bio-PSA 7-4300 and applied to a foil in order to prepare a transdermal delivery system.

IT 286930-02-7P, Fesoterodine

RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-

phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

ΙT 777075-72-6P

> RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)

777075-72-6 CAPLUS RN

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1phenylpropyl]-4-(hydroxymethyl)phenyl ester, carbonate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 286930-02-7 CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).

CM

CRN 463-79-6 CMF С Н2 О3

10/533,683 11/18/2009 STN: SEARCH

но— С— ОН О

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(4 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:872676 CAPLUS

DOCUMENT NUMBER: 141:337790

TITLE: Transdermal administration of

(R)-3, 3-diphenylpropylamine monoesters

INVENTOR(S): Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael;

Drews, Roland

PATENT ASSIGNEE(S): Schwarz Pharma A.-G., Germany

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PA'	TENT	NO.			KIN	D :	DATE			APPL	ICAT	ION I	.OV		D	ATE		
WO	2004	0893	 46		A1		2004	1021	,	WO 2	004-	EP35	74		2	0040	403	
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		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ,	
		NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
								UA,	•						•			
	RW:	BW,	,	,		,			,		,				•		•	
								TM,		•			•	•	•	•	•	
		•	•	•				IE,			•							
		•	•	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	
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	1031				B4 20090604 DE 2003-10315878 A1 20041104									21	0030	408		
														2	0040	402		
							20041021 AU 2004-228927 20070517								۷	0040	403	
	2505		<i>∠ 1</i>							CA 2	004_	2505	780		2	0040	403	
	2505								'	CA Z	004	2303	700		۷.	0040	403	
	1530							0518		EP 2	004-	7256	1 4		2	0040	403	
	1530										001	, 200				0010	105	
		AT,							GB,	GR,	IT.	LI,	LU,	NL.	SE,	MC,	PT,	
								MK,										HR
BR	2004																	
JP	2006	5227	59		Τ		2006	50816 BR 2004-6212 51005 JP 2006-504992							2	0040	403	
NZ	5392	14			Α		2007	0223		NZ 2	004-	5392		2	0040	403		
									17 MX 2005-3561 09 US 2005-533683									
US	2006	0029	673		A1		2006	0209		US 2	005-	5336	83		2	0050	426	
	2006		34		Α		2006	0110									926	
ИО	2005	0046	44		Α		2005	1010		NO 2	005-	4644			2	0051	010	

10/533,683 11/18/2009 STN: SEARCH

US 20090274761 A 1 20091105 US 2009-417405 20090402 A 20030408 PRIORITY APPLN. INFO.: DE 2003-10315878 WO 2004-EP3574 W 20040403 US 2005-533683 A3 20050426

OTHER SOURCE(S): MARPAT 141:337790

GT

The invention relates to a device for transdermally administering a compound AB of formula (I), wherein A represents hydrogen or deuterium, R represents a group selected among C1-6 alkyl, C3-10 cycloalkyl, or Ph, each of which can be substituted by C1-3 alkoxy, fluoride, chlorine, bromine, iodine, nitro, amino, hydroxy, oxo, mercapto, or deuterium, the C atom marked by \* (asterisk) being provided in the R configuration. The invention is characterized in that the compound of general formula (I) is provided in a polymer matrix and is released at a dose of 0.5 to 20 mg per day through human skin. The invention further relates to the use of said compds. of formula (I) for producing transdermal medicaments. Thus a silicone-based transdermal system was prepared by the hot-melt process. 8.5 G of an adhesive mixture composed of BIO-PSA 7-4300 from Dow-Corning and 5 weight/weight% ozokerite or ceresin was heated to 150°C for 20 min until a homogeneous melt was formed. 1.5 G fesoterodine were added to the melt; the mixture was kept for addnl. 5 min at 150°C; followed by application onto a preheated foil. 5 Cm2 samples were used for dissoln. studies.

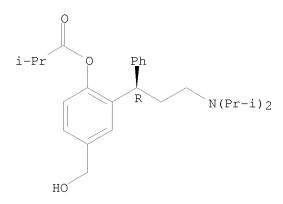
286930-02-7P, Fesoterodine ΙT

> RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (transdermal administration of (R)-3, 3-diphenylpropylamine monoesters)

RN 286930-02-7 CAPLUS

Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-CN phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

# => D L5 IBIB ABS HITSTR 1-2

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:671311 CAPLUS

DOCUMENT NUMBER: 151:15992

TITLE: The use of muscarinic receptor antagonists for the

treatment of skin disorders

INVENTOR(S): Roach, Alan Geoffrey; Blackburn, Nigel; Tinsley,

Jonathon Mark; Wilson, Fancis Xavier; Goldsmith, Paul

PATENT ASSIGNEE(S): Summit Corporation PLC, UK

SOURCE: PCT Int. Appl., 46pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PA]	CENT 1	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE	
	WO	2009	 0688	 76		A1	_	2009	0604		——— WO 2	008-	GB39	 53		2	 0081	 127
		W:	ΑE,	AG,	AL,	AM,	AO,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
			CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
			FΙ,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
			KG,	KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
			ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NΖ,	OM,	PG,	PH,
			PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	ΤJ,
			TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW		
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HR,	HU,
			ΙE,	IS,	ΙΤ,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
			TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	$\mathrm{ML}$ ,	MR,	NE,	SN,	TD,
			ΤG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
			ΑM,	AΖ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM							
PRIC	RITY	APP:	LN.	INFO	.:					1	GB 2	007-	2358	7	Ž	A 2	0071	130
										1	GB 2	007-	2358	8	Ž	A 2	0071	130
										1	GB 2	007-	2358	9	i	A 2	0071	130
AB	Mus	scari	nic	rece	otor	ant	agon	ists	for	use	as .	anti.	bact	eria.	l age	ents	are	

AB Muscarinic receptor antagonists for use as antibacterial agents are described, and in particular the use of certain muscarinic receptor

antagonists that have dual antibacterial and anti-sebum secretion activity in the treatment of various skin disorders, including acne.

Also described is the use of muscarinic receptor antagonists as anti-sebum agents and in cosmetic compns. for use in reducing facial shine and to cosmetic methods based thereon. Antibacterial and anti-sebum activity of oxybutynin chloride was shown in male volunteers.

IT 286930-02-7, Fesoterodine

RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(use of muscarinic receptor antagonists for treatment of skin disorders)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:872676 CAPLUS

DOCUMENT NUMBER: 141:337790

TITLE: Transdermal administration of

(R)-3, 3-diphenylpropylamine monoesters

INVENTOR(S): Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael;

Drews, Roland

PATENT ASSIGNEE(S): Schwarz Pharma A.-G., Germany

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PAT	ENT	NO.			KIN	D	DATE			APPL	ICAT	ION 1	NO.		D	ATE	
WO	2004	0893	 46		 A1	_	2004	1021	,	 WO 2	 004-:	 EP35	 74		2	0040	403
	W:	ΑE,	AG,				AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ,

NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, TD, TG	VN, YU, Z UG, ZM, Z CY, CZ, D PL, PT, R	ZA, ZM, ZW ZW, AM, AZ, DE, DK, EE, RO, SE, SI,
DE 10315878 B4 20090604 DE 2003-10315	878	20030408
DE 10315878 A1 20041104		
AU 2004228927 A1 20041021 AU 2004-22892	27	20040403
AU 2004228927 B2 20070517		
CA 2505780 A1 20041021 CA 2004-2505	80	20040403
CA 2505780 C 20081216		
EP 1530461 A1 20050518 EP 2004-72561	. 4	20040403
EP 1530461 B1 20071003		~
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI,		
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, BR 2004006212 A 20050816 BR 2004-6212		
JP 2006522759 T 20061005 JP 2006-50499		
NZ 539214 A 20070223 NZ 2004-53921		
MX 2005003561 A 20050617 MX 2005-3561	_	
US 20060029673 A1 20060209 US 2005-53368		20050426
KR 2006003334 A 20060110 KR 2005-71800	=	
NO 2005004644 A 20051010 NO 2005-4644		20051010
US 20090274761 A1 20091105 US 2009-41740	15	20090402
PRIORITY APPLN. INFO.: DE 2003-10315	878 A	20030408
WO 2004-EP35	'4 W	20040403
US 2005-53368	33 A3	3 20050426

OTHER SOURCE(S): MARPAT 141:337790 GI

AB The invention relates to a device for transdermally administering a compound of formula (I), wherein A represents hydrogen or deuterium, R represents a group selected among C1-6 alkyl, C3-10 cycloalkyl, or Ph, each of which can be substituted by C1-3 alkoxy, fluoride, chlorine, bromine, iodine, nitro, amino, hydroxy, oxo, mercapto, or deuterium, the C atom marked by \* (asterisk) being provided in the R configuration. The invention is characterized in that the compound of general formula (I) is provided in a polymer matrix and is released at a dose of 0.5 to 20 mg per day through human skin. The invention further relates to the use of said

10/533,683 11/18/2009

compds. of formula (I) for producing transdermal medicaments. Thus a silicone-based transdermal system was prepared by the hot-melt process. 8.5 G of an adhesive mixture composed of BIO-PSA 7-4300 from Dow-Corning and 5 weight/weight% ozokerite or ceresin was heated to  $150^{\circ}\text{C}$  for 20 min until a homogeneous melt was formed. 1.5 G fesoterodine were added to the melt; the mixture was kept for addnl. 5 min at  $150^{\circ}\text{C}$ ; followed by application onto a preheated foil. 5 Cm2 samples were used for dissoln. studies.

STN: SEARCH

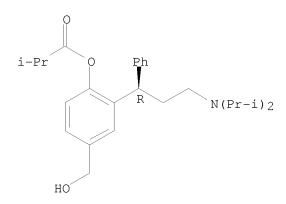
IT 286930-02-7P, Fesoterodine

RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (transdermal administration of (R)-3,3-diphenylpropylamine monoesters)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

## => D L6 IBIB ABS HITSTR 1

L6 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:872676 CAPLUS

DOCUMENT NUMBER: 141:337790

TITLE: Transdermal administration of

(R)-3, 3-diphenylpropylamine monoesters

INVENTOR(S): Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael;

Drews, Roland

PATENT ASSIGNEE(S): Schwarz Pharma A.-G., Germany

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO	200408	39346		A1		2004	1021		WO 2	004-	EP35	74		2	0040	403	
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	(	SE, G	H, GM	, HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	
	I	JK, L	R, LS	, LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ,	
	N	10, N	Z, OM	, PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
	Ι	J, T	M, TN	, TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
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	E	ES, F	I, FF	, GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	
	S	SK, T	R, BF	, BJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	
	Γ	D, T	G														
DE	103158	378		B4		2009	0604		DE 2	003-	1031	5878		2	0030	408	
DE	103158	378		A1		2004	1104										
AU	200422	28927		A1		2004	1021		AU 2	004-	2289	27		2	0040	403	
AU	200422	28927		В2		2007	0517										
CA	250578	30		A1		2004	1021		CA 2	004-	2505	780		2	0040	403	
CA	250578	30		С		2008	1216										
EP	153046	51		A1		2005	0518		EP 2	004 -	7256	14		2	0040	403	
EP	153046	51		В1		2007	1003										
	R: <i>P</i>	AT, B	E, CH	, DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	
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	200400								BR 2	004 -	6212			2	0040	403	
JP	200652	22759		T						006-					0040		
	539214	l		A			0223								0040	403	
	200500	3561		А		2005	0617		MX 2	005-	3561			2	0050	401	
US	200600	2967	3	A1		2006	0209		US 2	005-	5336	83		2	0050	426	
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	200500						1010		NO 2	005-	4644			2	0051	010	
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PRIORIT	Y APPLN	1. IN	FO.:							003-				A 2			
										004 - 1					0040		
									US 2	005-	5336	83		A3 2	0050	426	
OTHED CO	ALIDOR (C			MAD	דעכ	1/11.	2277	വെ									

OTHER SOURCE(S): MARPAT 141:337790 GI

AB The invention relates to a device for transdermally administering a compound of formula (I), wherein A represents hydrogen or deuterium, R represents a group selected among C1-6 alkyl, C3-10 cycloalkyl, or Ph, each of which can be substituted by C1-3 alkoxy,

fluoride, chlorine, bromine, iodine, nitro, amino, hydroxy, oxo, mercapto, or deuterium, the C atom marked by \* (asterisk) being provided in the R configuration. The invention is characterized in that the compound of general formula (I) is provided in a polymer matrix and is released at a dose of 0.5 to 20 mg per day through human skin. The invention further relates to the use of said compds. of formula (I) for producing transdermal medicaments. Thus a silicone-based transdermal system was prepared by the hot-melt process. 8.5 G of an adhesive mixture composed of BIO-PSA 7-4300 from Dow-Corning and 5 weight/weight% ozokerite or ceresin was heated to 150°C for 20 min until a homogeneous melt was formed.

1.5 G fesoterodine were added to the melt; the mixture was kept for addnl. 5 min at 150°C; followed by application onto a preheated foil. 5 Cm2 samples were used for dissoln. studies.

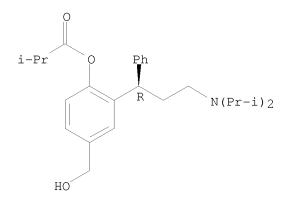
IT 286930-02-7P, Fesoterodine

RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (transdermal administration of (R)-3,3-diphenylpropylamine monoesters)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> D L7 IBIB ABS HITSTR 1-25

L7 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:1235711 CAPLUS

DOCUMENT NUMBER: 151:433892

TITLE: Novel mandelate salt of fesoterodine

INVENTOR(S): Charugundla, Kishore; Kumar, Udhaya; Neela, Praveen Kumar; Pradhan, Nitin Sharadchandra; Valgeirsson, Jon

PATENT ASSIGNEE(S): Actavis Group Ptc Ehf, Iceland

SOURCE: PCT Int. Appl., 31pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

#### STN: SEARCH

### PATENT INFORMATION:

	PATENT NO.					KIND		DATE		APPLICATION NO.						DATE			
	WO	WO 2009122303			A2	A2		20091008		WO 2009-IB5679					20090				
		W:	ΑE,	AG,	AL,	AM,	ΑO,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	
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			FΙ,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	
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			ΜE,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	
			PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	ΤJ,	
			TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW			
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,	
			ΙE,	IS,	ΙT,	LT,	LU,	LV,	MC,	MK,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	
			SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	
			TD,	ΤG,	BW,	GH,	GM,	ΚE,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	
			ZW,	AM,	ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM							
	IN 2008CH00862				Α	A 20091009 IN 2008-CH862							20080404						
PRIO	PRIORITY APPLN. INFO.:						IN 2008-CH862								A 20080404				
OTHE	OTHER SOURCE(S):					CASREACT 151:433892													

- Provided herein is a novel mandelate salt of fesoterodine, process for the preparation, pharmaceutical compns., and method of treating thereof. Provided also herein are solid state forms of fesoterodine mandelate, process for the preparation, pharmaceutical compns., and method of treating thereof. mandelate salt of fesoterodine is useful for preparing fesoterodine free base or a pharmaceutically acceptable salt thereof, particularly fesoterodine fumarate, in high purity.
- ΙT 286930-02-7P, Fesoterodine RL: PEP (Physical, engineering or chemical process); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses)

(mandelate salt of fesoterodine for pharmaceutical compns.)

RN 286930-02-7 CAPLUS

Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-CN phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

ΙT 286930-03-8, Fesoterodine fumarate RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(mandelate salt of fesoterodine for pharmaceutical compns.)
RN 286930-03-8 CAPLUS
Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1)
(CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

IT 1189518-24-8P

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(mandelate salt of fesoterodine for pharmaceutical compns.)

RN 1189518-24-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 286930-02-7 CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).

10/533,683 11/18/2009

STN: SEARCH

CM 2

CRN 90-64-2 CMF C8 H8 O3

INVENTOR(S):

ANSWER 2 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN L7

2009:1207949 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 151:425350

TITLE: Preparation of deuterated oxybutynins as muscarinic

acetylcholine receptor modulators. Gant, Thomas G.; Sarshar, Sepehr

PATENT ASSIGNEE(S): Auspex Pharmaceuticals, Inc., USA U.S. Pat. Appl. Publ., 96pp. SOURCE:

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20090247628	A1	20091001	US 2009-409420	20090323
PRIORITY APPLN. INFO.:			US 2008-39166P P	20080325
OTHER SOURCE(S):	MARPAT	151:425350		

OTHER SOURCE(S): MARPAT 151:425350

GΙ

AB Title compds. (I; R1-R31 = H, D;  $\geq$ 1 of R1-R31 = D), were prepared for treatment of incontinence, overactive bladder, etc. (no data). A procedure for preparation of I (R1-R30 = D; R31 = H) from C6D5CH(OH)CO2H, d16-cyclohexyl bromide, C1D2CCC1DCD2C1, and d11-diethylamine was given.

Ι

IT 286930-02-7, Fesoterodine
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (coadministration; preparation of deuterated oxybutynins as muscarinic acetylcholine receptor modulators)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L7 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:671311 CAPLUS

DOCUMENT NUMBER: 151:15992

TITLE: The use of muscarinic receptor antagonists for the

treatment of skin disorders

INVENTOR(S): Roach, Alan Geoffrey; Blackburn, Nigel; Tinsley,

Jonathon Mark; Wilson, Fancis Xavier; Goldsmith, Paul

PATENT ASSIGNEE(S): Summit Corporation PLC, UK

10/533,683 11/18/2009 STN: SEARCH

SOURCE: PCT Int. Appl., 46pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.					KIND DATE				APPLICATION NO.						DATE				
	WO 2009068876			A1 2009060			0604	WO 2008-GB3953						20081127						
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			CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,		
			FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,		
			KG,	KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,		
			$ ext{ME}$ ,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NΖ,	OM,	PG,	PH,		
			PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	ТJ,		
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			ΙE,	IS,	ΙT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,		
			TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,		
			ΤG,	BW,	GH,	GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,		
			ΑM,	AΖ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM									
PRIOR	RITY	APP:	LN.	INFO	.:					(	GB 2	007-	2358	7	Ž	A 2	0071	130		
										(	GB 2	007-	2358	8	Ž	A 2	0071	130		
										(	GB 2	007	2358	9	7	A 2	0071	130		

- AΒ Muscarinic receptor antagonists for use as antibacterial agents are described, and in particular the use of certain muscarinic receptor antagonists that have dual antibacterial and anti-sebum secretion activity in the treatment of various skin disorders, including acne. Also described is the use of muscarinic receptor antagonists as anti-sebum agents and in cosmetic compns. for use in reducing facial shine and to cosmetic methods based thereon. Antibacterial and anti-sebum activity of oxybutynin chloride was shown in male volunteers.
- ΤT 286930-02-7, Fesoterodine
  - RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
    - (use of muscarinic receptor antagonists for treatment of skin disorders)
- 286930-02-7 CAPLUS
- CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:670446 CAPLUS

DOCUMENT NUMBER: 150:572448

TITLE: Transdermal delivery system for fesoterodine

INVENTOR(S): Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael

PATENT ASSIGNEE(S): Schwarz Pharma A.-G., Germany

SOURCE: Ger., 26pp.
CODEN: GWXXAW

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT NO.				KIND DATE				APPLICATION NO.					DATE				
DE 10315878				B4 20090604				DE 2003-10315878					20030408				
DE 103	15878			A1		2004	1104										
AU 200	42289	27		A1 20041021				AU 2	004-	2289	27		2	20040403			
AU 200	42289	27		В2		2007	0517										
CA 250	5780			A1		2004	1021		CA 2	004-	2505	780		2	0040	403	
CA 250	5780			С		2008	1216										
WO 200	40893	46		A1		2004	1021		WO 2	004 -	EP35	74		20040403			
W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AΖ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
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	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	KΖ,	LC,	
	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	ΝA,	ΝI,	
	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
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RW	: BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	
	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	
	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	ΙT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	
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	TD,	ΤG															
EP 1530461			A1		2005	0518		EP 2004-725614					20040403				
EP 153	0461			В1		2007	1003										
R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	
			LT,														HR
				А		2005	0816		BR 2004-6212				20040403				

1767820 100441179	A C	20060503 20081210	CN	2004-80009176		20040403
2006522759	Τ	20061005	JP	2006-504992		20040403
539214	A	20070223	NZ	2004-539214		20040403
374605	T	20071015	ΑT	2004-725614		20040403
2295848	Т3	20080416	ES	2004-725614		20040403
2005003561	A	20050617	MX	2005-3561		20050401
2005002681	A	20051013	ZA	2005-2681		20050401
20060029673	A1	20060209	US	2005-533683		20050426
2006003334	A	20060110	KR	2005-718006		20050926
2005004644	A	20051010	ИО	2005-4644		20051010
20090274761	A1	20091105	US	2009-417405		20090402
APPLN. INFO.:			DE	2003-10315878	Α	20030408
			WO	2004-EP3574	W	20040403
			US	2005-533683	A3	20050426
	100441179 2006522759 539214 374605 2295848 2005003561 2005002681 20060029673 2006003334 2005004644 20090274761	100441179 C 2006522759 T 539214 A 374605 T 2295848 T3 2005003561 A 2005002681 A 20060029673 A1 2006003334 A 2005004644 A 20090274761 A1	100441179       C       20081210         2006522759       T       20061005         539214       A       20070223         374605       T       20071015         2295848       T3       20080416         2005003561       A       20050617         2005002681       A       20051013         20060029673       A1       20060209         2005004644       A       20051010         20090274761       A1       20091105	100441179 C 20081210 2006522759 T 20061005 JP 539214 A 20070223 NZ 374605 T 20071015 AT 2295848 T3 20080416 ES 2005003561 A 20050617 MX 2005002681 A 20051013 ZA 20060029673 A1 20060209 US 2006003334 A 20060110 KR 2005004644 A 20051010 NO 20090274761 A1 20091105 US TAPPLN. INFO.:	100441179	100441179

AB The invention concerns a transdermal drug delivery system for (R)-2 [3-(1,1-diisopropylamino)-1-phenylpropyl] -4-(hydroxymethyl)phenyl isobutyrate (Fesoterodin) in form of a plaster that includes (a) a fesoterodine-containing adhesive matrix; (b) a protective layer that is removed upon application; (c) the adhesive matrix is a polymer matrix with 50-95 weight% adhesive selected from the group of acrylate-vinylacrylate copolymers, EVA (ethylene vinylacetate)-based adhesive, silicone, styrene block copolymers, adhesive rubbers polyisobutylene, polybutadiene, neoprene and polyisoprene. 8.5 G of an adhesive mixture composed of BIO-PSA 7-4300 from Dow-Corning and 5 weight/weight% ozokerite was heated to 150°C for 20 min until a homogeneous melt was formed. 1.5 G fesoterodine were added to the melt; the mixture was kept for addnl. 5 min at 150°C; followed by application onto a preheated foil. 5 Cm2 samples were used for dissoln. studies.

IT 286930-02-7P, Fesoterodine

RL: PEP (Physical, engineering or chemical process); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses)

(transdermal delivery system for fesoterodine)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

IT 286930-03-8P, Fesoterodine fumarate

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(transdermal delivery system for fesoterodine)

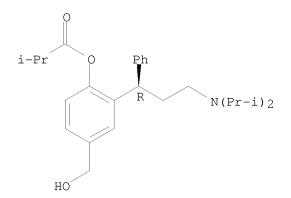
RN 286930-03-8 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7 CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

E CO2H

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:425777 CAPLUS

DOCUMENT NUMBER: 150:406607

TITLE: Amorphous fesoterodine fumarate preparation and use in

treating urinary incontinence

INVENTOR(S): Charugundla, Kishore; Chandramohan, Udhaya Kumar;

Neela, Praveen Kumar; Pradhan, Nitin Sharadchandra;

Valgeirsson, Jon

PATENT ASSIGNEE(S): Actavis Group PTC ehf, Iceland

SOURCE: PCT Int. Appl., 26pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

10/533,683 11/18/2009 STN: SEARCH

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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KIND DATE
                                      APPLICATION NO. DATE
    PATENT NO.
    WO 2009044278 A1 2000011
                                          _____
                       A1 20090409 WO 2008-IB3105 20081001
        W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,
            CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES,
            FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,
            KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,
            ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,
            PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ,
            TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
        RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
            IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,
            TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
            TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
            AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.:
                                           IN 2007-CH2206 A 20071001
    The present invention provides a novel amorphous form of fesoterodine
    fumarate, process for preparation, pharmaceutical compns., and method of
    treating thereof. Fesoterodine fumarate (2.0 g) was dissolved in a mixture
    of dichloromethane (35 mL) and methanol (15 mL) at 25-30^{\circ} to obtain
    a clear solution The solvents were removed completely under vacuum at
    40\,^{\circ} and then dried for 12 h to give 1.8 g of fesoterodine fumarate
    in amorphous form (HPLC purity - 99.8%).
    286930-03-8P, Fesoterodine fumarate
ΤT
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (amorphous fesoterodine fumarate preparation and use in treating urinary
       incontinence)
RN
    286930-03-8 CAPLUS
    Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-
CN
    phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1)
    (CA INDEX NAME)
    CM
         1
    CRN 286930-02-7
```

Absolute stereochemistry. Rotation (+).

CMF C26 H37 N O3

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:198480 CAPLUS

DOCUMENT NUMBER: 150:245316

TITLE: Drug combinations for the treatment of

clozapine-induced sialorrhea

INVENTOR(S): Goldsmith, Paul; Roach, Alan Geoffrey

PATENT ASSIGNEE(S): Summit Corporation PLC, UK

SOURCE: PCT Int. Appl., 24pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2009022096	A1 20090219	WO 2008-GB2650	20080804
W: AE, AG, AL,	AM, AO, AT, AU,	AZ, BA, BB, BG, BH, BE	R, BW, BY, BZ,
CA, CH, CN,	CO, CR, CU, CZ,	DE, DK, DM, DO, DZ, EG	C, EE, EG, ES,
FI, GB, GD,	GE, GH, GM, GT,	HN, HR, HU, ID, IL, IN	I, IS, JP, KE,
KG, KM, KN,	KP, KR, KZ, LA,	LC, LK, LR, LS, LT, LU	J, LY, MA, MD,
ME, MG, MK,	MN, MW, MX, MY,	MZ, NA, NG, NI, NO, NZ	G, OM, PG, PH,
PL, PT, RO,	RS, RU, SC, SD,	SE, SG, SK, SL, SM, ST	C, SV, SY, TJ,
TM, TN, TR,	TT, TZ, UA, UG,	US, UZ, VC, VN, ZA, ZN	1, ZW
RW: AT, BE, BG,	CH, CY, CZ, DE,	DK, EE, ES, FI, FR, GE	3, GR, HR, HU,
IE, IS, IT,	LT, LU, LV, MC,	MT, NL, NO, PL, PT, RO	), SE, SI, SK,

TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: GB 2007-15790 A 20070813

A combination comprises an  $\alpha 2$ -adrenoceptor agonist and an anti-muscarinic agent for the treatment or prevention of sialorrhoea, for example clozapine-induced sialorrhoea, in a patient subgroup selected from: (I) those suffering from, or at risk of suffering from: (a) a pathol. confused mental state; (b) hallucinations; (c) dementia, for example Lewy body dementia; (d) cognitive disturbances; (e) bladder outflow obstruction; (f) prostatism, for example benign prostatic hypertrophy or prostate cancer; (g) glaucoma; (h) hypotension; (i) somnolence; (j) ocular hypertension and (k) needle phobia; or (II) (a) individuals with cortical Lewy bodies; (b) males with an enlarged prostate; (c) individuals with a tendency to presyncope or syncope; (d) individuals with a score  $\geq$  1 on questions 1.1 and I.2 on the UPDRS or <88/100 on the Cambridge ACE (Addenbrooke's cognitive assessment); (e) individuals with a score  $\geq$  1 on American Urol. Association symptom index; (f) individuals with an intraocular pressure of >20 mmHg or taking medication to lower previously raised intraocular pressure; (q) individuals with needle phobia; (h) individuals with a score 1 on Q42 on section C of the UPDRS (unified Parkinson's disease rating scale); (i) individuals with a score 1 on Q41 on section C of the UPDRS; (j) individuals with an ESS (Epworth sleepiness score) of >10; and (k) individuals with a leaky blood brain barrier. Thus, a reduction in saliva production following administration of oxybutynin and clonidine was observed in healthy male volunteers.

IT 286930-02-7, Fesoterodine

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

( $\alpha 2$ -adrenoceptor agonist combinations with antimuscarinic agent for treatment of clozapine-induced sialorrhea)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

10/533,683 11/18/2009 STN: SEARCH

2009:46157 CAPLUS ACCESSION NUMBER:

151:417 DOCUMENT NUMBER:

Pharmacokinetic profile of fesoterodine TITLE:

Malhotra, B.; Guan, Z.; Wood, N.; Gandelman, K. AUTHOR(S):

CORPORATE SOURCE: Pfizer Inc, New York, NY, USA

International Journal of Clinical Pharmacology and SOURCE:

Therapeutics (2008), 46(11), 556-563

CODEN: ICTHEK; ISSN: 0946-1965 Dustri-Verlag Dr. Karl Feistle

DOCUMENT TYPE: Journal LANGUAGE: English

PUBLISHER:

Fesoterodine is a new antimuscarinic agent for the treatment of overactive bladder. Following oral administration, fesoterodine is rapidly and extensively hydrolyzed by nonspecific esterases to its active moiety: 5-hydroxymethyl tolterodine (5-HMT). The cytochrome P 450 (CYP) enzymes are not involved in the formation of 5-HMT; however, CYP2D6 and CYP3A4 provide 2 alternative pathways for further metabolism and inactivation of 5-HMT. Single oral doses of 4 mg, 8 mg, or 12 mg of fesoterodine sustained-release tablets in the fasted state and 8 mg in a fed state. This single-center, open-label, randomized, crossover study investigated the effects of fesoterodine in healthy volunteers comprised of CYP2D6 extensive metabolizers (EMs; n = 16) and CYP2D6 poor metabolizers (PMs; n = 16) = 8) after either an overnight fast or a high-fat and high-calorie breakfast. Adverse events, vital signs, ECG recordings and laboratory tests were monitored for safety assessment. For the principal active moiety, 5-HMT, the maximum plasma concentration (Cmax), area under the concentration-time curve

from time zero to time of last measurable concentration (AUC0-t) and amount excreted in urine (Ae) increased proportionally with dose in both EM and PM subjects. The mean Cmax and AUCO-t in PMs were approx. twice those observed in EMs. CYP2D6 status had no effect on time to reach Cmax (5 h), renal clearance (.apprx.250 mL/min), or half-life (.apprx.8 h). Fesoterodine was well tolerated at all doses. While the incidence of dry mouth increased from 8-12 mg, all occurrences were mild-to-moderate. Fesoterodine demonstrated a pharmacokinetic (PK) profile that was favorable for once-daily dosing. The systemic exposure to 5-HMT increased proportionally with dose and was about 2-fold higher in PMs compared with There was no clin. relevant effect of food on the PK of fesoterodine. Fesoterodine was well tolerated at all dose levels studied.

ΙT 286930-02-7, Fesoterodine

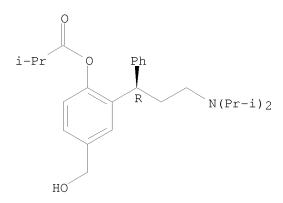
> RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmacokinetics profile of fesoterodine)

286930-02-7 CAPLUS RN

Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-CN phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD

(4 CITINGS)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1210834 CAPLUS

DOCUMENT NUMBER: 149:417766

TITLE: Combination therapy for the treatment-of lower urinary

tract symptoms

INVENTOR(S): Frenkl, Tara; Green, Stuart A.; Macintyre, Euan;

Mills, Sander G.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 35pp.

JRCE: PCT Int. Appl., 35pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	TENT :	NO.			KIN	D	DATE			APPL	ICAT:	ION I	. O <i>V</i>			ATE	
WO	2008	1212	 68		A1	_		1009	1	wo 2	 008-1	 JS38	 73			0080	
	W:	ΑE,	AG,	AL,	AM,	AO,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
		FΙ,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
		ΚM,	KN,	ΚP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	
		MK,	MN,	MW,	MX,	MY,	MZ,	ΝA,	NG,	NI,	NO,	NΖ,	OM,	PG,	PH,		
	· · · · · ·					RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,
	PL, PT, RO TN, TR, T				TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW			
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
		ΙE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
		ΤG,	BW,	GH,	GM,	KΕ,	LS,	MW,	MZ,	NΑ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
	TG, BW, G AM, AZ, B					KΖ,	MD,	RU,	ΤJ,	TM							
AU	AU 2008233232						2008	1009	1	AU 2	008-	2332:	32		2	0080	325
PRIORIT	Y APP	LN.	INFO	.:					1	US 2	007-	9207.	55P	]	2	0070	329
									1	WO 2	008-1	JS38	73	Ī	W 2	0080	325

AB This invention concerns compns. for the treatment of Lower Urinary Tract Symptoms (LUTS), and especially LUTS which results from benign prostatic hypertrophy. The compns. of the invention comprise a Beta-3 agonist

STN: SEARCH

described below, optionally in combination with a 5-alpha reductase inhibitor, or an NK-1 antagonist or an alpha-1 adrenergic antagonist or an anti-muscarinic agent. The invention also includes compns. comprising a beta-3 agonist and two addnl. active agents selected from a 5-alpha reductase inhibitor, an NK-1 antagonist, an alpha-1 adrenergic antagonist or an anti-muscarinic agent.

IT 286930-02-7, Fesoterodine

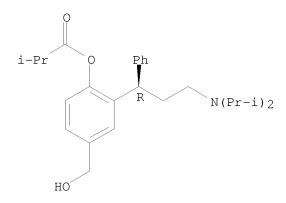
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combination therapy for treatment-of lower urinary tract symptoms)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1161316 CAPLUS

DOCUMENT NUMBER: 150:298553

TITLE: The effects of antimuscarinic treatments in overactive

bladder: an update of a systematic review and

meta-analysis

AUTHOR(S): Chapple, Christopher R.; Khullar, Vik; Gabriel,

Zahava; Muston, Dominic; Bitoun, Caty Ebel; Weinstein,

David

CORPORATE SOURCE: Royal Hallamshire Hospital, Urology Research,

Sheffield Teaching Hospital NHS Trust, Sheffield, UK

SOURCE: European Urology (2008), 54(3), 543-562

CODEN: EUURAV; ISSN: 0302-2838

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

AB Context: Antimuscarinic agents are currently the first-line pharmacotherapy for overactive bladder. Objectives: A systematic review published in 2005 was updated, including data on a newly licensed antimuscarinic (fesoterodine). The primary aim of this study was to systematically review evidence on the efficacy of licensed administration of antimuscarinic treatments in overactive bladder from randomised controlled trials. Secondary aims were to review evidence on tolerability

and safety and health-related quality of life (HRQL). Evidence acquisition: All relevant data sources from randomised controlled trials were searched, and two independent reviewers considered publications for inclusion and extracted relevant data. Meta-anal. was used to pool efficacy, tolerability, safety, and HRQL outcomes by treatment. Efficacy was measured by continent days, mean voided volume, urgency episodes, and micturition frequency. Tolerability and safety were measured by means of adverse event and withdrawal rates. HRQL was measured by various instruments. Evidence synthesis: An addnl. 1118 refs. were retrieved with data on 83 studies extracted Antimuscarinics were found to be more effective than placebo. Tolerability was good; few of the antimuscarinics were found to have significantly higher withdrawal rates in comparison to placebo. No serious adverse event for any product was statistically significant compared to placebo. Dry mouth (mild, moderate, severe) was the most commonly reported adverse event (29.6% on treatment vs 7.9% on placebo), followed by pruritus (15.4% on treatment vs 5.2% on placebo). Improvements were seen in HRQL with treatment by darifenacin, fesoterodine, oxybutynin transdermal delivery system, propiverine extended release (ER), solifenacin, tolterodine ER and immediate release, and trospium. Limitations of the study include restrictions on the types of patients typically included in overactive bladder trials and topics that have not been adequately addressed in the current antimuscarinic literature. Conclusions: Antimuscarinics are efficacious, safe, and well-tolerated treatments that improve HRQL. Profiles of each drug and dosage differ and should be considered in making treatment choices.

IT 286930-02-7, Fesoterodine

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (fesoterodine showed efficacy, safety and well tolerated treatment in patient with overactive bladder)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 10 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN T.7

2008:709029 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 149:38852

TITLE: Pharmaceutical compositions comprising fesoterodine INVENTOR(S): Arth, Christoph; Komenda, Michael; Bicane, Fatima; Paulus, Kerstin; Irngartinger, Meike; Lindner, Hans

PATENT ASSIGNEE(S): Germany

SOURCE: U.S. Pat. Appl. Publ., 39pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
					_	
	US 20080138421	A1	20080612	US 2007-811327		20070607
	US 20090117159	A1	20090507	US 2008-342744		20081223
PRIOF	RITY APPLN. INFO.:			US 2006-812149P	Р	20060609
				US 2007-811327	АЗ	20070607

The present application relates to a pharmaceutical granulate comprising AB fesoterodine or a pharmaceutically acceptable salt or solvate thereof and a pharmaceutically acceptable stabilizer, which can be selected from the group consisting of sorbitol, xylitol, polydextrose, isomalt, dextrose, and combinations thereof, and is preferably a sugar alc. selected from the group consisting of xylitol and sorbitol. The granulate is suitable for incorporation into pharmaceutical compns. comprising a gel matrix formed by at least one type of hydroxypropyl Me cellulose into which the fesoterodine is embedded and, optionally, further excipients. In certain embodiments, the granulate is formed by a process of wet granulation.

286930-02-7, Fesoterodine 286930-03-8, Fesoterodine ΤТ

fumarate

RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (pharmaceutical granulates comprising fesoterodine)

RN 286930-02-7 CAPLUS

Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-CN phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 286930-03-8 CAPLUS 10/533,683 11/18/2009

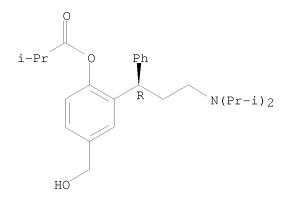
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

STN: SEARCH

CM 1

CRN 286930-02-7 CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

$$_{\mathrm{HO_2C}}$$
  $^{\mathrm{E}}$   $_{\mathrm{CO_2H}}$ 

L7 ANSWER 11 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:607700 CAPLUS

DOCUMENT NUMBER: 148:568964

TITLE: Composition comprising  $\alpha 2$ -adrenoceptor agonist

for treatment of excess sebum production

INVENTOR(S): Roach, Alan George; Goldsmith, Paul

PATENT ASSIGNEE(S): Daniolabs Ltd., UK SOURCE: PCT Int. Appl., 13pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

----WO 2008059190 A1 20080522 WO 2007-GB2101 20070607
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA,

CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

GB 2006-11241

This invention relates to an  $\alpha 2$ -adrenoceptor agonist useful for the treatment or prevention of a condition associated with excess sebum production and/or excretion.

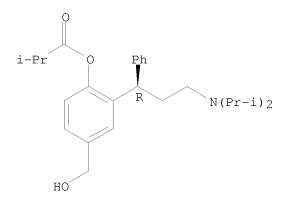
286930-02-7, Fesoterodine ΤТ

> RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (composition comprising  $\alpha 2$ -adrenoceptor agonist for treatment of excess sebum production)

RN 286930-02-7 CAPLUS

Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-CN phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 12 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:70709 CAPLUS

148:152045 DOCUMENT NUMBER:

TITLE: Pharmaceutical preparation for oral administration

with controlled active ingredient release in the small

intestine and methods for its production

Jung, Gerd; Schaupp, Albert INVENTOR(S):

Dr. R. Pfleger Chemische Fabrik GmbH, Germany PATENT ASSIGNEE(S):

PCT Int. Appl., 41 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

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APPLICATION NO.
                                                                       DATE
     PATENT NO.
                         KIND DATE
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              CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,
              GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,
              KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
              MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
              PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
              TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
              IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
              BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
              GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
              BY, KG, KZ, MD, RU, TJ, TM
     EP 1880718
                          A1 20080123
                                              EP 2006-14244
                                                                       20060710
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              BA, HR, MK, YU
    BA, HR, MK, IO

CA 2655838

A1 20080117 CA 2007-2655838

MX 2009000379

A 20090414 MX 2009-379

IN 2009MN00093

A 20090626 IN 2009-MN93

CN 101495103

A 20090729

KR 2009029830

A 20090323

KR 2009-702668

20090210

EP 2006-14244

A 20060710

WO 2007-EP5970

W 20070705
PRIORITY APPLN. INFO.:
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A pharmaceutical preparation for oral administration with controlled active AB ingredient release in the small intestine, on the basis of active ingredient carriers provided with at least one active ingredient which are provided with an inner layer for controlling the active ingredient release and a covering layer, arranged thereon, that is resistant to gastric juices, and is characterized in that the inner layer is constructed from at least two diffusion layers whose permeability for the diffusing active ingredient decreases from the inside to the outside, and a method for its production are described. Thus (1R, 3R, 5S) -3-[(Hydroxydiphenylacetyl)oxy]spiro[8-azoniabicyclo[3.2.1]octane-8,1'pyrrolidinium] chloride-containing pharmaceutical formulations were prepared Pellets contained mg/dose: drug 45.000; neutral pellets 100.000; hypromellose 4.500; Macrogol 6000 0.450; total 154.450. The first diffusion layer was applied onto the above pellets, mg/dose: drug pellet 154.450; Kollicoat SR 30D 9.000; Kollicoat IR 1.800; propyleneglycol 0.900; talc 0.360; total 166.510. The second diffusion layer was applied onto the above coated pellets, mg/dose: drug pellet 166.510; Kollicoat SR 30D 9.000; Kollicoat IR 1.800; propyleneglycol 0.900; talc 0.360; total 177.175. The gastric juice resistant layer was applied onto the above coated pellets, mg/dose: drug pellet (containing 45 mg drug) 177.175, Kollicoat MAE30DP 28.000; talc 12.600; propylene glycol 4.200; Tylopur C30G1 0.720; total 222.695.

286930-02-7 ΙT

RN

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical preparation for oral administration with controlled active ingredient release in small intestine and methods for its production) 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

STN: SEARCH 10/533,683 11/18/2009

Absolute stereochemistry. Rotation (+).

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 13 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

2007:1454781 CAPLUS ACCESSION NUMBER:

148:78876 DOCUMENT NUMBER:

TITLE: Cyclopentylpyrrolidinone derivatives and their

preparation and use in combination therapy for the treatment of urinary frequency, urinary urgency and

urinary incontinence

INVENTOR(S): Gottesdiener, Keith M.; Green, Stuart A.; Macintyre,

Euan

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE:

PCT Int. Appl., 86pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

GΙ

	TENT				KIN	D	DATE			APPL	ICAT	ION I	NO.			ATE	
	2007				A2	_	2007			WO 2	 007-1	JS13	 683			0070	
WO	2007	1462.	24		АЗ		2008	0214									
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,
		CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	FI,
		GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,
	KM, KN, K				KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,
	KM, KN, K MG, MK, M				MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,
	·				RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	TJ,	TM,	TN,
		TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW				
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,
		IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GO,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,
	BJ, CF, CO GH, GM, KI				LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,
	GH, GM, KE BY, KG, KZ				MD,	RU,	IJ,	TM,	AP,	EA,	EP,	OA	•	·	•	·	•
RIORIT	BY, KG, KZ RITY APPLN. INFO.:				·	·	·	·	·	US 2	006-	8127	43P		P 2	0060	612
THER SO	OURCE	(S):			CASI	REAC	T 14	8 <b>:</b> 78	876								

This invention concerns compns. for the treatment of urinary frequency, urinary urgency and urinary incontinence comprising a selected antagonist of the NK-1 receptor or a pharmaceutically acceptable salt thereof and an anti-muscarinic agent or a pharmaceutically acceptable salt thereof. This invention concerns combination therapy for urinary frequency, urinary urgency and urinary incontinence wherein one of the active agents is a selected antagonist of the NK-1 receptor or a pharmaceutically acceptable salt thereof and another is an anti-muscarinic agent or a pharmaceutically acceptable salt thereof. Example compound I was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their NK-1 receptor antagonistic activity.

286930-02-7, Fesoterodine RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

> (preparation of cyclopentylpyrrolidinone derivs. as anti-muscarinic agents and NK-1 receptor antagonists in combination therapy of urinary frequency, urinary urgency and urinary incontinence)

286930-02-7 CAPLUS RN

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

Ι

L7 ANSWER 14 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:1425394 CAPLUS

DOCUMENT NUMBER: 148:45893

TITLE: Treatment of excess sebum production INVENTOR(S): Roach, Alan George; Goldsmith, Paul

PATENT ASSIGNEE(S): Daniolabs Ltd., UK SOURCE: PCT Int. Appl., 12pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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		W:			•	•		AU, CZ,						•		•	•	
			GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,
			MK,	MN,	MW,	MX,	MY,	LA, MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,
								SE, UZ,						SY,	ТJ,	TM,	TN,	TR,
		RW:						CZ, MC,		•					•			
			ВJ,	CF,	CG,	CI,	CM,	GA, MZ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,
		0655	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	AP,	EA,	EP,	OA		ДI-1 <b>,</b>			
_		2657. 2037:						2007 2009								_	0070 0070	
		R:						CZ, LV,										
PRTORT	гтү	ZPP.				MK,	RS				GB 2	006-	1124	0	· ;	A 21	0060	607
11(101(1				11,11	• •							007-		-			0070	

AB A muscarinic receptor antagonist is useful for the treatment or prevention of a condition associated with excess sebum production or excretion.

Muscarinic

receptor antagonist oxybutynin dose-dependently reduced sebum production in

STN: SEARCH

healthy human volunteers. ΙT

286930-02-7, Fesoterodine

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

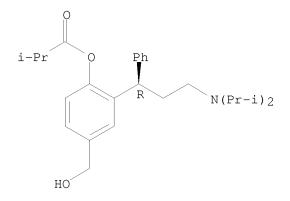
(Biological study); USES (Uses)

(muscarinic receptor antagonist for treatment of excess sebum production)

286930-02-7 CAPLUS RN

Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-CN phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD OS.CITING REF COUNT: 1

(1 CITINGS)

ANSWER 15 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN T. 7

2007:1420174 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 148:62011

TITLE: Stabilized pharmaceutical compositions comprising

fesoterodine

INVENTOR(S): Arth, Christoph; Mika, Hans-Juergen; Komenda, Michael;

Lindner, Hans; Bicane, Fatima; Paulus, Kerstin;

Irngartiner, Meike

PATENT ASSIGNEE(S): Schwarz Pharma AG, Germany

SOURCE: PCT Int. Appl., 74 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2007141298	A1 20071213	WO 2007-EP55582	20070606
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BH, BR, BW,	BY, BZ, CA,
CH, CN, CO,	CR, CU, CZ, DE,	DK, DM, DO, DZ, EC, EE,	EG, ES, FI,
GB, GD, GE,	GH, GM, GT, HN,	HR, HU, ID, IL, IN, IS,	JP, KE, KG,
KM, KN, KP,	KR, KZ, LA, LC,	LK, LR, LS, LT, LU, LY,	MA, MD, MG,
MK, MN, MW,	MX, MY, MZ, NA,	NG, NI, NO, NZ, OM, PG,	PH, PL, PT,
RO, RS, RU,	SC, SD, SE, SG,	SK, SL, SM, SV, SY, TJ,	TM, TN, TR,
TT, TZ, UA,	UG, US, UZ, VC,	VN, ZA, ZM, ZW	
RW: AT, BE, BG,	CH, CY, CZ, DE,	DK, EE, ES, FI, FR, GB,	GR, HU, IE,
IS, IT, LT,	LU, LV, MC, MT,	NL, PL, PT, RO, SE, SI,	SK, TR, BF,

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BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
             GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
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     EP 1864651
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                                           EP 2006-11942
                                                                   20060609
                         Α1
         R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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                                           EP 2006-11943
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                                          EP 2006-11941
     EP 1867328
                         Α1
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     AU 2007255408
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                                                                   20070606
     CA 2652712
                                20071213
                                           CA 2007-2652712
                         Α1
                                                                   20070606
     EP 2029134
                                20090304
                                           EP 2007-729956
                                                                   20070606
                         Α1
         R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR,
             AL, BA, HR, MK, RS
                                           NL 2007-2000690
     NL 2000690
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                                20071211
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     NL 2000690
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                                20080401
                                20090527
                                           ZA 2008-6411
     ZA 2008006411
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                                           KR 2008-727920
     KR 2009026135
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                                20090311
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     CN 101466371
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                                           CN 2007-80021292
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     MX 2008015736
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                                20090109
                                           MX 2008-15736
                                                                   20081209
     IN 2009KN00056
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                                20090403
                                            IN 2009-KN56
                                                                   20090105
PRIORITY APPLN. INFO.:
                                            EP 2006-11941
                                                               A 20060609
                                            EP 2006-11942
                                                               A 20060609
                                                               A 20060609
                                            EP 2006-11943
                                           WO 2007-EP55582
                                                               W 20070606
AΒ
     The present application relates to a pharmaceutical composition comprising
     fesoterodine or a pharmaceutically acceptable salt or solvate thereof and
     a stabilizer selected from the group consisting of xylitol, sorbitol,
     polydextrose, isomalt and dextrose. A tablet contained fesoterodine
     hydrogen fumarate 4.0, xylitol 76.0, lactose monohydrate 43.0, microcryst.
     cellulose 41.5, hypromellose (e.g. Methocel K100M) 70.0, hypromellose
     (e.g. Methocel K4M) 70.0, glycerol dibehenate 8.0, talc 7.5, and purified
     water q.s.
ΙT
     286930-02-7, Fesoterodine
                                286930-03-8
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
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Absolute stereochemistry. Rotation (+).

286930-02-7 CAPLUS

RN

CN

(stabilized pharmaceutical compns. comprising fesoterodine)

Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-

phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

RN 286930-03-8 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7 CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 16 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN L7 ACCESSION NUMBER: 2007:940100 CAPLUS DOCUMENT NUMBER: 147:269265 TITLE: Combination of an  $\alpha 2$ -receptor agonist (such as clonidine) and an antimuscarinic agent (such as oxybutynin) for the treatment of sialorrhea INVENTOR(S): Roach, Alan George; Goldsmith, Paul PATENT ASSIGNEE(S): Daniolabs Ltd., UK PCT Int. Appl., 16pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. \_\_\_\_ \_\_\_\_\_ WO 2007093824 A1 20070823 WO 2007-GB50057 20070212 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM AU 2007216320 A1 20070823 AU 2007-216320 20070212 CA 2642850 Α1 20070823 CA 2007-2642850 20070212 20081105 EP 2007-705370 EP 1986642 Α1 20070212 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR JP 2009526829 T 20090723 JP 2008-554857 20070212 IN 2008DN06924 20081024 IN 2008-DN6924 A 20080812 The control of the co 20080909 20080916 20081218 A 20060213 PRIORITY APPLN. INFO.: GB 2006-2855 GB 2006-2857 A 20060213 WO 2007-GB50057 W 20070212 An  $\alpha 2$ -adrenoreceptor agonist (e.g. clonidine, brimonidine, AB monoxidine, lofexidine) is useful for the treatment of sialorrhea, administered by the paralingual, sublingual or buccal route. The patient to be treated is also given an antimuscarinic agent (e.g. oxybutynin, glycopyrrolate, ipratropium). 286930-02-7, Fesoterodine ΙT RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

 $(\alpha 2$ -receptor agonist-antimuscarinic agent combination for

Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

treatment of sialorrhea)

286930-02-7 CAPLUS

RN

CN

10/533,683 11/18/2009 STN: SEARCH

Absolute stereochemistry. Rotation (+).

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:705973 CAPLUS

DOCUMENT NUMBER: 147:125829

TITLE: Pharmaceutical combination comprising a PED5 inhibitor

and a muscarinic antagonist for the treatment of LUTS

INVENTOR(S): Mastrell, Carl Erik Johan; Suesserman, Michael Allen

PATENT ASSIGNEE(S): Pfizer Products Inc., USA SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007072169 WO 2007072169	A2 A3	20070628 20071101	WO 2006-IB3683	20061219
W: AE, AG, CN, CO, GE, GH, KP, KR, MN, MW,	AL, AM, AT CR, CU, CZ GM, GT, HN KZ, LA, LC MX, MY, MZ	AU, AZ, E DE, DK, I I, HR, HU, I C, LK, LR, I K, NA, NG, N	BA, BB, BG, BR, BW, DM, DZ, EC, EE, EG, ID, IL, IN, IS, JP, LS, LT, LU, LV, LY, NI, NO, NZ, OM, PG, SL, SM, SV, SY, TJ,	ES, FI, GB, GD, KE, KG, KM, KN, MA, MD, MG, MK, PH, PL, PT, RO,
RW: AT, BE, IS, IT, CF, CG, GM, KE,	LT, LU, LV CI, CM, GA	Z, CZ, DE, I Z, MC, NL, E A, GN, GQ, C E, NA, SD, S	DK, EE, ES, FI, FR, PL, PT, RO, SE, SI, GW, ML, MR, NE, SN, SL, SZ, TZ, UG, ZM,	SK, TR, BF, BJ, TD, TG, BW, GH,
AU 2006327882 CA 2634019 JP 2007169278 EP 1965863	A1 A1 A A	20070628 20070628 20070705	AU 2006-327882 CA 2006-2634019 JP 2006-341662 EP 2006-821077	20061219 20061219 20061219 20061219

	R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE	, ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	ΙΤ,	LI,	LT,	LU,	LV,	MC,	NL,	PL	, PT,	RO,	SE,	SI,	SK,	TR	
US	2008	30318	982		A1		2008	1225		US	2008-	-9335	8		2	0080	512
XM	2008	30067	66		Α		2008	0604		MX	2008-	-6766			2	0080	526
IN	2008	3DN04	971		Α		2008	0815		IN	2008-	-DN49	71		2	00800	610
KR	2008	30769	61		A		2008	0820		KR	2008-	-7148	35		2	00800	619
CN	1013	34094	6		A		2009	0107		CN	2006-	-8004	8291		2	00800	620
PRIORITY	Y API	PLN.	INFO	.:						US	2005-	-7526	25P	]	P 2	0051	220
										US	2006-	-7577	20P	]	P 2	00601	109
										WO	2006-	-IB36	83	Ţ	W 2	0061	219

GΙ

AΒ This invention relates to the combined use of a phosphodiesterase 5 (PDE5) inhibitor and a muscarinic antagonist in the treatment of lower urinary tract symptoms (LUTS), such as urgency, frequency, nocturia and urge incontinence. A method of treatment of LUTS comprises simultaneous, sep., or sequential administration of a PED5 inhibitor and a muscarinic antagonist to a patient in need of such treatment. Thus, a muscarinic antagonist, oxybutynin (3.18 mg/kg) produced a small increase in micturition pressure, whereas the PED5 inhibitor, 3-ethyl-5-[5-(4-ethylpiperazin-1-ylsulfonyl)-2-n-propoxyphenyl]-1-(pyridin-2-yl)methyl-1,6-dihydro-7H-pyrazolo[4,3-d]pyrimidin-7-one (I, 0.11 mg/kg and 0.32 mg/kg) produced a small reduction in micturition pressure in quinea pigs. The combination of oxybutynin (3.18 mg/kg) plus I (0.32 mg/kg) produced a greater reduction in micturition pressure than observed with I (0.32 mg/kg) alone. These data appear to imply a synergistic effect of oxybutynin and the higher dose of I tested on micturition pressure. Also, an immediate-release tablet containing fesoterodine (muscarinic antagonist) and 5-[2-ethoxy-5-(4-ethylpiperazine-1-sulfonyl)pyridin-3-yl]-3-ethyl-2-(2methoxyethy1)-2,6-dihydropyrazolo[4,3-d]pyrimidin-7-one (PED5 inhibitor) were prepared comprising (i) a core containing fesoterodine hydrogen fumarate 2.0 mg, 5-[2-ethoxy-5-(4-ethylpiperazine-1-sulfonyl)pyridin-3-yl]-3-ethyl-2-(2-methoxyethy1)-2,6-dihydropyrazolo[4,3-d]pyrimidin-7-one besylate 5.0 mg, microcryst. cellulose 53.4 mg, calcium hydrogen phosphate dihydrate 18.0 mg, sodium starch glycollate 6.0 mg, magnesium stearate 0.4 mg, and colloidal silica 0.2 mg, and (ii) a coating containing methylhydroxypropyl cellulose 1.5 mg, microcryst. cellulose 0.3 mg, stearic acid 0.6 mg, and titanium dioxide E 171 0.6 mg.

IT 286930-02-7, Fesoterodine 286930-03-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(compns. comprising PED5 inhibitor and muscarinic antagonist for treatment of lower urinary tract disorders)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 286930-03-8 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7 CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

10/533,683 11/18/2009

STN: SEARCH

THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD OS.CITING REF COUNT: 2 (2 CITINGS)

ANSWER 18 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:630212 CAPLUS

DOCUMENT NUMBER: 145:110309

TITLE: Injectable sustained release microspheric preparation

of 3,3-diphenylpropylamine derivatives as muscarinic

receptor antagonists

INVENTOR(S): Li, Youxin

PATENT ASSIGNEE(S): Peop. Rep. China

SOURCE: PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

Ρ.	ATENT 1	7O.			KIN	D	DATE			APPL	ICAT	ION 1	7O.		D.	ATE	
W	0 2006	0665	09		A1		2006	0629	,	WO 2	005-0	CN22	 77		2	0051	222
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,	KR,
		KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
		MZ,	NA,	NG,	NΙ,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
		SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,		
		ZA,	ZM,	ZW													
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,
		IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG,	BW,	GH,
		GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	KΖ,	MD,	RU,	ТJ,	$_{ m IM}$										
C	N 1795	845			Α		2006	0705	1	CN 2	004 - 1	1010	1721		2	0041	223
PRIORI	TY APP	LN.	INFO	.:					1	CN 2	004 - 1	1010	1721	i	A 2	0041	223
OTHER GI	SOURCE	(S):			MAR	PAT	145:	1103	09								

RM

AB The invention relates to injectable sustained release microspheric preparation of 3,3-diphenylpropylamine, its preparing process and application. The said sustained release microspheric preparation consists of 3,3-diphenylpropylamine of formula I as follows, its optical enantiomers or racemates and one or more medicinal biodegradable high-mol. auxiliary material and other medicinal auxiliary material, wherein the definition of R1, R2 R3 R4 and R5 sees the claims. The injectable sustained release microspheric preparation according to the invention is used for treatment or supplementary treatment of diseases related to the muscarinic receptor and unstable or overactive bladder such as urgency or stress urinary incontinence, urge incontinence, urinary urgency or frequency, etc.

286930-02-7 895137-80-1
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (injectable sustained release microspheric preparation of 3,3-diphenylpropylamine derivs. as muscarinic receptor antagonists) 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 895137-80-1 CAPLUS

CN Benzenemethanol, 4-(acetyloxy)-3-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 19 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:76147 CAPLUS

DOCUMENT NUMBER: 144:156740

TITLE: Combinations of statins with bronchodilators for

treatment of respiratory disorders

INVENTOR(S): Lindmark, Bertil; Thoren, Anders Ingemar

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited

SOURCE: PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	CENT :										LICAT					ATE	
WO	2006										2005-					0050	
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	ВВ	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DΖ	, EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS	, JP,	ΚE,	KG,	KM,	KP,	KR,	KΖ,
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD	, MG,	MK,	MN,	MW,	MX,	ΜZ,	NA,
		NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PΤ	, RO,	RU,	SC,	SD,	SE,	SG,	SK,
		SL,	SM,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ	, UA,	UG,	US,	UZ,	VC,	VN,	YU,
		ZA,	ZM,	ZW													
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE	, ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,	RO	, SE,	SI,	SK,	TR,	BF,	ВJ,	CF,
		CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR	, NE,	SN,	TD,	ΤG,	BW,	GH,	GM,
		KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ	, UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,	KG,
					ΤJ,												
ΑU	2005	2638	83		A1		2006	0126		AU	2005-	2638	83		2	0050	620
	2573			A1						2005-				_	0050	620	
EΡ	1773										2005-					0050	
	R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EΕ	, ES,	FΙ,	FR,	GB,	GR,	HU,	IE,
		IS,	ΙΤ,	LI,	LT,	LU,	MC,	ΝL,	PL,	PΤ	, RO,	SE,	SI,	SK,	TR,	AL,	BA,
		•	LV,	,													
	1984				Α		2007				2005-					0050	-
	2008						2008				2007-				_	0050	
	2005				Α		2008				2005-		3			0050	-
	2007				Α		2008				2007-	_			_	0070	
	2008						2008				2007-					0070	
	2007				А		2007				2007-					0070	
	2007				A		2007				2007-		31			0070	
	2007				A		2007				2007-					0070	
	2007	-			А		2007	0427			2007-					0070	
RIT	APP	LN.	TNEO	.:							2004-						
										WO	2005-	GB24	ТЗ		w 2	0050	620

The invention provides medicaments comprising combinations of AB bronchodilators, glucocorticosteroids and HMG-CoA reductase inhibitors in the treatment of respiratory disorders such as chronic obstructive pulmonary disease (COPD). For example, a metered dose inhaler contained per dose formoterol fumarate dihydrate 4.5  $\mu$ g, budesonide 160  $\mu$ g, rosuvastatin 1 mg, and HFA 227 50  $\mu L$ . Also, an inhalation/oral combination comprised an aerosol formulation containing per dose formoterol fumarate dihydrate 4.5  $\mu g$  and budesonide 160  $\mu g$ , and a tablet

formulation containing rosuvastatin 10 mg.

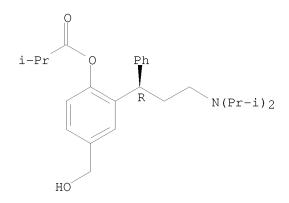
IT 286930-02-7, Fesoterodine

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (combinations of statins with bronchodilators for treatment of respiratory disorders)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD

(3 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:902168 CAPLUS

DOCUMENT NUMBER: 141:374727

TITLE: Method using quaternary ammonium compounds for the

treatment of irritable bowel syndrome

INVENTOR(S): Richards, Ivan Michael; Kolbasa, Karen Patrice

PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, LLC, USA

SOURCE: PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT	NO.			KIN	D 1	DATE		-	APPL	ICAT	ION 1	. O <i>l</i>		D	ATE	
WO 2004		97		A2 A3		 2004 2005		•	wo 2	004-	IB12	18		2	0040	405
W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,
	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NA,	NΙ,
	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
RW:	BW,	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,
	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,

STN: SEARCH

ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 20040220224 Α1 20041104 US 2004-823944 20040413 US 2003-462921P P 20030415 PRIORITY APPLN. INFO.: MARPAT 141:374727 OTHER SOURCE(S):

GΙ

AΒ The invention discloses a method for treating irritable bowel syndrome by administering quaternary ammonium compds. Compds. of the invention include e.g. I [R1 = (un) substituted C1-6 alkyl, (un) substituted CH2(C1-4 alkenyl), (un)substituted CH2(C1-6 alkynyl); X = anion of pharmaceuticallyacceptable acid]. Preparation of selected compds., e.g. (3R)-3-(2-hydroxy-5-methylphenyl)-N, N-diisopropyl-N-methyl-3-phenylpropan-1-aminium bromide, is included.

518360-93-5 ΙT

> RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(quaternary ammonium compds. for treatment of irritable bowel syndrome)

518360-93-5 CAPLUS RN

Benzenepropanaminium, 5-(hydroxymethyl)-N-methyl-N, N-bis(1-methylethyl)-2-CN  $(2-\text{methyl}-1-\text{oxopropoxy})-\gamma-\text{phenyl}-$ , bromide,  $(\gamma R)-(9CI)$  (CA) INDEX NAME)

Absolute stereochemistry.

• Br-

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:878361 CAPLUS

DOCUMENT NUMBER: 141:370546

TITLE: Highly pure bases of 3,3-diphenyl propylamine

monoesters for use in transdermal delivery

systems

INVENTOR(S): Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael;

Drews, Roland

PATENT ASSIGNEE(S): Schwarz Pharma Ag, Germany

SOURCE: PCT Int. Appl., 72 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PA:	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D.	ATE	
WO	2004	0898	 72		A1	_	2004	1021	,	 WO 2	004-	EP35	 67		2	0040	403
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,		
	NO, NZ,				PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,
		BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,
		ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	ΙΤ,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,
		SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,
		TD,	ΤG														
DE	1031	5917			A1		2004	1118		DE 2	003-	1031	5917		2	0030	408
ΑU	2004	2281	63		A1		2004	1021		AU 2	004-	2281	63		2	0040	403

AU	200422816	3		В2	2	2007	0607										
CA	2505848			A1	4	2004	1021	C.	A 2	004-	2505	848		2	0040	403	
BR	200400622	21		А	4	2005	0809	В	R 2	004-	6221			2	0040	403	
EP	1613584			A1	2	2006	0111	E	P 2	004-	7256	10		2	0040	403	
EP	1613584			В1	2	2007	1121										
	R: AT,	BE.	CH.	DE,	DK,	ES.	FR,	GB,	GR.	IT.	LI.	LU.	NL.	SE.	MC.	PT.	
	IE,	•		,	,	,	MK,	,									HR
CN	1802345		,	A		•	0712	•	•	,	,	9224		,	0040		
	100475775			C			0408										
JP	200652275	8		T			1005	J	P 2	006-	5049	89		2	0040	403	
	2297409			_ T3			0501	-		004-					0040		
_	912451			В1			0814		_	005-		-			0040		
	200500267	79		A	_		0426			005-	_	_			0050		
	200500356			A			0603			005-					0050		
	200600148			A1	_		0119			005-					0050		
NO	200500507			A			1031	N		005-					0051		
- · -	1087399	Ü		A1			0718		_	006-					0060		
	200900121	59		A1			0108			008-					0080		
	Y APPLN. I		•	111	-	-005	0100	D	_			5917			0030		
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OTHER SOURCE(S): MARPAT 141:370546

GΙ

The invention relates to a compound of general formula (I) wherein A represents deuterium or hydrogen, R represents a group selected from C1-6 alkyl, C3-10 cycloalkyl or Ph, which can be substituted by C1-3 alkoxy, fluorine, chlorine, bromine, iodine, nitro, amino, hydroxyl, oxo, mercapto or deuterium. The C atom marked with a \* (star) can be present in an (R) configuration, in an (S)-configuration or a mixture thereof. The invention is characterized in that the above-mentioned compds. are free bases with a degree of purity of more than 97 wt %. The invention also relates to a method for the production of highly pure compds. of general formula (I) and to the use thereof in the production of medicaments. Thus (R)-2-[3-(Diisopropylamino)-1-phenylpropyl]-4-(hydroxymethyl)phenol was reacted with isobutyric acid chloride to form fesoterodine. Fesoterodine was purified via the formation of its fumaric acid salt. 1.5 G of the highly pure fesoterodine was mixed with 8.5 g silicone adhesive Bio-PSA

7-4300 and applied to a foil in order to prepare a transdermal delivery system.

286930-02-7P, Fesoterodine ΤT

> RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1phenylpropy1]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

777075-72-6P ΤТ

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)

777075-72-6 CAPLUS RN

Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-CN phenylpropyl]-4-(hydroxymethyl)phenyl ester, carbonate (1:1) (salt) (9CI) (CA INDEX NAME)

CM

CRN 286930-02-7 CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).

CM 2

CRN 463-79-6 CMF C H2 O3



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(4 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:878163 CAPLUS

DOCUMENT NUMBER: 141:360690

TITLE: Combination therapies of asthma, COPD, allergic and

infectious rhinitis

INVENTOR(S): Richards, Ivan Michael; Manning, Robert Everett

PATENT ASSIGNEE(S): Pfizer Inc, USA

SOURCE: U.S. Pat. Appl. Publ., 20 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND DAT	ΓE A	APPLICATION 1	NO.	DATE
US 20040209916	A1 200	041021 U	JS 2004-8243	15	20040413
CA 2522666	A1 200	041028 C	CA 2004-2522	666	20040405
WO 2004091596	A2 200	041028 W	WO 2004-IB11	70	20040405
WO 2004091596	A3 200	050407			
W: AE, AG, AL,	AM, AT, AU	J, AZ, BA,	BB, BG, BR,	BW, BY,	BZ, CA, CH,
CN, CO, CR,	CU, CZ, DE	E, DK, DM,	DZ, EC, EE,	EG, ES,	FI, GB, GD,
GE, GH, GM,	HR, HU, II	O, IL, IN,	IS, JP, KE,	KG, KP,	KR, KZ, LC,
LK, LR, LS,	LT, LU, LV	/, MA, MD,	MG, MK, MN,	MW, MX,	MZ, NA, NI,

NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG EP 1620083 Α2 20060201 EP 2004-725755 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK BR 2004-9492 BR 2004009492 Α 20060502 20040405 JP 2006523674 Τ 20061019 JP 2006-506483 20040405 MX 2005011225 20051214 MX 2005-11225 20051018 Α PRIORITY APPLN. INFO.: US 2003-463975P Ρ 20030418 WO 2004-IB1170 W 20040405

OTHER SOURCE(S): MARPAT 141:360690

The invention is directed to methods of treating asthma, COPD, allergic AΒ rhinitis, and infectious rhinitis by administering a first pharmaceutical agent including one or more compds. selected from the quaternary ammonium compds. (Markush structures are included) and a second pharmaceutical agent including one or more pharmaceutical agents selected from Adenosine A2a Receptor Agonists, D2-Dopamine Receptor Agonists, Phosphodiesterase Inhibitors (PDE's), corticosteroids, norepinephrine reuptake inhibitors, 4-hydroxy-7-[2-[2-[3-[2-phenylethoxy]-propylsulfonyl]ethylamino]ethyl]-1,3benzothiazol-2(3H)-one, and pharmaceutically acceptable salts thereof, and non-quaternized antimuscarinic compds.

ΙT 518360-93-5

> RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combination therapies of asthma, COPD, allergic and infectious rhinitis)

518360-93-5 CAPLUS RN

Benzenepropanaminium, 5-(hydroxymethyl)-N-methyl-N, N-bis(1-methylethyl)-2-CN  $(2-\text{methyl}-1-\text{oxopropoxy})-\gamma-\text{phenyl}-$ , bromide,  $(\gamma R)-$  (9CI) (CA INDEX NAME)

Absolute stereochemistry.

∍ Br-

L7 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:872676 CAPLUS

DOCUMENT NUMBER: 141:337790

TITLE: Transdermal administration of

(R)-3, 3-diphenylpropylamine monoesters

INVENTOR(S): Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael;

Drews, Roland

PATENT ASSIGNEE(S): Schwarz Pharma A.-G., Germany

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent German LANGUAGE:

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA:	TENT	NO.			KIN	D	DATE		,	APPL	ICAT	ION	NO.	DATE					
							2004									0040	403		
	W:	ΑE,	AG,	AL,	AM,	AT,	, AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
		CN,	CO,	CR,	CU,	CZ	, DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,		
		GE,	GH,	GM,	HR,	HU	, ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,		
		LK,	LR,	LS,	LT,	LU	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,		
		NO,	NZ,	OM,	PG,	PH	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,		
		ΊJ,	TM,	TN,	TR,	TT	, TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW		
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DE	1031				B4 A1		2009	0604		DE 2	003-	1031	5878		2	0030	408		
	1031				A1		2004												
	2004				A1		2004	1021		AU 2	004-	2289	27		2	0040	403		
	2004						2007												
	2505						2004			CA 2	004-	2505	780		2	0040	403		
CA	2505						2008												
EP	1530	461			A1		2005	0518		EP 2	004-	7256	14		2	0040	403		
EP	1530						2007												
	R:	AT,	BE,	CH,	DE,	DK	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,		
		IE,	SI,	LT,	LV,	FΙ	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	HR	
BR	2004	0062	12		A		2005	0816		BR 2	004-	6212			2	0040	403		
JP	2006	5227	59		T		2006	1005		JP 2	006-	5049	92		2	0040	403		
NZ	5392	14			A		2007	0223		NZ 2	004-	5392	14		2	0040	403		
MX	2005	0035	61		А		2005 2006 2007 2005 2006	0617		MX 2	005-	3561			2	0050	401		
US	2006	0029	673		A1		2006	0209		US 2	005-	5336	83		2	0050	426		
KR	2006	0033	34		A		2006	0110		KR 2	005-	7180	06		2	0050	926		
							2005												
							2009									0090			
	Y APP												5878			0030	408		
													74						
													83						
IER SO	אווסרב	(5) .			MADI	РΔТ	1/11.	3377											

OTHER SOURCE(S): MARPAT 141:337790

GΙ

The invention relates to a device for transdermally administering a compound AB of formula (I), wherein A represents hydrogen or deuterium, R represents a group selected among C1-6 alkyl, C3-10 cycloalkyl, or Ph, each of which can be substituted by C1-3 alkoxy, fluoride, chlorine, bromine, iodine, nitro, amino, hydroxy, oxo, mercapto, or deuterium, the C atom marked by \* (asterisk) being provided in the R configuration. The invention is characterized in that the compound of general formula (I) is provided in a polymer matrix and is released at a dose of 0.5 to 20 mg per day through human skin. The invention further relates to the use of said compds. of formula (I) for producing transdermal medicaments. Thus a silicone-based transdermal system was prepared by the hot-melt process. 8.5 G of an adhesive mixture composed of BIO-PSA 7-4300 from Dow-Corning and 5 weight/weight%

ozokerite or ceresin was heated to  $150\,^{\circ}\mathrm{C}$  for 20 min until a homogeneous melt was formed. 1.5 G fesoterodine were added to the melt; the mixture was kept for addnl. 5 min at 150°C; followed by application onto a preheated foil. 5 Cm2 samples were used for dissoln. studies.

ΙT 286930-02-7P, Fesoterodine RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (transdermal administration of (R)-3,3-diphenylpropylamine monoesters)RN 286930-02-7 CAPLUS

Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-CN phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 24 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:950829 CAPLUS

DOCUMENT NUMBER: 140:13084

TITLE: Combination of selected opioids with other active

substances for use in the therapy of urinary

incontinence

INVENTOR(S): Christoph, Thomas

PATENT ASSIGNEE(S): Grunenthal G.m.b.H., Germany

SOURCE: PCT Int. Appl., 126 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PA	PATENT NO.				KIND DATE				APPLICATION NO.							DATE			
WO	2003	0992	68		A1		2003	1204	,	WO 2	2003-1	EP55	29		2	0030	527		
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	ΒA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,		
		CO,	CR,	CU,	CZ,	DK,	DM,	DZ,	EC,	ΕE,	ES,	FΙ,	GB,	GD,	GE,	GH,	GM,		
		HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,		
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	PL,		
		PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,		
		UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW									
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,		
											CH,								
		FΙ,	FR,	GB,	GR,	HU,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,		
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG		
DE	1022	4107			A1		2003	1211		DE 2	002-	1022	4107		2	0020	529		
AU	2003	2407	17		A1		2003	1212		AU 2	003-	2407	17		2	0030	527		
EP	1507	520			A1		2005	0223		EP 2	003-	7301	20		2	0030	527		
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,		
		ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK			
US	2005	0137	194		A1		2005	0623		US 2	004-	9981	64		2	0041	129		
US	2006	0168	942		A1		2006	0803		US 2	005-	5459	01		2	0050	817		
US	7246	486			В2		2007	0724											
PRIORIT	Y APP	LN.	INFO	.:						DE 2	002-	1022	4107		A 2	0020	529		
									,	WO 2	003-1	EP55	29	1	w 2	0030	527		

10/533,683 11/18/2009

OTHER SOURCE(S): MARPAT 140:13084

AB The invention discloses the use of a combination of opioids (e.g. tramadol) with other active substances for producing a drug for the treatment of urinary urgency or urinary incontinence. The invention also relates to corresponding medicaments and to a method for treating urinary urgency or urinary incontinence.

STN: SEARCH

IT 286930-02-7, Fesoterodine

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(opioid combination with other active substances for treatment of urinary incontinence)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD

(3 CITINGS)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 25 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:736261 CAPLUS

DOCUMENT NUMBER: 131:336818

TITLE: Preparation of 3,3-diphenylpropylamines as

antimuscarinic agents.

INVENTOR(S): Sparf, Bengt; Meese, Claus O. PATENT ASSIGNEE(S): Schwarz Pharma AG, Germany SOURCE: Eur. Pat. Appl., 27 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
EP 957073	A1 19991117	EP 1998-108608	19980512
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU, NL,	SE, MC, PT,
IE, SI, LT,	LV, FI, RO		
CA 2328920	A1 19991118	CA 1999-2328920	19990511

$C\Delta$	2328	920			С		2008	N415										
	9958	478			A1			1118		WO	19	99-1	EP32	12		1	9990	511
			AL,	AM,				BA,										
								GD,										
								LC,										
								PT,						SG,	SI,	SK,	SL,	ΤJ,
								UZ,										
	RW:							SL,										
								IT, MR,						SE,	BF,	BJ,	CF,	CG,
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AU	9941 7480 9910	57			B2		2002	0530		210	1)		1111.	<b>4</b>			<i>J J J U</i>	J11
BR	9910	406			A		2001	0109		BR	19	99-	1040	6		1	9990	511
EP	1077	912			A1		2001	0228		ΕP	19	99-	9249:	29		1	9990	511
EP	1077							0703										
	R:							FR,	GB,	GF	₹,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,
	0001				LV,			0000		****	20	Λ1 ·	770			-	0000	Г <b>1</b> 1
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AT	2000 2200 1254	56	)		T		2001	0715		AT	19	99-	9249:	29		1	9990	511
EP	1254	890			Ā1		2002	1106		EP	20	02-	1348	1		1	9990	511
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	٦,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	ΑI								
	5074	87			A T3 C2		2002	1126 0216 0227		NZ	19	99-	5074	87		1	9990	511
	2181	443			Т3		2003	0216		ES	19	99-	9249:	29		1	9990	511
RU	2199	525 5100	70		C2		2003	0227		RU	20	00-	1258	13 84		1	9990	511
JP	21995 20035 3929 12075 16906 10045	702 702	19		1 B2		2003	0617		JP	20	00-	3482	84		1	9990	211
CN	1207	268			C			0622		CN	19	99-	8060	3.8		1	9990	511
CN	1690	041			A		2005			CN	20	05-	1007	0299		1	9990	511
CN	1004	9133	6		С		2009											
02	2000	00			DU		2006	0412		CZ	20	0.0 - 1	3774				9990	
	1955				В1		2007			PL	19	99-	3478:	23		1	9990	511
	2860				B6		2008			SK	20	00-1 06-1	1547			1	9990 9990 0001	511
	2997: 2000		20		В6 А		2008	1029		CZ 7 A	20	06 00-	29 5720			7	9990	017 017
	2000				A		2001			NΩ	20	00 00-	5669			2	0001	110
	3268				B1		2009			110	20	00.	3003			_	0001	110
	2000	01109	96		A B1			0604		MX	20	00-	1109	6		2	0001	110
US	6713	464			В1		2004	0330								_	– .	
	1046				A1		2005						1078				0021	
	2004		061		A1		2004			US	20	04-	7662	63		2	0040	127
	7230		720		B2		2007			TT ()	20	۸۲.	0017	г.с		_	A A E A	010
	2006 7384		/38		A1 B2		2006 2008			US	20	05	2017	56		2	0050	810
	2007		52		A		2007			.TP	20	06-	2838	61		2	0061	018
	2007				A		2007						3985°				0070	
	2009				A1		2009						1050				0080	
PRIORIT				.:						ΕP	19	98-	1086	8 0		A 1	9980	512
													8060				9990	
													9249:				9990	
													5482				9990	
													EP32: 7000:				9990 0010	
													7662)				0010	
													2017				0050	
																	-	

10/533,683 11/18/2009 STN: SEARCH

Т

OTHER SOURCE(S): MARPAT 131:336818

GΙ

AΒ Title compds. (I; R = H, Me, Et, Pr, Me2CH, Bu, iso-Bu, pentyl, hexyl, PhCH2, alkyl, CHO, Ac, propionyl, isobutyryl, aminocarbonyl, aminosulfonyl, MeO2C, etc.; R1 = H, Me, Et, Pr, Me2CH, Bu, iso-Bu, pentyl, hexyl, PhCH2, alkyl, phenylalkyl; Z = NR8R9; R8, R9 = hydrocarbyl; NR8R9 = atoms to form a ring; with a proviso), were prepared as antimuscarinic agents (no data). Thus, 4-bromophenol, cinnamoyl chloride, and Et3N were stirred 18 h in CH2Cl2 to give 99.8% 3-phenylacrylic acid 4-bromophenyl ester. This was refluxed 2 h with HOAc/H2SO4 to give 43.8% 6-bromo-4-phenylchroman-2-one. The latter was refluxed with benzyl bromide, K2CO3, and NaI in acetone/MeOH to give 102.1% crude Me 3-(2-benzyloxy-5-bromophenyl)-3-phenylpropionate, which was stirred with LiAlH4 in THF to give 96.3% 3-(2-benzyloxy-5-bromophenyl)-3-phenylpropan-1ol. This was stirred with tosyl chloride and pyridine in CH2Cl2 for 18 h to give 93.6% tosylate ester, which was refluxed 97 h with diisopropylamine in MeCN to give 77.9%

 $\label{lem:convergence} \begin{tabular}{ll} [3-(2-benzyloxy-5-bromophenyl)-3-phenylpropyl] \end{tabular} disspropylamine. The latter was converted in several steps to $2-(3-diisopropylamino-1-phenylpropyl)-4-hydroxymethylphenol, which was the several steps to $2-(3-diisopropylamino-1-phenylprop$ 

acylated to give I.

IT 250214-41-6P 250214-42-7P 250214-43-8P 250214-44-9P 250214-45-0P 250214-46-1P 250214-47-2P 250214-48-3P 250214-49-4P 250214-50-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3,3-diphenylpropylamines as antimuscarinic agents)

RN 250214-41-6 CAPLUS

CN Benzenemethanol, 4-(acetyloxy)-3-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]- (CA INDEX NAME)

OAc 
$$Ph$$
  $CH-CH_2-CH_2-N(Pr-i)_2$   $HO-CH_2$ 

RN 250214-42-7 CAPLUS

CN Benzenemethanol, 3-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(1-oxopropoxy)- (CA INDEX NAME)

RN 250214-43-8 CAPLUS

CN Butanoic acid, 2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4- (hydroxymethyl)phenyl ester (CA INDEX NAME)

RN 250214-44-9 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

RN 250214-45-0 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, 2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

RN 250214-46-1 CAPLUS

CN Benzenemethanol, 4-(benzoyloxy)-3-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]- (CA INDEX NAME)

RN 250214-47-2 CAPLUS

CN Propanedioic acid, 1,3-bis[2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl] ester (CA INDEX NAME)

RN 250214-48-3 CAPLUS

CN Butanedioic acid, 1,4-bis[2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl] ester (CA INDEX NAME)

RN 250214-49-4 CAPLUS

CN Pentanedioic acid, 1,5-bis[2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl] ester (CA INDEX NAME)

RN 250214-50-7 CAPLUS

CN Hexanedioic acid, 1,6-bis[2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl] ester (CA INDEX NAME)

OS.CITING REF COUNT: 19 THERE ARE 19 CAPLUS RECORDS THAT CITE THIS

RECORD (21 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

## => D L8 IBIB ABS HITSTR 1-3

L8 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:670446 CAPLUS

DOCUMENT NUMBER: 150:572448

TITLE: Transdermal delivery system for

fesoterodine

INVENTOR(S): Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael

PATENT ASSIGNEE(S): Schwarz Pharma A.-G., Germany

SOURCE: Ger., 26pp.

CODEN: GWXXAW

DOCUMENT TYPE: Pat.ent. LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

		KIND DATE															
DE	10315878	}		В4		2009	0604			003-							
AU	10315878 20042289	927		A1 A1 B2		2004 2004	1021		AU 2	004-	2289	27		2	0040	403	
	20042289 2505780	927		B2 A1		2007 2004			CA 2	004-	2505	780		2	0040	403	
	2505780					2008	1216										
WO	20040893	346		A1		2004	1021		WO 2	004-	EP35	74		2	0040	403	
	CN GE	CO, GH,	CR, GM,	CU, HR,	CZ,	AU, DE, ID,	DK, IL,	DM, IN,	DZ, IS,	EC, JP,	EE, KE,	EG, KG,	ES, KP,	FI, KR,	GB, KZ,	GD, LC,	
	NO	NZ,	OM,	PG,	PH,	LV, PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
	RW: BW	GH,	GM,	KE,	LS,		MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	
	ES, SK,	FI,	FR,	GB,	GR,	HU, CG,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	
	1530461 1530461			A1 B1		2005 2007			EP 2	004-	7256	14		2	0040	403	
	R: AT					ES, RO,											HR
BR	20040062																
CN	1767820 1004411			Α		2005 2006	0503		CN 2	004-	8000	9176		2	0040	403	
CN	1004411	79		С		2008											
	2006522					2006				006-							
	539214			A		2007				004-							
AT	374605			T		2007			AT 2	004-	7256	14 14		2	0040	403	
MV	2295848 2005003! 20050026	5.6.1		1.2		2008 2005			ED Z MV 2	004- 005- 005-	7230 3561	14		2	0040	403 401	
7 A	2005003	001 001		Δ		2005			MA 2	005-	2681			2	0050	401 401	
	20060029			A1		2005			IIS 2	005-	5336	83		2	0050	426	
	20060023			A		2006				005-							
	20050046					2005				005-				2			
	2009027					2009			US 2	009-	4174	05		2	0090		
	Y APPLN.								DE 2	003- 004-	1031	5878		A 2			
									US 2	005-	5336	83		A3 2	0050	426	

AΒ The invention concerns a transdermal drug delivery system for (R)-2[3-(1,1-diisopropylamino)-1-phenylpropyl] -4-(hydroxymethyl)phenyl isobutyrate (Fesoterodin) in form of a plaster that includes (a) a fesoterodine-containing adhesive matrix; (b) a protective layer that is removed upon application; (c) the adhesive matrix is a polymer matrix with 50-95 weight% adhesive selected from the group of acrylate-vinylacrylate copolymers, EVA (ethylene vinylacetate)-based adhesive, silicone, styrene block copolymers, adhesive rubbers polyisobutylene, polybutadiene, neoprene and polyisoprene. 8.5 G of an adhesive mixture composed of BIO-PSA 7-4300 from Dow-Corning and 5 weight/weight% ozokerite was heated to 150°C for 20 min until a homogeneous melt was formed. 1.5 G

fesoterodine were added to the melt; the mixture was kept for addnl. 5 min at 150°C; followed by application onto a preheated foil. 5 Cm2 samples were used for dissoln. studies.

ΙT 286930-02-7P, Fesoterodine RL: PEP (Physical, engineering or chemical process); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES

(transdermal delivery system for fesoterodine)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

286930-03-8P, Fesoterodine fumarate ΤT

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(transdermal delivery system for fesoterodine)

286930-03-8 CAPLUS RN

Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-CN phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7 CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

$$_{\mathrm{HO_{2}C}}$$
  $^{\mathrm{E}}$   $_{\mathrm{CO_{2}H}}$ 

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1161316 CAPLUS

DOCUMENT NUMBER: 150:298553

TITLE: The effects of antimuscarinic treatments in overactive

bladder: an update of a systematic review and

meta-analysis

AUTHOR(S): Chapple, Christopher R.; Khullar, Vik; Gabriel,

Zahava; Muston, Dominic; Bitoun, Caty Ebel; Weinstein,

David

CORPORATE SOURCE: Royal Hallamshire Hospital, Urology Research,

Sheffield Teaching Hospital NHS Trust, Sheffield, UK

SOURCE: European Urology (2008), 54(3), 543-562

CODEN: EUURAV; ISSN: 0302-2838

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

AB Context: Antimuscarinic agents are currently the first-line pharmacotherapy for overactive bladder. Objectives: A systematic review published in 2005 was updated, including data on a newly licensed antimuscarinic (fesoterodine). The primary aim of this study was to systematically review evidence on the efficacy of licensed administration of antimuscarinic treatments in overactive bladder from randomised controlled trials. Secondary aims were to review evidence on tolerability and safety and health-related quality of life (HRQL). Evidence acquisition: All relevant data sources from randomised controlled trials were searched, and two independent reviewers considered publications for

inclusion and extracted relevant data. Meta-anal. was used to pool efficacy, tolerability, safety, and HRQL outcomes by treatment. Efficacy was measured by continent days, mean voided volume, urgency episodes, and micturition frequency. Tolerability and safety were measured by means of adverse event and withdrawal rates. HRQL was measured by various instruments. Evidence synthesis: An addnl. 1118 refs. were retrieved with data on 83 studies extracted Antimuscarinics were found to be more effective than placebo. Tolerability was good; few of the antimuscarinics were found to have significantly higher withdrawal rates in comparison to placebo. No serious adverse event for any product was statistically significant compared to placebo. Dry mouth (mild, moderate, severe) was the most commonly reported adverse event (29.6% on treatment vs 7.9% on placebo), followed by pruritus (15.4% on treatment vs 5.2% on placebo). Improvements were seen in HRQL with treatment by darifenacin, fesoterodine, oxybutynin transdermal delivery system, propiverine extended release (ER), solifenacin, tolterodine ER and immediate release, and trospium. Limitations of the study include restrictions on the types of patients typically included in overactive bladder trials and topics that have not been adequately addressed in the current antimuscarinic literature. Conclusions: Antimuscarinics are efficacious, safe, and well-tolerated treatments that improve HRQL. Profiles of each drug and dosage differ and should be considered in making treatment choices.

IT 286930-02-7, Fesoterodine

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (fesoterodine showed efficacy, safety and well tolerated treatment in patient with overactive bladder)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS

RECORD (10 CITINGS)

REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:878361 CAPLUS

DOCUMENT NUMBER: 141:370546

10/533,683 11/18/2009 STN: SEARCH

TITLE: Highly pure bases of 3,3-diphenyl propylamine

monoesters for use in transdermal

delivery systems

Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael; INVENTOR(S):

Drews, Roland

PATENT ASSIGNEE(S): Schwarz Pharma Ag, Germany SOURCE:

PCT Int. Appl., 72 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE					
WO 2004089872 W: AE, AG, CN, CO, GE, GH, LK, LR, NO, NZ, TJ, TM, RW: BW, GH, BY, KG, ES, FI,	A1 AL, AM, CR, CU, GM, HR, LS, LT, OM, PG, TN, TR, GM, KE, KZ, MD, FR, GB,	20041021 AT, AU, AZ, CZ, DE, DK, HU, ID, IL, LU, LV, MA, PH, PL, PT, TT, TZ, UA, LS, MW, MZ, RU, TJ, TM, GR, HU, IE,	WO 2004-EP3567 BA, BB, BG, BR, BW, BDM, DZ, EC, EE, EG, BIN, IS, JP, KE, KG, BMD, MG, MK, MN, MW, MRO, RU, SC, SD, SE, SUG, US, UZ, VC, VN, SD, SL, SZ, TZ, UG, AT, BE, BG, CH, CY, CM, GA, GN, GQ, GW, MRO, SO, SO, GN, GQ, GW, MRO, SO, SO, GN, GQ, GW, MRO, SO, SO, SO, SO, SO, SO, SO, SO, SO, S	20040403 BY, BZ, CA, CH, ES, FI, GB, GD, KP, KR, KZ, LC, MX, MZ, NA, NI, SG, SK, SL, SY, YU, ZA, ZM, ZW ZM, ZW, AM, AZ, CZ, DE, DK, EE, PT, RO, SE, SI,					
AU 2004228163 AU 2004228163 CA 2505848 BR 2004006221	A1 B2 A1 A A1	20041021 20070607 20041021 20050809 20060111	CA 2004-2505848 BR 2004-6221 EP 2004-725610	20040403 20040403 20040403					
R: AT, BE, IE, SI, CN 1802345 CN 100475775 JP 2006522758 ES 2297409 KR 912451 ZA 2005002679 MX 2005003562 US 20060014832 NO 2005005078 HK 1087399	CH, DE, LT, LV, A C T T3 B1 A A A1 A1 A1	DK, ES, FR, FI, RO, MK, 20060712 20090408 20061005 20080501 20090814 20060426 20050603 20060119 20051031 20080718	GB, GR, IT, LI, LU, N CY, AL, TR, BG, CZ, F CN 2004-80009224	EE, HU, PL, SK, HR 20040403  20040403 20040403 20040403 20050331 20050401 20050426 20051031 20060710 20080618 A 20030408 W 20040403					

OTHER SOURCE(S): MARPAT 141:370546

GΙ

AΒ The invention relates to a compound of general formula (I) wherein A represents deuterium or hydrogen, R represents a group selected from C1-6 alkyl, C3-10 cycloalkyl or Ph, which can be substituted by C1-3 alkoxy, fluorine, chlorine, bromine, iodine, nitro, amino, hydroxyl, oxo, mercapto or deuterium. The C atom marked with a  $\ast$  (star) can be present in an (R) configuration, in an (S)-configuration or a mixture thereof. The invention is characterized in that the above-mentioned compds. are free bases with a degree of purity of more than 97 wt %. The invention also relates to a method for the production of highly pure compds. of general formula (I) and to the use thereof in the production of medicaments. Thus (R)-2-[3-(Diisopropylamino)-1-phenylpropyl]-4-(hydroxymethyl)phenol was reacted with isobutyric acid chloride to form fesoterodine. Fesoterodine was purified via the formation of its fumaric acid salt. 1.5 G of the highly pure fesoterodine was mixed with 8.5 g silicone adhesive Bio-PSA 7-4300 and applied to a foil in order to prepare a transdermal delivery system.

ΤТ 286930-02-7P, Fesoterodine

> RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)

RN 286930-02-7 CAPLUS

Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-CN phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

ΙT 777075-72-6P

> RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (highly pure bases of 3,3-di-Ph propylamine monoesters for use in

transdermal delivery systems)

777075-72-6 CAPLUS RN

Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-CN phenylpropyl]-4-(hydroxymethyl)phenyl ester, carbonate (1:1) (salt) (9CI) (CA INDEX NAME)

СМ 1

CRN 286930-02-7 CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).

СМ 2

CRN 463-79-6 CMF C H2 O3

10/533,683 11/18/2009 STN: SEARCH

HO-C-OH

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(4 CITINGS)

REFERENCE COUNT: THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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---Logging off of STN---

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Executing the logoff script...

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COST IN U.S. DOLLARS SINCE FILE TOTAL

SESSION ENTRY FULL ESTIMATED COST 558.10 744.86

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